10636001RTR

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LOGINID:SSPTANAG1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | | "Ask CAS" for self-help around the clock |
| NEWS 3 | DEC 05 | CASREACT(R) - Over 10 million reactions available |
| NEWS 4 | DEC 14 | 2006 MeSH terms loaded in MEDLINE/LMEDLINE |
| NEWS 5 | DEC 14 | 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER |
| NEWS 6 | DEC 14 | CA/CAplus to be enhanced with updated IPC codes |
| NEWS 7 | DEC 21 | IPC search and display fields enhanced in CA/CAplus with the IPC reform |
| NEWS 8 | DEC 23 | New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2 |
| NEWS 9 | JAN 13 | IPC 8 searching in IFIPAT, IFIUDB, and IFICDB |
| NEWS 10 | JAN 13 | New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC |
| NEWS 11 | JAN 17 | Pre-1988 INPI data added to MARPAT |
| NEWS 12 | JAN 17 | IPC 8 in the WPI family of databases including WPIFV |
| NEWS 13 | JAN 30 | Saved answer limit increased |
| NEWS 14 | JAN 31 | Monthly current-awareness alert (SDI) frequency added to TULSA |
| NEWS 15 | FEB 21 | STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results |
| NEWS 16 | FEB 22 | Status of current WO (PCT) information on STN |
| NEWS 17 | FEB 22 | The IPC thesaurus added to additional patent databases on STN |
| NEWS 18 | FEB 22 | Updates in EPFULL; IPC 8 enhancements added |
| NEWS 19 | FEB 27 | New STN AnaVist pricing effective March 1, 2006 |
| NEWS 20 | FEB 28 | MEDLINE/LMEDLINE reload improves functionality |
| NEWS 21 | FEB 28 | TOXCENTER reloaded with enhancements |
| NEWS 22 | FEB 28 | REGISTRY/ZREGISTRY enhanced with more experimental spectral property data |
| NEWS 23 | MAR 01 | INSPEC reloaded and enhanced |

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:52:27 ON 01 MAR 2006

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.63 | 0.63 |

FILE 'REGISTRY' ENTERED AT 16:53:57 ON 01 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 FEB 2006 HIGHEST RN 875516-18-0
DICTIONARY FILE UPDATES: 28 FEB 2006 HIGHEST RN 875516-18-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

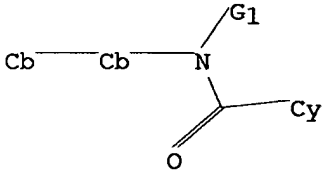
```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
```

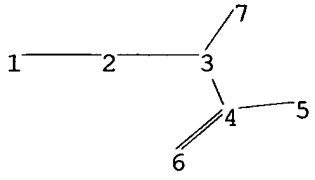
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10636001Exp2.str



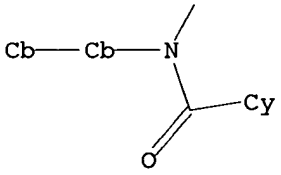
chain nodes :
1 2 3 4 5 6 7
chain bonds :
1-2 2-3 3-4 3-7 4-5 4-6
exact/norm bonds :
3-4 3-7 4-5 4-6
exact bonds :
1-2 2-3

G1:H,CH3

Match level :
1:Atom 2:Atom 3:CLASS 4:CLASS 5:Atom 6:CLASS 7:CLASS
Generic attributes :
1:
Saturation : Unsaturated
2:
Saturation : Saturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 16:54:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 397171 TO ITERATE

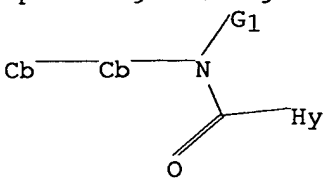
0.5% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

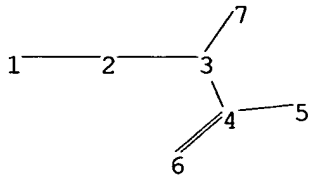
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 7907414 TO 7979426
PROJECTED ANSWERS: 6748 TO 9138

L2 2 SEA SSS SAM L1

10636001RTR

=>
Uploading C:\Program Files\Stnexp\Queries\10636001exp21.str



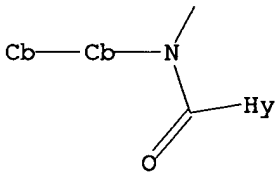

chain nodes :
1 2 3 4 5 6 7
chain bonds :
1-2 2-3 3-4 3-7 4-5 4-6
exact/norm bonds :
3-4 3-7 4-5 4-6
exact bonds :
1-2 2-3

G1:H,CH3

Match level :
1:Atom 2:Atom 3:CLASS 4:CLASS 5:Atom 6:CLASS 7:CLASS
Generic attributes :
1:
Saturation : Unsaturated
2:
Saturation : Saturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR




G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l3
SAMPLE SEARCH INITIATED 16:55:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 397171 TO ITERATE

0.5% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

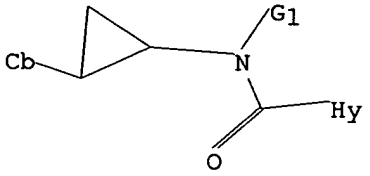
10636001RTR

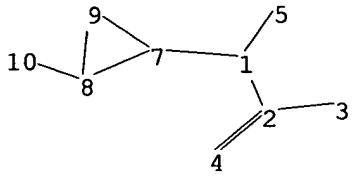
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 7907414 TO 7979426
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=>
Uploading C:\Program Files\Stnexp\Queries\10636001exp3.str



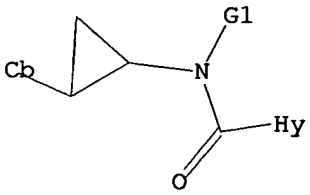

chain nodes :
1 2 3 4 5 10
ring nodes :
7 8 9
chain bonds :
1-5 1-2 1-7 2-3 2-4 8-10
ring bonds :
7-8 7-9 8-9
exact/norm bonds :
1-5 1-2 1-7 2-3 2-4 7-8 7-9 8-9
exact bonds :
8-10

G1:H,CH3

Match level :
1:CLASS 2:CLASS 3:Atom 4:CLASS 5:CLASS 7:Atom 8:CLASS 9:Atom 10:Atom

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR




G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l5

10636001RTR

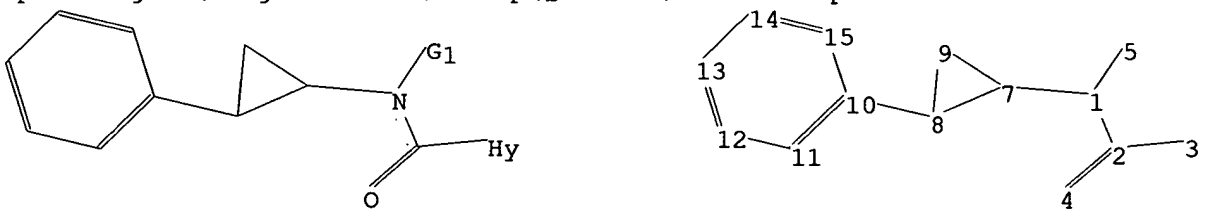
SAMPLE SEARCH INITIATED 16:57:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 140936 TO ITERATE

1.4% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 2796571 TO 2840869
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=>
Uploading C:\Program Files\Stnexp\Queries\10636001exp4.str




chain nodes :
1 2 3 4 5
ring nodes :
7 8 9 10 11 12 13 14 15
chain bonds :
1-5 1-2 1-7 2-3 2-4 8-10
ring bonds :
7-8 7-9 8-9 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-5 1-2 1-7 2-3 2-4 7-8 7-9 8-9
exact bonds :
8-10
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15

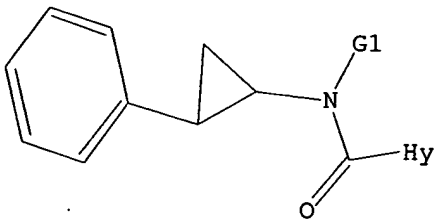
G1:H,CH3

Match level :
1:CLASS 2:CLASS 3:Atom 4:CLASS 5:CLASS 7:Atom 8:CLASS 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom
Generic attributes :
3:
Saturation : Unsaturated
Type of Ring System : Monocyclic

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR

10636001RTR




G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l7
SAMPLE SEARCH INITIATED 16:59:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 270 TO ITERATE

100.0% PROCESSED 270 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4415 TO 6385
PROJECTED ANSWERS: 2 TO 124

L8 2 SEA SSS SAM L7

=> s l7 full
FULL SEARCH INITIATED 16:59:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5421 TO ITERATE

100.0% PROCESSED 5421 ITERATIONS 71 ANSWERS
SEARCH TIME: 00.00.01

L9 71 SEA SSS FUL L7

=> fil hcaplus
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 170.90 | 171.53 |

FILE 'HCAPLUS' ENTERED AT 16:59:59 ON 01 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 1 Mar 2006 VOL 144 ISS 10
FILE LAST UPDATED: 28 Feb 2006 (20060228/ED)

ISOXa7a2

10636001RTR

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

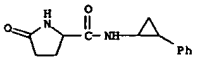
=> s l9
L10 41 L9

=> d ed abs ibib hitstr 1-41

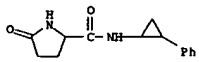
L10 ANSWER 1 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 03 Feb 2006
AB A dosage form comprising of a high dose, high solubility active ingredient as modified release and a low dose active ingredient as immediate release where the weight ratio of immediate release active ingredient and modified release active ingredient is from 1:10 to 1:15000 and the weight of modified release active ingredient per unit is from 500 mg to 1500 mg; a process for preparing the dosage form. Tablets containing 10 mg sodium pravastatin and 1000 mg niacin were prepared The release of sodium pravastatin after 24 h was 67.7%, and the release of niacin after 1 h was 84.1%.
ACCESSION NUMBER: 2006:100738 HCAPLUS
TITLE: Novel dosage form comprising modified-release and immediate-release active ingredients
INVENTOR(S): Vaya, Navin; Karan, Rajesh Singh; Sadanand, Sunil; Gupta, Vinod Kumar
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S. Ser. No. 630,446.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20060024365 | A1 | 20060202 | US 2005-134633 | 20050519 |
| US 2004096499 | A1 | 20040520 | US 2003-630446 | 20030729 |
| PRIORITY APPLN. INFO.: | | | IN 2002-MU697 A | 20020805 |
| | | | IN 2002-MU699 A | 20020805 |
| | | | IN 2003-MU80 A | 20030122 |
| | | | IN 2003-MU82 A | 20030122 |
| | | | US 2003-630446 A2 | 20030729 |

IT 2829-19-8, Rolicyprine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel dosage form comprising modified-release and immediate-release active ingredients)
RN 2829-19-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)

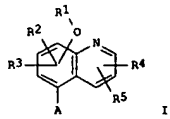


L10 ANSWER 2 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Jan 2006
AB A theor. model has been developed that discriminates between active and nonactive drugs against HIV-1 with four different mechanisms of action for the active drugs. The model was built up using a probabilistic neural network (PNN) algorithm and a database of 2720 compds. The model showed an overall accuracy of 97.34% in the training series, 85.12% in the selection series, and 84.78% in an external prediction series. The model not only correctly classified a very heterogeneous series of organic compds. but also discriminated between very similar active/nonactive chems. that belong to the same family of compds. More specifically, the model recognized 96.02% of nonactive compds., 94.24% of active compds. that inhibited reverse transcriptase, 97.24% of protease inhibitors, 97.14% of virus uncoating inhibitors, and 90.32% of integrase inhibitors. The results indicate that this approach may represent a powerful tool for modeling large databases in QSAR with applications in medicinal chemical
ACCESSION NUMBER: 2006:44967 HCAPLUS
TITLE: Probabilistic Neural Network Model for the In Silico Evaluation of Anti-HIV Activity and Mechanism of Action
AUTHOR(S): Vilar, Santiago; Santana, Lourdes; Uriarte, Eugenio
CORPORATE SOURCE: Faculty of Pharmacy, Department of Organic Chemistry, University of Santiago de Compostela, Santiago de Compostela, 15782, Spain
SOURCE: Journal of Medicinal Chemistry (2006), 49(3), 1118-1124
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT INDEXING IN PROGRESS
IT 2829-19-8, Rolicyprine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(probabilistic neural network model for In silico evaluation of anti-HIV activity and mechanism of action)
RN 2829-19-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)

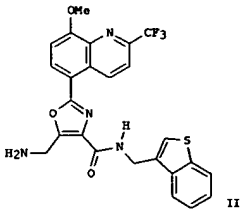


REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Dec 2005
GI



I



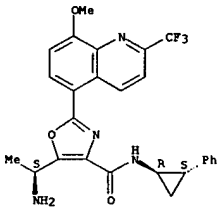
II

AB Title compds. I [R1 = H, alkyl, cycloalkyl; R2, R3 and R5 independently = H or halo; R4 = H, halo, alkyl, etc.; A = substituted oxazolyl, imidazole, thiazole or pyrrole], and their pharmaceutically acceptable salts, are prepared and disclosed as pde4 inhibitors. Thus, e.g., II was prepared in a multistep synthesis from 2-trifluoromethyl-8-methoxyquinolin-5-yl carboxylic acid. In PDE4 assays, selected compds. possessed IC50 values ranging from 0.01-1.8 nM. Also claimed are pharmaceutical compns., the use of the compds. as PDE4 inhibitors, and combinations with other actives.
ACCESSION NUMBER: 2005:1289687 HCAPLUS
DOCUMENT NUMBER: 144:51568
TITLE: Preparation of substituted 2-quinolyl-oxazoles and their heterocyclic analogs useful as pde4 inhibitors
INVENTOR(S): Huang, Rongze; Blythin, David; Shih, Neng-Yang; Shue, Ho-Jane; Chen, Xiao; Cao, Jianhua; Gu, Danlin; Huang, Ying; Schwerdt, John H.; Ting, Pauline C.; Wong, Shing-Chun; Xiao, Li
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 233 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005116009 | A1 | 20051208 | WO 2005-US17134 | 20050516 |

L10 ANSWER 3 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2004-572266P P 20040518
IT 871007-61-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted quinolyloxazoles and their heterocyclic analogs useful as PDE4 inhibitors)
RN 871007-61-3 HCAPLUS
CN 4-Oxazolecarboxamide, 5-[(1S)-1-aminoethyl]-2-[8-methoxy-2-(trifluoromethyl)-5-quinolinyl]-N-[(1R,2S)-2-phenylcyclopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

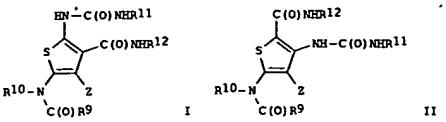
Absolute stereochemistry.



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Nov 2005
GI



AB IKK-2-inhibiting substituted thiophene amide compds. (shown as I and II (addnl. related Markush formulas also shown in claims); variables defined below; e.g. 2-[(aminocarbonyl)amino]-5-[[(3-chlorophenyl)acetyl]amino]thiophene-3-carboxamide (III)) are disclosed. IC50 values for inhibition of IKK-2 by >200 examples of I, etc. are tabulated. Although the methods of preparation are not claimed, example prepns. and/or characterization data for .apprx.300 examples of I, etc. are included. For example, III was prepared from (3-chlorophenyl)acetic acid and 2-[(aminocarbonyl)amino]-5-aminothiophene-3-carboxamide, which was prepared from the nitro analog, which was prepared by nitration of 2-[(aminocarbonyl)amino]thiophene-3-carboxamide. For I: Z = hydrido, halo, alkyl, cyano, and haloalkyl; R9 = alkyl, cycloalkyl, alkenyl, cycloalkenyl, heterocycloalkyl, heterocycloalkenyl, aryl, aralkyl, heteroaryl, and heteroaralkyl, or where R9 and R10 together with the atoms to which they are attached form a heterocyclic moiety. R9 is (un)substituted by ≥1 substituents independently amino, N-alkylamino, N,N-dialkylamino, N-arylamino, N-alkyl-N-arylamino, N-hydroxyamino, N-alkyl-N-hydroxyamino, N-aryl-N-hydroxyamino, halo, cyano, keto, hydroxy, alkyl, haloalkyl, cycloalkyl, alkoxy, alkenyl, alkenyloxy, aryl, aryloxy, aralkyl, aralkylcarbonyl, aralkylcarbonylamino, heteroarylcarbonyl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, alkoxycarbonyl, aryloxycarbonyl, carboxy, alkoxyalkoxycarbonyl, alkoxycarbonylamino, heterocycloalkyl, heterocycloalkylalkyl, thiol, oxidosulfanyl, sulfino, alkylthio, alkylsulfinyl, alkylsulfonyl, cycloalkylthio, cycloalkylsulfinyl, cycloalkylsulfonyl, arylthio, acylsulfinyl, arylsulfonyl, heterocycloalkylthio, heterocycloalkylsulfinyl, heterocycloalkylsulfonyl, heteroarylthio, heteroarylsulfinyl, and heteroarylsulfonyl. R10 = hydrido, hydroxy, alkoxy, alkyl, haloalkyl, aryl, and heteroaryl, or R10 and R9 together with the atoms to which they are attached form a heterocyclic moiety; R11 and R12 = hydrido, hydroxy, alkoxy, alkyl, haloalkyl, aryl, and heteroaryl.

ACCESSION NUMBER: 2005:1193537 HCAPLUS
DOCUMENT NUMBER: 143:460021
TITLE: Preparation of substituted thiophene amide compounds as IKK-2 inhibitors for the treatment of inflammation and cancer
INVENTOR(S): Bonafoux, Dominique; Clare, Michael; Fletcher, Theresa Reher; Hamper, Bruce Cameron; Lennon, Patrick James; McGhee, William D.; Oburn, David Scott; Reding, Matthew Todd; Tollefson, Michael Brent; Wolfson, Serge G.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company LLC, USA
SOURCE: PCT Int. Appl., 165 pp.

L10 ANSWER 4 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

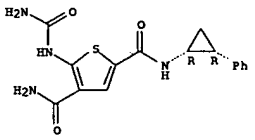
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005105777 | A1 | 20051110 | WO 2005-IB1123 | 20050421 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

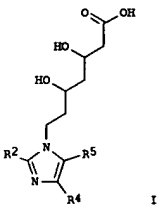
PRIORITY APPLN. INFO.: WO 2005-IB1123 20050421
OTHER SOURCE(S): MARPAT 143:460021
IT **869093-00-5P**, 5-[(Aminocarbonyl)amino]-N-((1R,2R)-2-phenylcyclopropyl)thiophene-2,4-dicarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted thiophene amide compds. as IKK-2 inhibitors for treatment of inflammation and cancer)
RN 869093-00-5 HCAPLUS
CN 2,4-Thiophenedicarboxamide, 5-[(aminocarbonyl)amino]-N2-[(1R,2R)-2-phenylcyclopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Oct 2005
GI



AB Novel imidazoles (I, variables are defined below) are provided that are useful as HMGCo-A reductase inhibitors to inhibit cholesterol biosynthesis. Accordingly, the compds. find utility as therapeutic agents to treat hyperlipidemia, hypercholesterolemia, hypertriglyceridemia and atherosclerosis. Also provided are pharmaceutical compns. of the compds. Methods of making and methods of using the compds. are also provided. For I, R2 and R5 = independently H, halogen, (un)substituted C1-C6 alkyl, C3-C8 cycloalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R4 = halogen, H, (un)substituted C1-C6 alkyl, C3-C8 cycloalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl, -(CH)nC(O)NR6R7; R8S(O)n-; -(CH2)nNR6R7, -(CH)nCOOR' or -(CH2)nCOR'; R6 and R7 = independently H, (un)substituted C1-C10 alkyl, C3-C8 cycloalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl, -(CH)nCOR', -(CH)nCOOR', -(CH)nCONR'R" or -(CH2)nSOR'; or N, R6 and R7 taken together form a (un)substituted 4-11 member ring optionally containing ≤ two heteroatoms selected from O, N and S; R8 = (un)substituted aryl, aralkyl, alkyl, heteroaryl, or heteroaralkyl; R' and R" = independently H, (un)substituted C1-C12, alkyl, aryl or aralkyl; and n is 0-2. I may be in the form of a pharmaceutically acceptable salt, ester, amide, stereoisomer or lactone. For example, 2-[[2-[(4R,6R)-6-tert-Butoxycarbonylmethyl-2,2-dimethyl-[1,3]dioxan-4-yl]ethyl](4-fluorobenzoyl)amino]-3-methylbutyric acid is prepared in 5 steps from 3-Methyl-2-oxobutyric acid benzyl ester via 3-Methyl-2-trifluoromethanesulfonyloxybutyric acid benzyl ester, 2-[2-[(4R,6R)-6-tert-Butoxycarbonylmethyl-2,2-dimethyl-[1,3]dioxan-4-yl]ethylamino]-3-methylbutyric acid benzyl ester, and the benzyl ester of the product. No biol. test data is given.

ACCESSION NUMBER: 2005:1155542 HCAPLUS
DOCUMENT NUMBER: 143:405911
TITLE: Preparation of novel imidazoles as HMGCo-A reductase inhibitors for use in treating hyperlipidemia and other diseases
INVENTOR(S): Bolton, Gary Louis; Bowles, Daniel Merritt; Boyles, David Christopher
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 90 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

L10 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005239857 | A1 | 20051027 | US 2005-105288 | 20050413 |
| WO 2005105079 | A2 | 20051110 | WO 2005-US12255 | 20050412 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-563124P P 20040416
US 2004-600705P P 20040811
OTHER SOURCE(S): MARPAT 143:405911
IT **867305-24-6P**, (3R,5R)-7-[2-(4-Fluorophenyl)-5-isopropyl-4-(((1S,2R)-2-phenylcyclopropyl)carbamoyl)imidazol-1-yl]-3,5-dihydroxyheptanoic acid sodium salt
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of novel imidazoles as HMGCo-A reductase inhibitors for use in treating hyperlipidemia and other diseases)
RN 867305-24-6 HCAPLUS
CN 1H-Imidazole-1-heptanoic acid, 2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-4-[[[(1S,2R)-2-phenylcyclopropyl]amino]carbonyl]-, monosodium salt, (βR,δR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



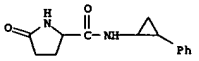
● Na

L10 ANSWER 6 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Sep 2005
AB The present invention relates to a novel method of treating and/or preventing psychiatric disorders in a subject by administering to the subject at last one Cox-2 inhibitor alone or in combination with one or more antidepressant agents. Compns., pharmaceutical compns. and kits are also described. Thus, celecoxib was prepared starting from 4'-methylacetophenone and ethyltrifluoroacetate followed by reaction with 4-sulfonamidophenylhydrazine. A composition is obtained by mixing sertraline and celecoxib.
ACCESSION NUMBER: 2005:1004550 HCAPLUS
DOCUMENT NUMBER: 143:311967
TITLE: Compositions for treating psychiatric disorders with COX-2 inhibitors alone and in combination with antidepressant agents
INVENTOR(S): Stephenson, Diane; Taylor, Duncan P.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005084654 | A2 | 20050915 | WO 2005-US6818 | 20050302 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-549281P P 20040302
IT **2829-19-8**, Rolicyprine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. for treating psychiatric disorders with COX-2 inhibitors alone and in combination with antidepressant agents)
RN 2829-19-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 25 Mar 2005
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Y = CH, CF, N; R1 = H, alkyl; R2 = CN, NO2, OH, (un)substituted alk(en/yn)yl, ; G1 = Ph, 5- or 6-membered heteroaryl containing 1 to 3 heteroatoms; each R5 = independently H, halo, CN, alkoxy, NO2, etc.; n = 1-3; R4 = H, (un)substituted alkyl; L = a bond, O, NH, N-alkyl, (un)substituted alkyl; G2 = (un)substituted monocyclyl, bicyclyl, and their optical isomers, racemates, tautomers, and pharmaceutically acceptable salts] were prepared as human neutrophil elastase (HNE) inhibitors for treating inflammation. Thus, acylation of 4-methylsulfonylbenzylamine•HCl with 6-methyl-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxylic acid (preparation given), iodination, and cyanation of the iodide with CuCN gave pyridone II. Selected I gave IC50 values for inhibition of HNE activity of less than 30 μM.
ACCESSION NUMBER: 2005:260029 HCAPLUS
DOCUMENT NUMBER: 142:316706
TITLE: Preparation of 2-pyridone derivatives as neutrophil elastase inhibitors and their use for treating inflammation
INVENTOR(S): Hansen, Peter; Lawitz, Karolina; Loenn, Hans; Nikitidis, Antonios
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

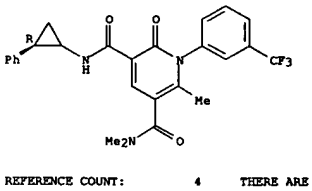
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005026124 | A1 | 20050324 | WO 2004-SE1336 | 20040915 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2003-2487 A 20030918
OTHER SOURCE(S): MARPAT 142:316706
IT **848183-94-8P**, N5,N5,6-Trimethyl-2-oxo-N3-((2R)-2-phenylcyclopropyl)-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3,5-dicarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L10 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(drug candidate; prepn. of 2-pyridones as human neutrophil elastase inhibitors and their use for treating inflammation)
RN 848183-94-8 HCAPLUS
CN 3,5-Pyridinedicarboxamide, 1,2-dihydro-N5,N5,6-trimethyl-2-oxo-N3-[(2R)-2-phenylcyclopropyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 25 Mar 2005
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Y = CH, CF, N; R1 = H, alkyl; R2 = (un)substituted Ph, 5- or 6-membered heteroacyl containing 1 to 4 heteroatoms; G1 = Ph, 5- or 6-membered heteroaryl containing 1 to 3 heteroatoms; each R5 = independently H, halo, CN, alkoxy, NO2, etc.; n = 1-3; R4 = H, (un)substituted alkyl; L = a bond, O, SO, SO2, S, NH, etc.; G2 = (un)substituted monocyclyl, bicyclyl; and their optical isomers, racemates, tautomers, and pharmaceutically acceptable salts] were prepared as human neutrophil elastase (HNE) inhibitors for treating inflammation. Thus, acylation of 4-methylsulfonylbenzylamine•HCl with 6-methyl-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxylic acid (preparation given), iodination, and Pd-cross coupling of the iodide with phenylboronic acid gave pyridone II. Selected I gave IC50 values for inhibition of HNE activity of less than 30 μM.
ACCESSION NUMBER: 2005:260028 HCAPLUS
DOCUMENT NUMBER: 142:316705
TITLE: Preparation of 2-pyridone derivatives as neutrophil elastase inhibitors and their use for treating inflammation
INVENTOR(S): Andersson, Marjana; Hansen, Peter; Loenn, Hans; Nikitidis, Antonios; Sjoelin, Petter
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

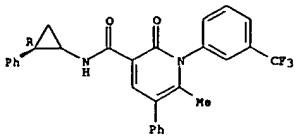
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005026123 | A1 | 20050324 | WO 2004-SE1335 | 20040915 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2003-2486 A 20030918
OTHER SOURCE(S): MARPAT 142:316705
IT **848140-80-7P**, 6-Methyl-2-oxo-5-phenyl-N-((2R)-2-phenylcyclopropyl)-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-pyridones as human neutrophil elastase inhibitors and their use for treating inflammation)
RN 848140-80-7 HCAPLUS
CN 3-Pyridinecarboxamide, 1,2-dihydro-6-methyl-2-oxo-5-phenyl-N-[(2R)-2-phenylcyclopropyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 8 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | | |
|---|---|---|---|---|---|
| WO 2004043924 | A1 | 20040527 | WO 2003-SE1739 | | 20031111 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| CA 2504766 | AA | 20040527 | CA 2003-2504766 | | 20031111 |
| EP 1562902 | A1 | 20050817 | EP 2003-811170 | | 20031111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| BR 2003016081 | A | 20050927 | BR 2003-16081 | | 20031111 |
| US 2006035938 | A1 | 20060216 | US 2005-534720 | | 20050512 |
| NO 2005002818 | A | 20050711 | NO 2005-2818 | | 20050610 |
| PRIORITY APPLN. INFO.: | | | SE 2002-3348 | A | 20021112 |
| | | | SE 2003-388 | A | 20030212 |
| | | | SE 2003-2120 | A | 20030722 |
| | | | WO 2003-SE1739 | W | 20031111 |

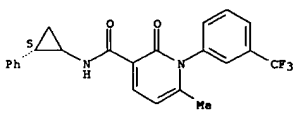
OTHER SOURCE(S): MARPAT 141:7027

IT **694478-71-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-pyridone derivs. as inhibitors of neutrophile elastase)

RN 694478-71-2 HCAPLUS

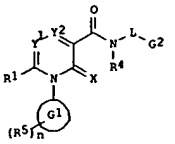
CN 3-Pyridinecarboxamide, 1,2-dihydro-6-methyl-2-oxo-N-[(2S)-2-phenylcyclopropyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

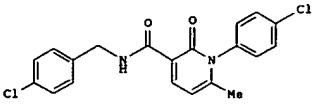


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 27 May 2004
GI



I



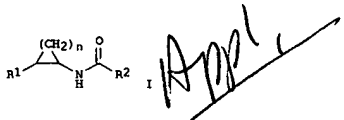
II

AB Title compds. I [X = O, S; Y1 = N, CR2 and when R1 = OH, Y1 may also, in the tautomeric form, represent NR6; Y2 = CR3 and when Y1 = CR2, then Y2 may also represent N; R1 = H, alkyl; R2 = H, halo, alkyl; R3 = H, F; G1 = Ph, 5-6 membered heterocycle, etc.; R5 = H, halo, alkyl, etc.; n = 1-3; R4, R6 = H, alkyl, etc.; L = O, amino, alkyl, etc.; G2 = Ph, phenoxy, etc.] are prepared For instance, Et 3-[(4-chlorophenyl)amino]-3-oxopropanoate is reacted with 4-methoxy-3-buten-2-one (EtOH, NaOMe, reflux, 5 h) to give Et 1-(4-chlorophenyl)-6-methyl-2-oxo-1,2-dihydropyridine-3-carboxylate. This intermediate is saponified and coupled to 4-chlorobenzylamine (NMP, HBTu, HOBt, DIEA) to give II. Selected compds. have IC50 < 30 μM for human neutrophil elastase. I are useful in the treatment of inflammatory disorders.

| | |
|---|---|
| ACCESSION NUMBER: | 2004:428910 HCAPLUS |
| DOCUMENT NUMBER: | 141:7027 |
| TITLE: | Preparation of 2-pyridone derivatives as inhibitors of neutrophile elastase |
| INVENTOR(S): | Bladh, Hakan; Klingstedt, Tomas; Larsson, Joakim; Lawitz, Karolina; Lepistoe, Matti; Loenn, Hans; Nikitidis, Grigorios |
| PATENT ASSIGNEE(S): | Astrazeneca AB, Swed. |
| SOURCE: | PCT Int. Appl., 187 pp.<br>CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 1 |
| PATENT INFORMATION: | |

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004043924 | A1 | 20040527 | WO 2003-SE1739 | 20031111 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2504766 | AA | 20040527 | CA 2003-2504766 | 20031111 |
| EP 1562902 | A1 | 20050817 | EP 2003-811170 | 20031111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003016081 | A | 20050927 | BR 2003-16081 | 20031111 |
| US 2006035938 | A1 | 20060216 | US 2005-534720 | 20050512 |
| NO 2005002818 | A | 20050711 | NO 2005-2818 | 20050610 |

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Feb 2004
GI



I

AB The present invention relates to acylated arylcycloalkylamines of the formula (I) including N-(trans-2-phenylcyclopropyl)carboxamides [wherein R1, R2 = each (un)substituted Ph, 1- or 2-naphthyl, or 5- to 10-membered, aromatic, monocyclic or bicyclic heterocycle containing one or more heteroatoms selected from the group consisting of N, O and S; n = an integer of 1-4]. These compds. upregulate the expression of the enzyme endothelial nitric oxide (NO) synthase and can be applied in conditions in which an increased expression of said enzyme or an increased NO level or the normalization of a decreased NO level is desired. They are useful in the treatment of various disease states including cardiovascular disorders such as atherosclerosis, thrombosis, coronary artery disease, hypertension and cardiac insufficiency. The diseases also include for the treatment of stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, peripheral artery occlusive disease, endothelial dysfunction, restenosis, endothelial damage after PTCA, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes, diabetes complications, nephropathy, retinopathy, angiogenesis, asthma bronchiale, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance or a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or of women taking contraceptives. For example, N-(trans-2-phenylcyclopropyl)-3-amino-5-methylpyrazine-2-carboxamide and N-(trans-2-phenylcyclopropyl)-2,5-dimethyl-1-(thiophen-2-ylmethyl)-1H-pyrrole-3-carboxamide inhibited the activation of transcription of human endothelial nitric oxide synthetase in primary human umbilical vein code cells (HUVEC) with EC50 of 0.060 and <0.01 μM, resp.

| | |
|---|---|
| ACCESSION NUMBER: | 2004:117248 HCAPLUS |
| DOCUMENT NUMBER: | 140:181465 |
| TITLE: | Preparation of acylated arylcycloalkylamines and their use as pharmaceuticals for treatment of cardiovascular disorders |
| INVENTOR(S): | Strobel, Hartmut; Wohlfart, Paulus; Below, Peter |
| PATENT ASSIGNEE(S): | Aventis Pharma Deutschland GmbH, Germany |
| SOURCE: | Eur. Pat. Appl., 26 pp.<br>CODEN: EPXXDW |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 1 |
| PATENT INFORMATION: | |

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1388535 | A1 | 20040211 | EP 2002-17587 | 20020807 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CA 2494628 | AA | 20040219 | CA 2003-2494628 | 20030724 |
| WO 2004014842 | A1 | 20040219 | WO 2003-EP8104 | 20030724 |
| WO 2004014842 | C1 | 20050428 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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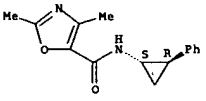
|---|---|---|---|---|---|
| AU 2003250159 | A1 | 20040225 | AU 2003-250159 | | 20030724 |
| EP 1529031 | A1 | 20050511 | EP 2003-784056 | | 20030724 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| BR 2003013271 | A | 20050621 | BR 2003-13271 | | 20030724 |
| JP 2005534706 | T2 | 20051117 | JP 2004-526766 | | 20030724 |
| US 2004082628 | A1 | 20040429 | US 2003-636001 | | 20030807 |
| NO 2005001110 | A | 20050301 | NO 2005-1110 | | 20050301 |
| PRIORITY APPLN. INFO.: | | | EP 2002-17587 | A | 20020807 |
| | | | US 2002-432312P | P | 20021210 |
| | | | WO 2003-EP8104 | W | 20030724 |

OTHER SOURCE(S): MARPAT 140:181465

IT **658683-57-9P 658683-59-1P 658683-60-4P**
**658683-61-5P 658683-63-7P 658683-64-8P**
**658683-67-1P 658683-71-7P 658683-72-8P**
**658683-80-8P 658683-82-0P 658683-83-1P**
**658683-84-2P 658683-85-3P 658683-86-4P**
**658683-87-5P 658683-88-6P 658683-89-7P**
**658683-91-1P 658683-92-2P 658684-01-6P**
**658684-08-3P 658684-10-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated acylcycloalkylamines as regulators of transcription of endothelial nitric oxide synthase gene and pharmaceuticals for treatment of cardiovascular disorders)

RN 658683-57-9 HCAPLUS
CN 5-Oxazolecarboxamide, 2,4-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 658683-59-1 HCAPLUS
CN Pyrazinecarboxamide, 3-amino-5-methyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 658683-58-0
CMF C15 H16 N4 O

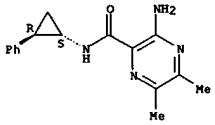
L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN Pyrazinecarboxamide, 3-amino-5,6-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 658683-62-6
CMF C16 H18 N4 O

Relative stereochemistry.

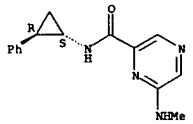


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 658683-64-8 HCAPLUS
CN Pyrazinecarboxamide, 6-(methylamino)-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

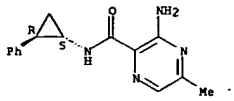


RN 658683-67-1 HCAPLUS
CN 3-Pyridinecarboxamide, 6-(4-morpholinyl)-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Relative stereochemistry.

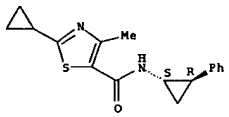


CM 2

CRN 76-05-1
CMF C2 H F3 O2

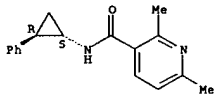
RN 658683-60-4 HCAPLUS
CN 5-Thiazolecarboxamide, 2-cyclopropyl-4-methyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



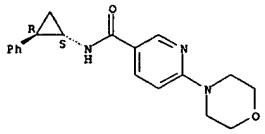
RN 658683-61-5 HCAPLUS
CN 3-Pyridinecarboxamide, 2,6-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 658683-63-7 HCAPLUS

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

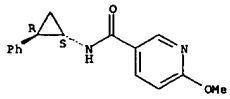


RN 658683-71-7 HCAPLUS
CN 3-Pyridinecarboxamide, 6-methoxy-N-[(1R,2S)-2-phenylcyclopropyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 658683-70-6
CMF C16 H16 N2 O2

Relative stereochemistry.

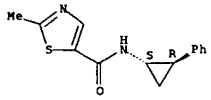


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 658683-72-8 HCAPLUS
CN 5-Thiazolecarboxamide, 2-methyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

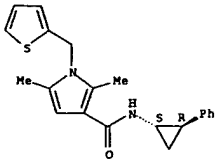
Relative stereochemistry.



RN 658683-80-8 HCAPLUS

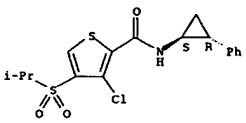
L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-3-carboxamide, 2,5-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-1-(2-thienylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



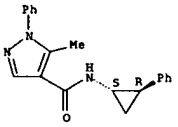
RN 658683-82-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-chloro-4-[(1-methylethyl)sulfonyl]-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 658683-83-1 HCAPLUS
CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-phenyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

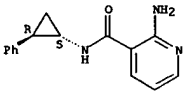
Relative stereochemistry.



RN 658683-84-2 HCAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-phenyl-N-[(1R,2S)-2-phenylcyclopropyl]-5-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

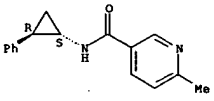
Relative stereochemistry.

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



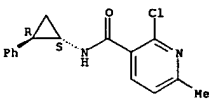
RN 658683-88-6 HCAPLUS
CN 3-Pyridinecarboxamide, 6-methyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 658683-89-7 HCAPLUS
CN 3-Pyridinecarboxamide, 2-chloro-6-methyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

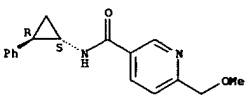


RN 658683-91-1 HCAPLUS
CN 3-Pyridinecarboxamide, 6-(methoxymethyl)-N-[(1R,2S)-2-phenylcyclopropyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 658683-90-0
CMF C17 H18 N2 O2

Relative stereochemistry.

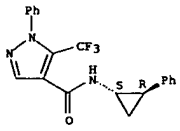


CM 2

CRN 76-05-1

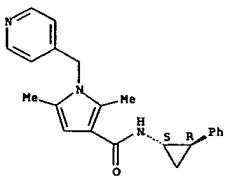


L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



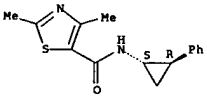
RN 658683-85-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2,5-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-1-(4-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 658683-86-4 HCAPLUS
CN 5-Thiazolecarboxamide, 2,4-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



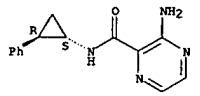
RN 658683-87-5 HCAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C2 H F3 O2

RN 658683-92-2 HCAPLUS
CN Pyrazinecarboxamide, 3-amino-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

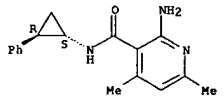


RN 658684-01-6 HCAPLUS
CN 3-Pyridinecarboxamide, 2-amino-4,6-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 658684-00-5
CMF C17 H19 N3 O

Relative stereochemistry.

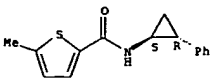


CM 2

CRN 76-05-1
CMF C2 H F3 O2

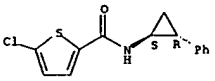
RN 658684-08-3 HCAPLUS
CN 2-Thiophenecarboxamide, 5-methyl-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Relative stereochemistry.



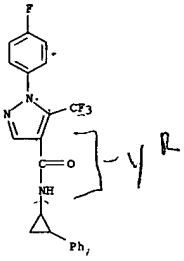
RN 658684-10-7 HCAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

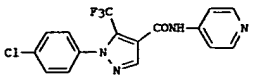


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

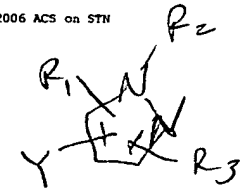
L10 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrazole-4-carboxamide, 1-(4-fluorophenyl)-N-(2-phenylcyclopropyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 May 2003
GI



I

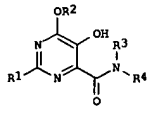


AB Pyrazolecarboxamides and -sulfonamides were prepared for use in the treatment of diseases through the inhibition of sodium ion flux through voltage-dependent sodium channels, especially pain and chronic pain. Thus, the amide I was prepared by amidation of the acid chloride with the amine and showed activity at the PN3 Na channel in the 4.1-10 μM range.
ACCESSION NUMBER: 2003:356201 HCAPLUS
DOCUMENT NUMBER: 138:368888
TITLE: Pyrazolecarboxamides and -sulfonamides as sodium channel blockers
INVENTOR(S): Atkinson, Robert Nelson; Gross, Michael Francis
PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003037274 | A2 | 20030508 | WO 2002-US35172 | 20021101 |
| WO 2003037274 | A3 | 20031030 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2465207 | AA | 20030508 | CA 2002-2465207 | 20021101 |
| EP 1451160 | A2 | 20040901 | EP 2002-799175 | 20021101 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| US 2005049237 | A1 | 20050303 | US 2002-286304 | 20021101 |
| PRIORITY APPLN. INFO.: | | | US 2001-335958P | P 20011101 |
| | | | WO 2002-US35172 | W 20021101 |

OTHER SOURCE(S): MARPAT 138:368888
IT 521924-29-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolecarboxamides and -sulfonamides as sodium channel blockers)
RN 521924-29-8 HCAPLUS

L10 ANSWER 12 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 02 May 2003
GI



I

AB The title 4,5-dihydroxypyrimidine-6-carboxamides [I; R1 = H, alkyl, haloalkyl, alkoxy, etc.; R2 = H, alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = H, alkyl; R4 = H, alkyl, haloalkyl, etc.] which are inhibitors of HIV integrase and inhibitors of HIV replication, and therefore are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS, were prepared Thus, refluxing N-hydroxythiophene-2-carboximidamide with di-Me acetylenedicarboxylate in CHCl3 followed by reacting the resulting Me 5,6-dihydroxy-2-(2-thienyl)pyrimidine-4-carboxylate with 4-fluorobenzylamine in DMF afforded I [R1 = 2-thienyl; R2 = H; R3 = 4-FC6H4CH2; R4 = H]. The compds. I are employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. I and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.
ACCESSION NUMBER: 2003:334911 HCAPLUS
DOCUMENT NUMBER: 138:354000
TITLE: Preparation of dihydroxypyrimidine carboxamide inhibitors of HIV integrase
INVENTOR(S): Di Francesco, Maria Emilia; Gardelli, Cristina; Harper, Steven; Matassa, Victor Giulio; Muraglia, Ester; Nizi, Emanuela; Pace, Paola; Pacini, Barbara; Petrocchi, Alessia; Poma, Marco; Summa, Vincenzo
PATENT ASSIGNEE(S): Istituto Di Ricerche Di Biologia Molecolare P. Angeletti Spa, Italy
SOURCE: PCT Int. Appl., 315 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003035076 | A1 | 20030501 | WO 2002-GB4742 | 20021021 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2463975 | AA | 20030501 | CA 2002-2463975 | 20021021 |
| EP 1441734 | A1 | 20040804 | EP 2002-801949 | 20021021 |

L10 ANSWER 12 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

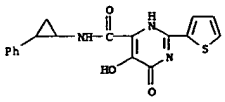
| | | | | | |
|---|---|---|---|---|---|
| JP 2005510500 | T2 | 20050421 | JP 2003-537643 | | 20021021 |
| US 2005075356 | A1 | 20050407 | US 2004-493279 | | 20040420 |
| PRIORITY APPLN. INFO.: | | | US 2001-348195P | P | 20011026 |
| | | | WO 2002-GB4742 | W | 20021021 |

OTHER SOURCE(S): MARPAT 138:354000

IT **519022-98-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dihydroxypyrimidine carboxamide inhibitors of HIV integrase)

RN 519022-98-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-5-hydroxy-6-oxo-N-(2-phenylcyclopropyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 21 Jan 2003

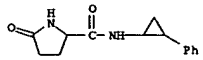
AB The aim of the work was to discriminate between antibacterial and non-antibacterial drugs by topol. methods and to select new potential antibacterial agents from among new structures. The method used for antibacterial activity selection was a linear discriminant anal. (LDA). It is possible to obtain a QSAR interpretation of the information contained in the discriminant function. We make use of the pharmacol. distribution diagrams (PDDs) as a visualizing technique for the identification and selection of new antibacterial agents.

| | |
|---|---|
| ACCESSION NUMBER: | 2003:49279 HCAPLUS |
| DOCUMENT NUMBER: | 139:159420 |
| TITLE: | Discrimination and selection of new potential antibacterial compounds using simple topological descriptors |
| AUTHOR(S): | Murcia-Soler, Miguel; Perez-Gimenez, Facundo; Garcia-March, Francisco J.; Salabert-Salvador, M. Teresa; Diaz-Villanueva, Wladimiro; Medina-Casamayor, Piedad |
| CORPORATE SOURCE: | Faculty of Pharmacy, Department of Physical Chemistry, Universitat de Valencia, Valencia, Spain |
| SOURCE: | Journal of Molecular Graphics & Modelling (2003), 21(5), 375-390<br>CODEN: JMGMFI; ISSN: 1093-3263 |
| PUBLISHER: | Elsevier Science Inc. |
| DOCUMENT TYPE: | Journal |
| LANGUAGE: | English |

IT **2829-19-8**, Rolicyprine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(discrimination and selection of new potential antibacterial compds. using simple topol. descriptors)

RN 2829-19-8 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)

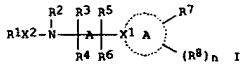


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

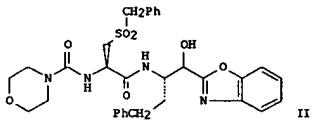
L10 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 22 Sep 2000

GI



I



II

AB Title compds. [I; A = heteromonocyclic ring containing 5-6 member; fused heteropolycyclic ring containing 8-14 member; X1 = C, CH; X2 = bond, NHCH2CO, NHCH2CH2SO2, alkylamino; R1 = alkylaminocarbonyl, alkoxycarbonyl, alkylcarbonyl, alkylsulfonyl; R2 = H, alkyl; R3 = alkyl; R4 = H, alkyl; R3R4 = cycloalkylene, heterocycloalkylene; R5 = H; R6 = H; R5R6 = oxo; R7 = CN, Cl, Br, F, NO2, H; R8 = alkyl, alkylidene, CN, Cl, F, Br, NO2; n = 0, 1, 2, 3], N-oxide derivs., prodrug derivs., protected derivs., individual isomers, mixts. of isomers, and pharmaceutically acceptable salts and compns. with bisphosphonic acids or acid esters as excipients are prepared as cathepsin K and cathepsin S inhibitors. Title compds. are administering to animal in treating diseases which cysteine protease activity contributes to the pathol. and/or symptomatol. The diseases are autoimmune disorder, allergic disorder, allogeneic immune response, excessive elastolysis, cardiovascular disorders, fibril formation, etc. Thus, the title compound II was prepared

| | |
|---|---|
| ACCESSION NUMBER: | 2000:666718 HCAPLUS |
| DOCUMENT NUMBER: | 133:252041 |
| TITLE: | Preparation of amine derivatives as cathepsin K and cathepsin S inhibitors and in treating pathology and/or symptomatology of diseases caused by cysteine protease activity |
| INVENTOR(S): | Link, John O.; Martelli, Arnold J.; Martichonok, Valeri; Patterson, John W.; Saunders, Oliver L.; Zipfel, Sheila |
| PATENT ASSIGNEE(S): | Axys Pharmaceuticals, Inc., USA |
| SOURCE: | PCT Int. Appl., 223 pp.<br>CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 1 |
| PATENT INFORMATION: | |

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000055144 | A1 | 20000921 | WO 2000-US6885 | 20000315 |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,

L10 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY; DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| CA 2367352 | AA | 20000921 | CA 2000-2367352 | | 20000315 |
| AU 2000037507 | A5 | 20001004 | AU 2000-37507 | | 20000315 |
| AU 774664 | B2 | 20040701 | | | |
| EP 1161422 | A1 | 20011212 | EP 2000-916397 | | 20000315 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| BR 2000009044 | A | 20020115 | BR 2000-9044 | | 20000315 |
| TR 200103335 | T2 | 20020422 | TR 2001-200103335 | | 20000315 |
| JP 2002539201 | T2 | 20021119 | JP 2000-605574 | | 20000315 |
| EE 200100486 | A | 20030217 | EE 2001-486 | | 20000315 |
| US 6576630 | B1 | 20030610 | US 2000-525507 | | 20000315 |
| EP 1516877 | A1 | 20050323 | EP 2004-15656 | | 20000315 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | | |
| ZA 2001007496 | A | 20021211 | ZA 2001-7496 | | 20010911 |
| NO 2001004483 | A | 20011101 | NO 2001-4483 | | 20010914 |
| BG 105969 | A | 20020531 | BG 2001-105969 | | 20011002 |
| HR 2001000736 | A1 | 20021231 | HR 2001-736 | | 20011012 |
| US 2003232864 | A1 | 20031218 | US 2003-354888 | | 20030128 |
| AU 2004201071 | A1 | 20040408 | AU 2004-201071 | | 20040315 |

| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | US 1999-124421P | P | 19990315 |
| | AU 2000-37507 | A3 | 20000315 |
| | EP 2000-916397 | A3 | 20000315 |
| | US 2000-525507 | A1 | 20000315 |
| | WO 2000-US6885 | W | 20000315 |

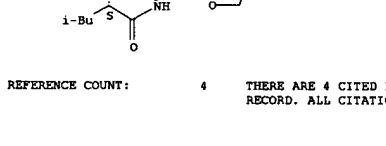
OTHER SOURCE(S): MARPAT 133:252041

IT **294884-90-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amine derivs. as cathepsin K and cathepsin S inhibitors useful in disorders caused by cysteine protease activity)

RN 294884-90-5 HCAPLUS

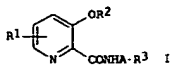
CN Carbamic acid, [(1S)-3-methyl-1-[[[(1S)-3-phenyl-1-[[4-[[[(1S,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]carbonyl]propyl]amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 15 May 2000
GI



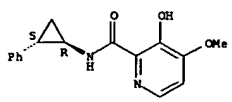
AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R1 is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or cyclohexyl or those wherein A is alkylene and R3 is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides containing the same; and a process for the preparation of the compds. Thus, a solution of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxypicolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

ACCESSION NUMBER: 2000:314676 HCAPLUS
DOCUMENT NUMBER: 132:334362
TITLE: Preparation of picolinamide derivatives and pest controllers containing the same as the active ingredient
INVENTOR(S): Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000026191 | A1 | 20000511 | WO 1999-JP6142 | 19991104 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2353627 | AA | 20000511 | CA 1999-2353627 | 19991104 |
| EP 1134214 | A1 | 20010919 | EP 1999-954375 | 19991104 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| AU 771975 | B2 | 20040408 | AU 2000-10768 | 19991104 |
| PRIORITY APPLN. INFO.: | | | JP 1998-313688 A | 19981104 |
| | | | WO 1999-JP6142 W | 19991104 |

OTHER SOURCE(S): MARPAT 132:334362
IT 267416-05-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of picolinamide derivs. as pest controllers)
RN 267416-05-7 HCAPLUS
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

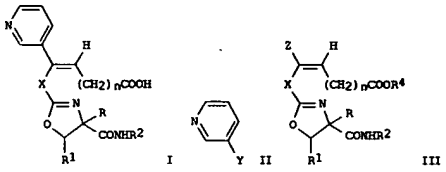
Relative stereochemistry.



Provisional

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 Jan 1999
GI



AB The title compds. I [n = 2-5; X = 1,2-C6H4, 1,3-C6H4, 1,4-C6H4; R = R1 = H, RR1 = double bond; R2 = alkyl, alkenyl, alkynyl, 2-phenylcyclopropyl, C-4 substituted Ph, C-4 substituted cyclohexyl, R3-substituted alkyl or oxaalkyl [R3 = (un)substituted cycloalkyl, Ph, tetrahydropyranyl, morpholino, piperidino, pyrrolidino, etc.]] and their salts, which possess thromboxane receptor antagonism activity, inhibited thromboxane synthase, inhibited induced blood platelet aggregation, and demonstrated an absence of TXA2 agonist activity, were prepared by Stille coupling reactions of pyridines II and alkenes III (Y, Z = Br, iodo, F3CSO3, trialkylstannyl; R4 = carboxy protecting group) in the presence of a Stille palladium coupling catalyst. Alternatively, I were prepared by Wittig olefination reactions of appropriate 3-pyridinyl oxazolylphenyl ketones.

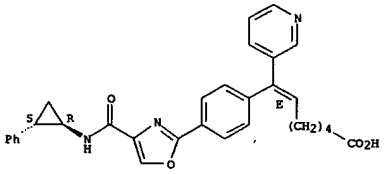
ACCESSION NUMBER: 1999:3310 HCAPLUS
DOCUMENT NUMBER: 130:52408
TITLE: Processes for the preparation of e-(3-pyridinyl)-e-[(carbamoyloxazolyl)phenyl] alkenoic acids with thromboxane receptor antagonism activity
INVENTOR(S): Nelson, Katrina Ann; Nunes, Joseph John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 32 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5849922 | A | 19981215 | US 1997-862710 | 19970523 |
| US 5990308 | A | 19991123 | US 1998-151122 | 19980910 |
| US 6031095 | A | 20000229 | US 1998-150996 | 19980910 |
| PRIORITY APPLN. INFO.: | | | US 1996-18749P P | 19960531 |
| | | | US 1997-862710 A3 | 19970523 |

OTHER SOURCE(S): CASREACT 130:52408; MARPAT 130:52408
IT 200399-88-8P 200399-89-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (pyridinyl)[(carbamoyloxazolyl)phenyl] alkenoic acids with thromboxane receptor antagonism and thromboxane synthase inhibiting activity)

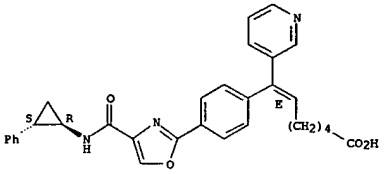
L10 ANSWER 16 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 200399-88-8 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.
Double bond geometry as shown.



RN 200399-89-9 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(-)- (9CI) (CA INDEX NAME)

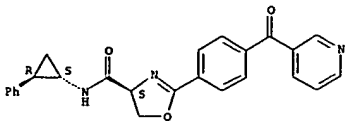
Rotation (-). Absolute stereochemistry unknown.
Double bond geometry as shown.



IT 200400-45-9P 200400-46-0P 200400-53-9P
200400-54-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (pyridinyl)[(carbamoyloxazolyl)phenyl] alkenoic acids with thromboxane receptor antagonism and thromboxane synthase inhibiting activity)
RN 200400-45-9 HCAPLUS
CN 4-Oxazolecarboxamide, 4,5-dihydro-N-[(1S,2R)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, (4S)- (9CI) (CA INDEX NAME)

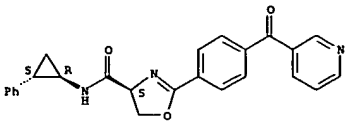
Absolute stereochemistry.

L10 ANSWER 16 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



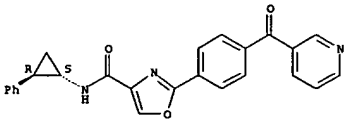
RN 200400-46-0 HCAPLUS
CN 4-Oxazolecarboxamide, 4,5-dihydro-N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 200400-53-9 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(+)- (9CI) (CA INDEX NAME)

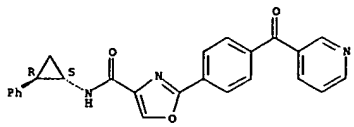
Rotation (+). Absolute stereochemistry unknown.



RN 200400-54-0 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(-)- (9CI) (CA INDEX NAME)

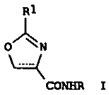
Rotation (-). Absolute stereochemistry unknown.

L10 ANSWER 16 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Jan 1999
GI



AB Title compds. [I; R = alk(en)yl, phenylalkyl, heterocyclylalkyl, etc.; R1 = ZCR2:CH(CH2)nCO2H; R2 = 3-pyridyl throughout; Z = phenylene; n = 2-5; dashed line = optional bond] were prepared as thromboxane receptor and synthase antagonists. Thus, Me (E)-7-(4-carboxyphenyl)-7-(3-pyridyl)-6-heptenoate was amidated by N-(4-cyclohexylbutyl)-O-(tert-butyldimethylsilyl)-L-serinamide (preparation each given) and the deprotected product cyclized to give, after dehydrogenation and saponification, I [R = 4-cyclohexylbutyl, R1 = (E)-C6H4[CR2:CH(CH2)4CO2H]-4, dashed line = bond]. Data for biol. activity of I were given.

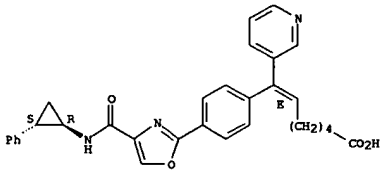
ACCESSION NUMBER: 1998:816109 HCAPLUS
DOCUMENT NUMBER: 130:66485
TITLE: Preparation of α-[(carbamoyl-2-oxazolyl)phenyl-α-(3-pyridyl)alkenoates as thromboxane A2 antagonists
INVENTOR(S): Jakubowski, Joseph Anothony; Mais, Dale Eugene; Takeuchi, Kumiko
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 5849766 | A | 19981215 | US 1997-862505 | | 19970523 |
| US 6075147 | A | 20000613 | US 1998-148288 | | 19980904 |
| US 6114534 | A | 20000905 | US 1998-148461 | | 19980904 |
| PRIORITY APPLN. INFO.: | | | US 1996-18595P | P | 19960531 |
| | | | US 1997-862505 | A3 | 19970523 |

OTHER SOURCE(S): MARPAT 130:66485
IT 200399-88-8P 200399-89-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-[(carbamoyl-2-oxazolyl)phenyl-α-(3-pyridyl)alkenoates as thromboxane A2 antagonists)
RN 200399-88-8 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(+)- (9CI) (CA INDEX NAME)

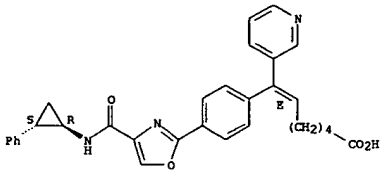
Rotation (+). Absolute stereochemistry unknown.
Double bond geometry as shown.

L10 ANSWER 17 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



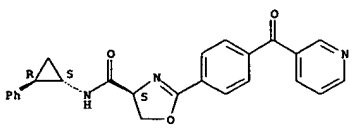
RN 200399-89-9 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.
Double bond geometry as shown.



IT 200400-45-9P 200400-46-0P 200400-53-9P 200400-54-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of α-[(carbamoyl-2-oxazolyl)phenyl-α-(3-pyridyl)alkenoates as thromboxane A2 antagonists)
RN 200400-45-9 HCAPLUS
CN 4-Oxazolecarboxamide, 4,5-dihydro-N-[(1S,2R)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, (4S)- (9CI) (CA INDEX NAME)

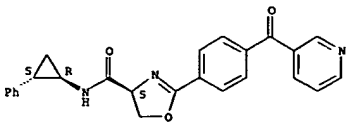
Absolute stereochemistry.



RN 200400-46-0 HCAPLUS
CN 4-Oxazolecarboxamide, 4,5-dihydro-N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, (4S)- (9CI) (CA INDEX NAME)

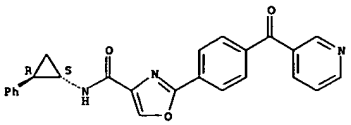
L10 ANSWER 17 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



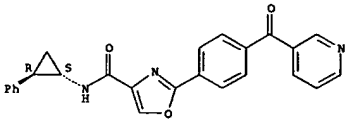
RN 200400-53-9 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



RN 200400-54-0 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(-)- (9CI) (CA INDEX NAME)

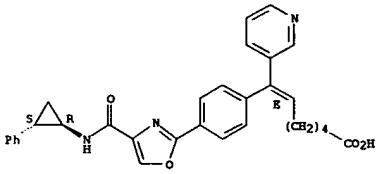
Rotation (-). Absolute stereochemistry unknown.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

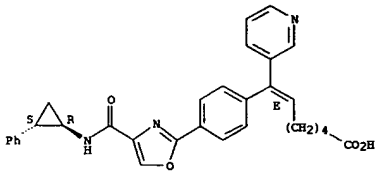
L10 ANSWER 18 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
inhibitor activity of carbamoyloxazolylphenyl(pyridyl)heptenoic acids)
RN 200399-88-8 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.
Double bond geometry as shown.



RN 200399-89-9 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(-)- (9CI) (CA INDEX NAME)

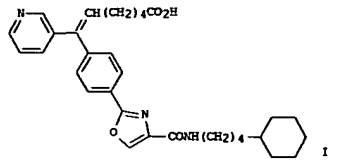
Rotation (-). Absolute stereochemistry unknown.
Double bond geometry as shown.



IT **200400-53-9P 200400-54-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thromboxane receptor antagonist and thromboxane synthase inhibitor activity of carbamoyloxazolylphenyl(pyridyl)heptenoic acids)
RN 200400-53-9 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

L10 ANSWER 18 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 03 Dec 1998
GI

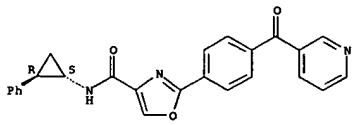


AB A novel series of oxazolecarboxamide-substituted e-phenyl-e-(3-pyridyl)alkenoic acid derivs. was discovered as potent dual-acting agents to block the TXA2 receptor and to inhibit the thromboxane synthase (TRA/TSI). Synthesis, structure-activity relationship (SAR), and in vitro and in vivo pharmacol. of this series of compds. are described. Modification of the series revolved around the oxazole moiety to increase the hydrophilicity of the compds. and to correlate the biol. activity with lipophilicity of the compds. The most potent in the series was (E)-7-[4-[4-[[(4-cyclohexylbutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridyl)hept-6-enoic acid (I) with Kd = 9.9 ± 0.4 nM for thromboxane receptor antagonism and IC50 = 55.0 ± 17.9 nM for thromboxane synthase inhibition. I was a selective TRA/TSI which exhibited desirable characteristics for oral activity, shunt effect to elevate PGI2 level, and absence of agonist activity.

ACCESSION NUMBER: 1998:756609 HCAPLUS
DOCUMENT NUMBER: 130:110182
TITLE: Development of Dual-Acting Agents for Thromboxane Receptor Antagonism and Thromboxane Synthase Inhibition. 3. Synthesis and Biological Activities of Oxazolecarboxamide-Substituted e-Phenyl-e-(3-pyridyl)alkenoic Acid Derivatives and Related Compounds
AUTHOR(S): Takeuchi, Kumiko; Kohn, Todd J.; True, Timothy A.; Mais, Dale E.; Wikel, James H.; Utterback, Barbara G.; Wyss, Virginia L.; Jakubowski, Joseph A.
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(27), 5362-5374
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

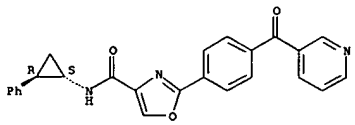
IT **200399-88-8P 200399-89-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and thromboxane receptor antagonist and thromboxane synthase

L10 ANSWER 18 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



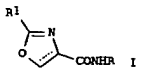
RN 200400-54-0 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Feb 1998
GI



AB Title compds. [I; R = alk(en)yl, cycloalkylalkyl, phenylalkyl, etc.; R1 = ZCR2:CH(CH2)nCO2H; R2 = 3-pyridiyl; Z = phenylene; n = 2-5; dashed line = optional addnl. bond] were prepared Thus, 4-(Me3CMe2SiO)C6H4CHO was condensed with 3-bromopyridine and the oxidized product condensed with BrPh3P(CH2)5CO2H to give, in 2 addnl. steps, (E)-4-(HO2C)C6H4CR2:CH(CH2)4CO2Me (R2 = 3-pyridiyl) which was condensed with (S)-Me3CMe2SiOCH2CH(NH2)CONHR (R = 4-cyclohexylbutyl)(preparation given) to give, in 3 addnl. steps, I [R = 4-cyclohexylbutyl, R1 = (E)-C6H4[CR2:CH(CH2)4CO2H]-4, R2 = 3-pyridiyl, dashed line = addnl. bond]. Data for biol. activity of I were given.

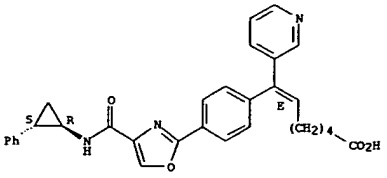
ACCESSION NUMBER: 1998:116096 HCAPLUS
DOCUMENT NUMBER: 128:140692
TITLE: Preparation of ω-[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors
INVENTOR(S): Nelson, Katrina Ann; Nunes, Joseph John
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| EP 816361 | A2 | 19980107 | EP 1997-303656 | | 19970529 |
| EP 816361 | A3 | 19980408 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | | |
| CA 2206469 | AA | 19971130 | CA 1997-2206469 | | 19970528 |
| JP 10059966 | A2 | 19980303 | JP 1997-141619 | | 19970530 |
| PRIORITY APPLN. INFO.: | | | US 1996-18749P | P | 19960531 |
| | | | GB 1996-13219 | A | 19960625 |

OTHER SOURCE(S): MARPAT 128:140692
IT **200399-88-8P 200399-89-9P 201993-61-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ω-[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors)
RN 200399-88-8 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(+)- (9CI) (CA INDEX NAME)

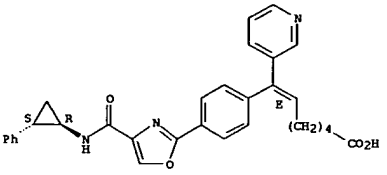
Rotation (+). Absolute stereochemistry unknown.
Double bond geometry as shown.

L10 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



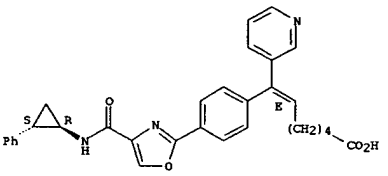
RN 200399-89-9 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.
Double bond geometry as shown.



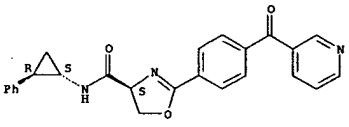
RN 201993-61-5 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylcyclopropyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, [1α(E),2β]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



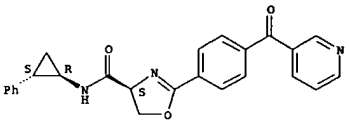
L10 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT **200400-45-9P 200400-46-0P 200400-53-9P**
**200400-54-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ω-[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors)
RN 200400-45-9 HCAPLUS
CN 4-Oxazolecarboxamide, 4,5-dihydro-N-[(1S,2R)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



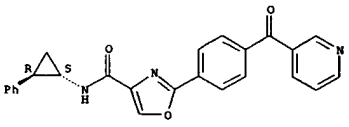
RN 200400-46-0 HCAPLUS
CN 4-Oxazolecarboxamide, 4,5-dihydro-N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 200400-53-9 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(+)- (9CI) (CA INDEX NAME)

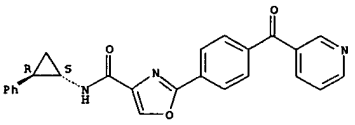
Rotation (+). Absolute stereochemistry unknown.



RN 200400-54-0 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

L10 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L10 ANSWER 20 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Dec 1997
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; n = 2-5; L = ortho-, meta- or para-phenylene; Ra = H; RaRa = a bond; R = C3-12 alkyl, C3-12 alkenyl, C3-12 alkynyl, etc.] in either the E-form, the Z-form or a mixture thereof, which are ω-phenyl-ω-(3-pyridyl)-ω-alkenoic acid derivs. bearing a carbamoyl substituted oxazolyl or oxazolinyl group on the Ph ring and which demonstrate utility for thromboxane receptor antagonism and/or thromboxane synthase inhibition, were prepared and formulated. Thus, reaction of the acid II with L-serinamide III in the presence of HOBT and DCC in THF followed by TBS-group removal, cyclization of the resulting hydroxybisamide IV in the presence of PPh3, iPr2NEt in CCl4/MeCN, and hydrolysis of the ester V afforded the acid (4S)-(E)-VI which showed IC50 of 82.1 nM against thromboxane synthase.

ACCESSION NUMBER: 1997:801923 HCAPLUS
DOCUMENT NUMBER: 128:61507
TITLE: Preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists
INVENTOR(S): Jakubowski, Joseph Anthony; Mais, Dale Eugene; Takeuchi, Kumiko
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| EP 811621 | A2 | 19971210 | EP 1997-303662 | | 19970529 |
| EP 811621 | A3 | 19980204 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | | |
| CA 2206466 | AA | 19971130 | CA 1997-2206466 | | 19970528 |
| JP 10059965 | A2 | 19980303 | JP 1997-141590 | | 19970530 |
| PRIORITY APPLN. INFO.: | | | US 1996-18595P | P | 19960531 |
| | | | GB 1996-13222 | A | 19960625 |

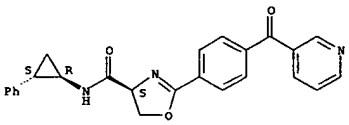
OTHER SOURCE(S): MARPAT 128:61507
IT **200399-88-8P 200399-89-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)
RN 200399-88-8 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.
Double bond geometry as shown.

L10 ANSWER 20 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

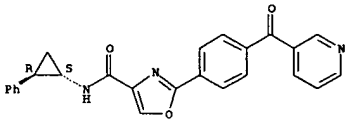
RN 200400-46-0 HCAPLUS
CN 4-Oxazolecarboxamide, 4,5-dihydro-N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



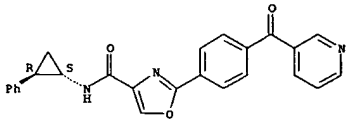
RN 200400-53-9 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

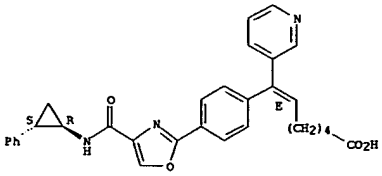


RN 200400-54-0 HCAPLUS
CN 4-Oxazolecarboxamide, N-[(1R,2S)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

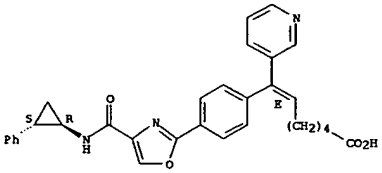


L10 ANSWER 20 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



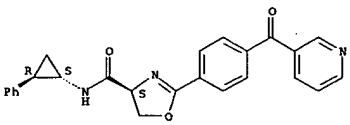
RN 200399-89-9 HCAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.
Double bond geometry as shown.

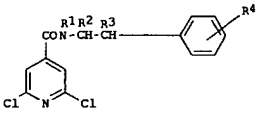


IT **200400-45-9P 200400-46-0P 200400-53-9P 200400-54-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)
RN 200400-45-9 HCAPLUS
CN 4-Oxazolecarboxamide, 4,5-dihydro-N-[(1S,2R)-2-phenylcyclopropyl]-2-[4-(3-pyridinylcarbonyl)phenyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 21 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Aug 1997
GI



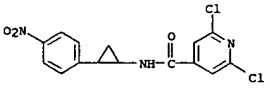
I

AB The title compds. I [R1 = H, alkyl; R2, R3 = H, alkyl; R4 = H, nitro, etc.; or R1R4= ring, R2R3 = ring; a proviso is given] are prepared I [R1 = R2 = R3 = R4 = H] at 5 mg/pot gave 98% control of Pyricularia oryzae.

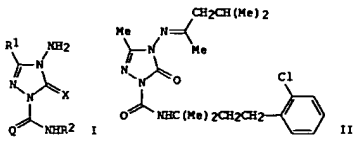
ACCESSION NUMBER: 1997:500124 HCAPLUS
DOCUMENT NUMBER: 127:121638
TITLE: Preparation of isonicotinamide derivatives as agrochemical biocides
INVENTOR(S): Asada, Toru; Tsuboi, Hiroyuki; Yoshioka, Nobuyuki; Koiso, Teruhiro; Goto, Takashi
PATENT ASSIGNEE(S): Dainippon Ink and Chemicals, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09165374 | A2 | 19970624 | JP 1995-327050 | 19951215 |
| PRIORITY APPLN. INFO.: | | | JP 1995-327050 | 19951215 |

OTHER SOURCE(S): MARPAT 127:121638
IT **192633-95-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isonicotinamide derivs. as agrochem. biocides)
RN 192633-95-7 HCAPLUS
CN 4-Pyridinecarboxamide, 2,6-dichloro-N-[2-(4-nitrophenyl)cyclopropyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 22 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 May 1993
GI



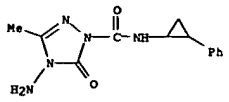
AB Triazolinones I (R1 = alkyl or cycloalkyl, R2 = aryl, aralkyl, arylalkenyl or arylalkynyl, X = O or S, Q = O or S) and precursors to I are prepared as herbicides. Treatment of 3.9 g of 4-(4-methylpent-2-ylideniimino)-3-methyl-1,2,4-triazolin-5-one in 100 mL MeCN containing 0.2 g DBU and 4.5 g 2-methyl-4-(2-chlorophenyl)-2-butyl isocyanate gave 97% of II. Many I were active both pre- and postemergent.

ACCESSION NUMBER: 1993:213082 HCAPLUS
DOCUMENT NUMBER: 118:213082
TITLE: preparation of triazolinone derivatives as herbicides
INVENTOR(S): Kuhnt, Dietmar; Mueller, Klaus Helmut; Findeisen, Kurt; Koenig, Klaus; Luerssen, Klaus; Santel, Hans Joachim; Schmidt, Robert R.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 511569 | A1 | 19921104 | EP 1992-106779 | 19920421 |
| R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL | | | | |
| DE 4114074 | A1 | 19921105 | DE 1991-4114074 | 19910430 |
| US 5273958 | A | 19931228 | US 1992-871788 | 19920420 |
| JP 05194434 | A2 | 19930803 | JP 1992-134490 | 19920428 |

PRIORITY APPLN. INFO.: DE 1991-4114074 A 19910430
OTHER SOURCE(S): CASREACT 118:213082; MARPAT 118:213082
IT **146850-59-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)
RN 146850-59-1 HCAPLUS
CN 1H-1,2,4-Triazole-1-carboxamide, 4-amino-4,5-dihydro-3-methyl-5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

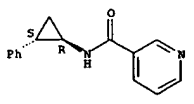


L10 ANSWER 23 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Jun 1991
GI

AB Several brain-targeting chemical delivery systems (CDS) based on a dihydropyridine ⇔ pyridinium salt type redox system were synthesized for the monoamine oxidase inhibitor tranylcypromine (I). The dihydronicotinate moiety was chemical attached to the amino group of I be either an amide or substituted carbamate linkages. Physicochem. studies of the new derivs., including chromatog. Rm detns., were performed. Only the substituted carbamate-type derivs. manifested an increased lipophilicity relative to the parent compound In vitro oxidation stability studies were also performed on selected derivs. using a ferricyanide-mediated method. Results of this assay showed that the dihydropyridine-type derivs. oxidized to the resp. quaternary salt forms with stabilities which empirically correlated with other effective CDSs. Preliminary in vivo studies performed in rats indicated that some of the new derivs. exerted significant biolog. activity.

ACCESSION NUMBER: 1991:240548 HCAPLUS
DOCUMENT NUMBER: 114:240548
TITLE: Redox derivatives of tranylcypromine: syntheses, properties, and monoamine oxidase inhibitor activity of some chemical delivery systems
AUTHOR(S): Prokai-Tatrai, Katalin; Pop, Emil; Anderson, Wesley; Lin, Jun Liang; Brewster, Marcus E.; Bodor, Nicholas
CORPORATE SOURCE: Coll. Pharm., Univ. Florida, Gainesville, FL, 32610, USA
SOURCE: Journal of Pharmaceutical Sciences (1991), 80(3), 255-61
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:240548
IT **133941-05-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 133941-05-6 HCAPLUS
CN 3-Pyridinecarboxamide, N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

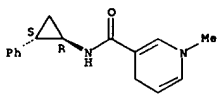


Previse

IT **133950-61-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and properties and monoamine oxidase inhibitory activity of)
RN 133950-61-5 HCAPLUS
CN 3-Pyridinecarboxamide, 1,4-dihydro-1-methyl-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

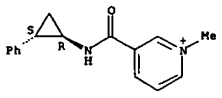
L10 ANSWER 23 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Relative stereochemistry.



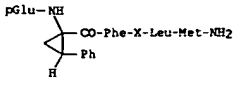
IT **133941-06-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 133941-06-7 HCAPLUS
CN Pyridinium, 1-methyl-3-[[(2-phenylcyclopropyl)amino]carbonyl]-, iodide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● I⁻

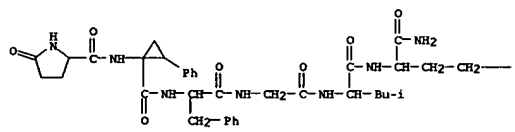
L10 ANSWER 24 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Dec 1989
GI



I

AB A symposium on the prepn and activity of the title compds. (2S,3R)- and 2R,3S)-I (X = Gly, Pro) toward substance P receptors.
ACCESSION NUMBER: 1989:614919 HCAPLUS
DOCUMENT NUMBER: 111:214919
TITLE: Synthesis and biological activities of structurally constrained cyclopropylphenylalanine-containing analog of septide, a highly selective peptide for substance P receptor subtype
AUTHOR(S): Yoshitomi, Haruko; Shimohigashi, Yasuyuki; Matsumoto, Hiroshi; Waki, Michinori; Takano, Yukio; Kamiya, Hiroo; Stammer, Charles
CORPORATE SOURCE: Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan
SOURCE: Peptide Chemistry (1989), Volume Date 1988, 26th, 43-6
CODEN: PECHDP; ISSN: 0388-3698
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **123450-26-0P 123450-27-1P 123536-56-1P 123536-57-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and binding of, to substance P receptors)
RN 123450-26-0 HCAPLUS
CN L-Methioninamide, 5-oxo-L-prolyl-(1S-trans)-2-phenyl-1-aminocyclopropanecarbonyl-L-phenylalanylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

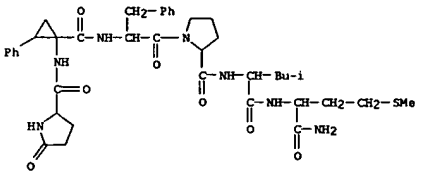
PAGE 1-A



PAGE 1-B

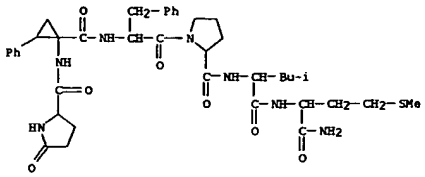
— SMe

L10 ANSWER 24 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



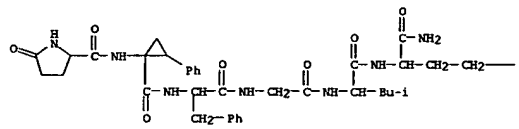
L10 ANSWER 24 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 123450-27-1 HCAPLUS
CN L-Methioninamide, 5-oxo-L-prolyl-(1R-trans)-2-phenyl-1-aminocyclopropanecarbonyl-L-phenylalanyl-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)



RN 123536-56-1 HCAPLUS
CN L-Methioninamide, 5-oxo-L-prolyl-(1R-trans)-2-phenyl-1-aminocyclopropanecarbonyl-L-phenylalanylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

PAGE 1-A



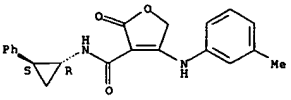
PAGE 1-B

— SMe

RN 123536-57-2 HCAPLUS
CN L-Methioninamide, 5-oxo-L-prolyl-(1S-trans)-2-phenyl-1-aminocyclopropanecarbonyl-L-phenylalanyl-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

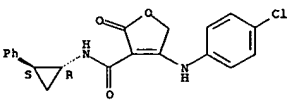
L10 ANSWER 25 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 Feb 1989
AB The 13C NMR spectra of a series of novel 2(5H)-furanones were studied. The assignments of the carbon resonances were made on the basis of chemical shifts, long-range carbon-hydrogen couplings, internal comparison and known reference sources.
ACCESSION NUMBER: 1989:38510 HCAPLUS
DOCUMENT NUMBER: 110:38510
TITLE: Carbon-13 NMR spectra of some novel 2(5H)-furanone and 3(2H)-furanone derivatives
AUTHOR(S): Kuipers, William J.; Mack, Robert A.; Georgiev, Vassil S.
CORPORATE SOURCE: Pharm. Div., Pennwalt Corp., Rochester, NY, 14623, USA
SOURCE: Magnetic Resonance in Chemistry (1988), 26(1), 89-91
CODEN: MRCHEG; ISSN: 0749-1581
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **106212-49-1 106212-50-4 106212-51-5 106212-53-7**
RL: PRP (Properties)
(carbon-13 NMR of)
RN 106212-49-1 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-methylphenyl)amino]-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 106212-50-4 HCAPLUS
CN 3-Furancarboxamide, 4-[(4-chlorophenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

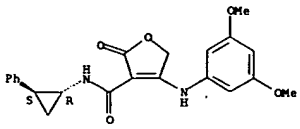
Relative stereochemistry.



RN 106212-51-5 HCAPLUS
CN 3-Furancarboxamide, 4-[(3,5-dimethoxyphenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

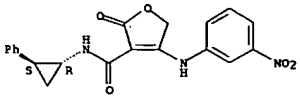
Relative stereochemistry.

L10 ANSWER 25 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

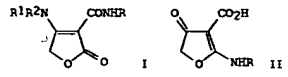


RN 106212-53-7 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-nitrophenyl)amino]-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



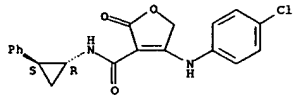
L10 ANSWER 26 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Dec 1988
GI



AB A novel rearrangement of furancarboxamides I (R = aryl, trans-2-phenylcyclopropyl; R1 = H, Me, R2 = aryl; NR1R2 = NEt2, morpholino, piperidino, pyrrolidino, 1,2,3,4-tetrahydro-1-quinolinyl) in refluxing aqueous EtOH cont. KOH gave 22-100% furancarboxylic acids II.

ACCESSION NUMBER: 1988:630669 HCAPLUS
DOCUMENT NUMBER: 109:230669
TITLE: A novel rearrangement of 2(5H)-furanones
AUTHOR(S): Mack, Robert A.; DeCory, Thomas R.; Georgiev, Vassil St.
CORPORATE SOURCE: Dep. Org. Chem., Penwalt Corp., Rochester, NY, 14623, USA
SOURCE: Helvetica Chimica Acta (1988), 71(4), 783-7
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:230669
IT **106212-50-4 106212-52-6 106212-53-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(rearrangement of, aminooxofurancarboxylic acid from base-promoted)
RN 106212-50-4 HCAPLUS
CN 3-Furancarboxamide, 4-[(4-chlorophenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

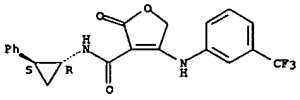
Relative stereochemistry.



RN 106212-52-6 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-4-[[3-(trifluoromethyl)phenyl]amino]-, trans- (9CI) (CA INDEX NAME)

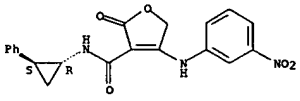
Relative stereochemistry.

L10 ANSWER 26 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

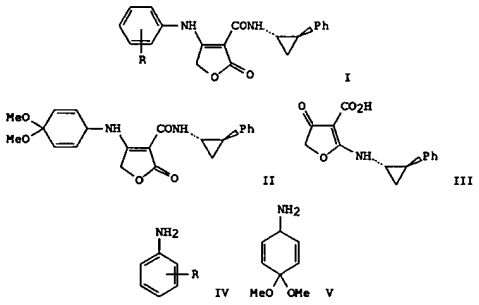


RN 106212-53-7 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-nitrophenyl)amino]-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L10 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Jun 1988
GI

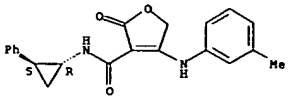


AB The synthesis and antiallergic activity of (arylamino)dihydrooxo-N-cyclopropyl)furancarboxamides I (R = 3-Me, 3-NO2, 3-CF3, 4-Cl) and II were described. Treatment of N-substituted aminodihydrooxofurancarboxylic acids III with chlorooxobis(2-oxo-1,3-oxazolidin-3-yl)phosphorus and amines IV (R = 3-Me, 3-NO2, 3-CF3, 4-Cl) and V in the presence of Et3N gave I, via rearrangement. Antiallergic activities of I and II were tested in the dermal vascular permeability and active anaphylaxis assays in rats. I (R = 4-Cl) inhibited serotonin, histamine, and bradykinin by 94, 92, and 100% resp., when administered i.p. to rats at doses of 100 mg/kg.

ACCESSION NUMBER: 1988:204431 HCAPLUS
DOCUMENT NUMBER: 108:204431
TITLE: Drug-induced modifications of the immune response. Part 9. 4-(Arylamino)-2,5-dihydro-2-oxo-N-(trans-2-phenylcyclopropyl)furan-3-carboxamides as novel antiallergic compounds
AUTHOR(S): Georgiev, Vassil St.; Mack, Robert A.; Walter, David J.; Radov, Lesley A.; Baer, Jane E.
CORPORATE SOURCE: Pharm. Div., Penwalt Corp., Rochester, NY, 14623, USA
SOURCE: Helvetica Chimica Acta (1987), 70(6), 1526-30
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:204431
IT **106212-49-1P 106212-50-4P 106212-51-5P 106212-52-6P 106212-53-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiallergic activity of)
RN 106212-49-1 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-methylphenyl)amino]-2-oxo-N-(2-

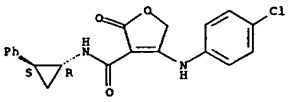
L10 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



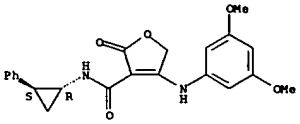
RN 106212-50-4 HCAPLUS
CN 3-Furancarboxamide, 4-[(4-chlorophenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 106212-51-5 HCAPLUS
CN 3-Furancarboxamide, 4-[(3,5-dimethoxyphenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

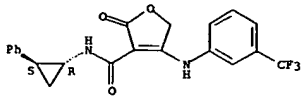
Relative stereochemistry.



RN 106212-52-6 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-4-[[3-(trifluoromethyl)phenyl]amino]-, trans- (9CI) (CA INDEX NAME)

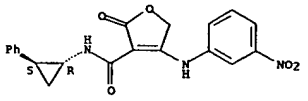
Relative stereochemistry.

L10 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

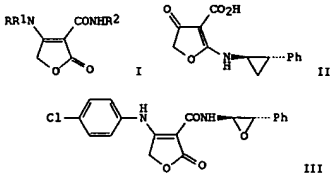


RN 106212-53-7 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-nitrophenyl)amino]-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L10 ANSWER 28 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 May 1987
GI



AB The title compds. [I; R = (substituted) Ph; R1 = H, alkyl; R2 = (substituted) Ph, trans-phenylcyclopropyl] were prepared as allergy inhibitors. Thus, 4-ClC6H4NH2 reacted with aminofuranonecarboxylate II in the presence of Et3N and bis(2-oxo-3-oxazolidinyl)phosphinic chloride to give butenolide III. In the rat dermal vascular permeability assay, 100 mg III/kg i.p. gave >90% inhibition with resp. to serotonin, histamine, and bradykinin.

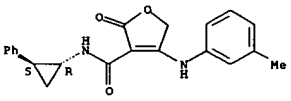
ACCESSION NUMBER: 1987:176155 HCAPLUS
DOCUMENT NUMBER: 106:176155
TITLE: N-phenyl- and N-(phenylcyclopropyl)-2,5-dihydro-2-oxo-4-(substituted anilino)-3-furancarboxamides as antiallergy agents
INVENTOR(S): Georgiev, Vassil S.; Mack, Robert A.
PATENT ASSIGNEE(S): Pennwalt Corp., USA
SOURCE: U.S., 4 pp. Cont.-in-part of U.S. Ser. No. 653,254.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4625040 | A | 19861125 | US 1986-839298 | 19860313 |
| US 4614810 | A | 19860930 | US 1984-653254 | 19840924 |
| CA 1240687 | A1 | 19880816 | CA 1985-488712 | 19850814 |
| AU 8546298 | A1 | 19860410 | AU 1985-46298 | 19850819 |
| AU 570572 | B2 | 19880317 | | |
| IL 76194 | A1 | 19880630 | IL 1985-76194 | 19850826 |
| ZA 8506907 | A | 19870325 | ZA 1985-6907 | 19850910 |
| JP 61078778 | A2 | 19860422 | JP 1985-203591 | 19850917 |
| DK 8504295 | A | 19860325 | DK 1985-4295 | 19850923 |
| FI 8503648 | A | 19860325 | FI 1985-3648 | 19850923 |
| NO 8503737 | A | 19860325 | NO 1985-3737 | 19850923 |
| JP 62265278 | A2 | 19871118 | JP 1987-45401 | 19870302 |
| CA 1254222 | A1 | 19890516 | CA 1987-531018 | 19870303 |
| EP 237028 | A1 | 19870916 | EP 1987-103431 | 19870310 |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |

PRIORITY APPLN. INFO.: US 1984-653254 A2 19840924
US 1986-839298 A 19860313
OTHER SOURCE(S): CASREACT 106:176155; MARPAT 106:176155

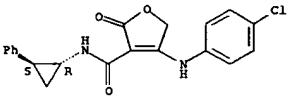
L10 ANSWER 28 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT **106212-49-1P 106212-50-4P 106212-51-5P**
**106212-52-6P 106212-53-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as allergy inhibitor)
RN 106212-49-1 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-methylphenyl)amino]-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



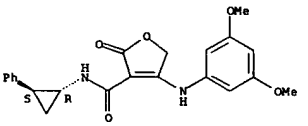
RN 106212-50-4 HCAPLUS
CN 3-Furancarboxamide, 4-[(4-chlorophenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 106212-51-5 HCAPLUS
CN 3-Furancarboxamide, 4-[(3,5-dimethoxyphenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

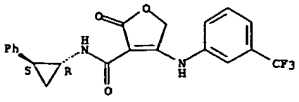
Relative stereochemistry.



RN 106212-52-6 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-4-[[3-(trifluoromethyl)phenyl]amino]-, trans- (9CI) (CA INDEX NAME)

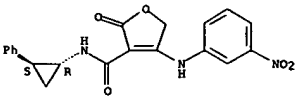
Relative stereochemistry.

L10 ANSWER 28 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

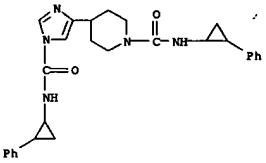


RN 106212-53-7 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-nitrophenyl)amino]-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

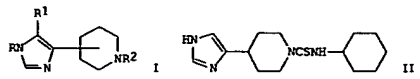
Relative stereochemistry.



L10 ANSWER 29 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L10 ANSWER 29 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Mar 1987
GI



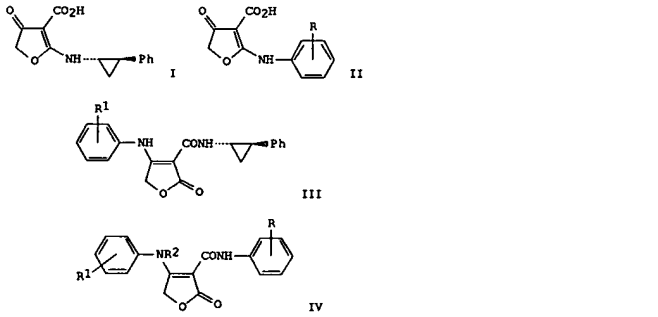
AB Title compds. I [R = H, R2; R1 = H, Me, Et; R2 = alkyl, piperonyl, benzimidazolonylpropyl, (CH2)nXR3; R3 = (substituted) Ph; n = 1-3; X = bond, O, S, NH, CO, CH:CH, CHR3] are prepared and shown to block histamine H3 receptors. 4-(4-Piperidinyl)-1H-imidazole reacted with cyclohexyl isothiocyanate to give 74% (aminothiocarbonyl)piperidinylimidazole II. II blocked H3 histamine receptors in vitro, and increased the renewal of depleted histamine in rat cerebral cortex in vivo.

ACCESSION NUMBER: 1987:84602 HCAPLUS
DOCUMENT NUMBER: 106:84602
TITLE: 4-Imidazolylpiperidines and their H3 histamine receptor antagonist activity
INVENTOR(S): Arrang, Jean Michel; Garbarg, Monique; Lancelot, Jean Charles Maurice; Lecomte, Jeanne Marie; Robba, Max Fernand; Schwartz, Jean Charles
PATENT ASSIGNEE(S): Institut National de la Sante et de la Recherche Medicale (INSERM), Fr.; Universite de Caen; Societe Civile Bioprojet
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 197840 | A1 | 19861015 | EP 1986-400639 | 19860325 |
| EP 197840 | B1 | 19900801 | | |
| R: BE, CH, DE, FR, GB, IT, LI, LU, NL | | | | |
| FR 2579596 | A1 | 19861003 | FR 1985-4496 | 19850326 |
| FR 2579596 | B1 | 19871120 | | |
| US 4707487 | A | 19871117 | US 1986-840956 | 19860317 |
| JP 61267574 | A2 | 19861127 | JP 1986-64994 | 19860325 |
| JP 07068239 | B4 | 19950726 | | |
| ES 553351 | A1 | 19870316 | ES 1986-553351 | 19860325 |

PRIORITY APPLN. INFO.: FR 1985-4496 A 19850326
OTHER SOURCE(S): CASREACT 106:84602; MARPAT 106:84602
IT **106243-38-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as histamine receptor antagonist)
RN 106243-38-3 HCAPLUS
CN 1-Piperidinecarboxamide, N-(2-phenylcyclopropyl)-4-[1-[[(2-phenylcyclopropyl)amino]carbonyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

L10 ANSWER 30 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Mar 1987
GI

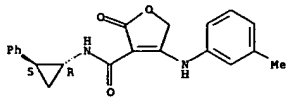


AB Treatment of furancarboxylic acids I and II (R = H, 3-NO2, 2-OMe, 3-CF3, 3-Me, 4-Br) with N,N-bis(2-oxo-3-oxazolidinyl)phosphinic chloride and an appropriate aromatic amine in the presence of Et3N resulted in a novel 3(2H)-furanone-2(5H)-furanone rearrangement that led to the facile preparation of new γ-lactone amides III [R1 = 3-Me, 4-Cl, 3-CF3, 3-NO2, 3,5-(OMe)2] and IV (R1 = 4-Cl, 4-Me, H, 3-CF3, 3-NO2, 4-OMe, 2-Me2CH; R2 = H,Me) resp. The mol. structure of IV (R = R2 = H, R1 = 4-Me) was determined by x-ray crystal structure anal. III and IV exerted moderate to potent antiallergic activity when tested in the dermal vascular permeability and active anaphylaxis assays in rats.

ACCESSION NUMBER: 1987:84304 HCAPLUS
DOCUMENT NUMBER: 106:84304
TITLE: A novel 3(2H)-furanone-2(5H)-furanone rearrangement
AUTHOR(S): Mack, Robert A.; Georgiev, Vassil St.
CORPORATE SOURCE: Pharm. Div., Pennwalt Corp., Rochester, NY, 14623, USA
SOURCE: Journal of Organic Chemistry (1987), 52(3), 477-8
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:84304
IT **106212-49-1P 106212-50-4P 106212-51-5P 106212-52-6P 106212-53-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106212-49-1 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-methylphenyl)amino]-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

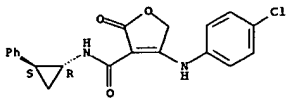
Relative stereochemistry.

L10 ANSWER 30 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



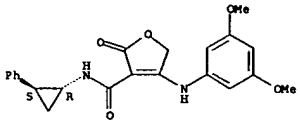
RN 106212-50-4 HCAPLUS
CN 3-Furancarboxamide, 4-[(4-chlorophenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



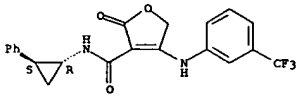
RN 106212-51-5 HCAPLUS
CN 3-Furancarboxamide, 4-[(3,5-dimethoxyphenyl)amino]-2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 106212-52-6 HCAPLUS
CN 3-Furancarboxamide, 2,5-dihydro-2-oxo-N-(2-phenylcyclopropyl)-4-[[3-(trifluoromethyl)phenyl]amino]-, trans- (9CI) (CA INDEX NAME)

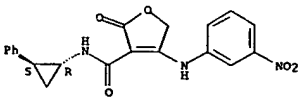
Relative stereochemistry.



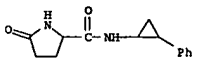
RN 106212-53-7 HCAPLUS

L10 ANSWER 30 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3-Furancarboxamide, 2,5-dihydro-4-[(3-nitrophenyl)amino]-2-oxo-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

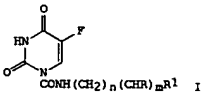
Relative stereochemistry.



L10 ANSWER 31 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 May 1985
AB Principal component anal. of the Rf values for 596 basic and neutral drugs in 4 eluent mixts. provided a significant 2-component model which explained 77% of the total variance. Each drug was characterized on a plane by 2 principal component scores. The loading plot shows that 3 eluent mixts. are clustered into the same group providing similar information. For identification of unknowns, the method provided a drastic reduction of the range of possibilities to a few candidates.
ACCESSION NUMBER: 1985:154850 HCAPLUS
DOCUMENT NUMBER: 102:154850
TITLE: Application of principal components analysis to TLC data for 596 basic and neutral drugs in four eluent systems
AUTHOR(S): Musumarra, Giuseppe; Scarlata, Giuseppe; Romano, Guido; Clementi, Sergio; Wold, Svante
CORPORATE SOURCE: Ist. Dip. Chim. Chim. Ind., Univ. Catania, Catania, 95125, Italy
SOURCE: Journal of Chromatographic Science (1984), 22(12), 538-47
CODEN: JCHSBZ; ISSN: 0021-9665
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **2829-19-8**
RL: ANT (Analyte); ANST (Analytical study)
(chromatog. of, thin-layer, principal component anal. in)
RN 2829-19-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 32 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Jan 1985
GI

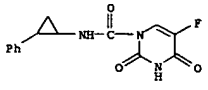


I

AB The title compds. [I; R = alkyl; R1 = (un)substituted saturated or unsatd. carbocyclyl; n, m = 1-4] were prepared Thus, 3,4-(MeO)2C6H3CH2CO2H was treated with (PhO)2P(O)N3 and Et3N to give 3,4-(MeO)2C6H3CH2NCO which was condensed without isolation with 5-fluorouracil to give I [R1 = 3,4-(MeO)2C6H3, n = 1, m = 0] (II). In mice infected with Lewis lung carcinoma 200 mg II/kg orally 3 times per wk gave a 105% increase in life span.
ACCESSION NUMBER: 1985:6062 HCAPLUS
DOCUMENT NUMBER: 102:6062
TITLE: 1-(N-Substituted carbamoyl)-5-fluorouracil derivatives with anticancer activity
INVENTOR(S): Ozaki, Shoichiro; Hoshiko, Tomonori; Ogasawara, Tomio
PATENT ASSIGNEE(S): Japan
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3301107 | A1 | 19840719 | DE 1983-3301107 | 19830114 |
| US 4497815 | A | 19850205 | US 1983-455863 | 19830105 |
| DE 3347795 | A1 | 19850801 | DE 1983-3347795 | 19830114 |
| DE 3347795 | C2 | 19900517 | | |

PRIORITY APPLN. INFO.: DE 1983-3301107 19830114
OTHER SOURCE(S): CASREACT 102:6062; MARPAT 102:6062
IT **86655-34-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and neoplasm inhibitor activity of)
RN 86655-34-7 HCAPLUS
CN 1(2H)-Pyrimidinecarboxamide, 5-fluoro-3,4-dihydro-2,4-dioxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)



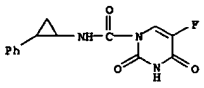
L10 ANSWER 33 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI

CONH(CH2)m(CHR1)nR I

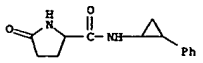
AB I [R = (substituted) aryl or aralkyl, (unsatd.) cycloalkyl, etc.; R1 = alkyl; m, n = 0-4] were prepared Thus, heating a mixture of 3.9 g 5-fluorouracil, 6.27 g 3,4,5-(MeO)3C6H2NCO, 10 mL pyridine at 90° for 2 h gave 4.8 g I [R = 3,4,5-(MeO)3C6H2, m = n = 0]. Life-prolongation rates for I at 60 and 100 mg single doses were >10 and >30%, resp., in mice implanted with 10 Lewis lung carcinoma cells.
ACCESSION NUMBER: 1983:470761 HCAPLUS
DOCUMENT NUMBER: 99:70761
TITLE: Anti-cancer 5-fluorouracils
PATENT ASSIGNEE(S): Ozaki, Shoichiro, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 58072569 | A2 | 19830430 | JP 1981-173590 | 19811027 |
| PRIORITY APPLN. INFO.: | | | JP 1981-173590 | 19811027 |

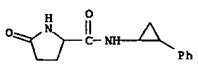
OTHER SOURCE(S): CASREACT 99:70761
IT **86655-34-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and anticancer activity of)
RN 86655-34-7 HCAPLUS
CN 1(2H)-Pyrimidinecarboxamide, 5-fluoro-3,4-dihydro-2,4-dioxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)



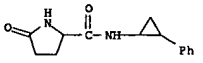
L10 ANSWER 34 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB EX-4883 [5-oxo-N-(d-trans-2-phenylcyclopropyl)-l-2-pyrrolidinecarboxamide] (I) [2829-19-8], a potent monoamine oxidase inhibitor in vivo, and tranylcypromine [3721-28-6] in equimolar concns. showed similar results on rat and cat blood pressures, on cat nictitating membrane, and on rat Langendorff heart. Although tranylcypromine showed a more potent inotropic effect than I in isolated rat atria, bioactivation of I by a soluble fraction component of rat liver homogenate shifted I activity towards that of tranylcypromine. These results, and the fact that I inhibited monoamine oxidase [9001-66-5] in vitro only after activation by liver homogenate, suggested that I was biotransformed to an active metabolite having similar pharmacol. effects to those of tranylcypromine.
ACCESSION NUMBER: 1973:105939 HCAPLUS
DOCUMENT NUMBER: 78:105939
TITLE: Role of biotransformation on the pharmacology of the monoamine oxidase inhibitor N-(d-trans-2-phenylcyclopropyl)-l-2-pyrrolidin-5-onecarboxamide (EX'-4883)
AUTHOR(S): Lowe, M. C.; Horita, A.
CORPORATE SOURCE: Sch. Med., Univ. Washington, Seattle, WA, USA
SOURCE: European Journal of Pharmacology (1973), 21(1), 46-52
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **2829-19-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of, tranylcypromine in relation to)
RN 2829-19-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)



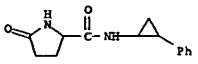
L10 ANSWER 35 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB The role of metabolism in the activation of monoamine oxidase (MAO) inhibitors was studied. One of these [5-oxo-N-(D-trans-2-phenylcyclopropyl)-L-2-pyrrolidinecarboxamide] is inactive in vitro; when incubated with the soluble fraction of rat liver (and to a lesser extent that of brain, kidney, and skeletal muscle) 2-phenylcyclopropylamine (tranylcypromine) was liberated, which inhibited MAO. It is assumed that a similar transformation is responsible for the activation of this compound in the intact animal. An irreversible MAO inhibitor, phenelzine, is also a substrate for MAO. Expts. in vivo, and in vitro demonstrated the appearance of phenylacetic acid, supporting the hypothesis that MAO is inhibited by N2H4 liberated during the dehydrazination of this compound
ACCESSION NUMBER: 1970:518743 HCAPLUS
DOCUMENT NUMBER: 73:118743
TITLE: Role of metabolism in the action of some monoamine oxidase inhibitors
AUTHOR(S): Horita, Akira; Clineschmidt, B. V.; McMonigle, J. J.
CORPORATE SOURCE: Dep. of Pharmacol., Univ. of Washington, Seattle, WA, USA
SOURCE: Present Status Psychotropic Drugs, Proc. Int. Congr. Coll. Int. Neuro-Psychopharmacol, 6th (1969), Meeting Date 1968, 94-7
CODEN: 22AKA8
DOCUMENT TYPE: Conference
LANGUAGE: English
IT **23887-48-1**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of, monoamine oxidase inhibition in relation to)
RN 23887-48-1 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (7CI, 8CI) (CA INDEX NAME)



L10 ANSWER 36 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB L-trans-(+)-5-Oxo-N-(2-phenylcyclopropyl)-2-pyrrolidine carboxamide (E X 4883) was an active monoamine oxidase inhibitor only after bioconversio n to an active metabolite. The enzyme responsible for the activation was found in the soluble fraction (100,000 + g supernatant) of the cell and was highly active in rat liver, kidney, and brain tissues. The enzyme converted EX 4883 into tranylcypromine and pyrrolidone carboxylic acid, with a pH optimum of 7-8; the enzyme was not inhibited by KCN or anaerobic conditions. This biotransformation of EX 4883 by a soluble fraction enzyme represents a new mechanism for drug transformation.
ACCESSION NUMBER: 1970:20210 HCAPLUS
DOCUMENT NUMBER: 72:20210
TITLE: Bioactivation of L-trans-(+)-5-oxo-N-(2-phenylcyclopropyl)-2-pyrrolidinecarboxamide (EX 4883) into a monoamine oxidase inhibitor by a soluble fraction enzyme system
AUTHOR(S): McMonigle, J. J.; Horita, A.
CORPORATE SOURCE: Sch. of Med., Univ. of Washington, Seattle, WA, USA
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1969), 178(1), 53-61
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **2829-19-8**
RL: BIOL (Biological study)
(enzymic transformation of, monoamine oxidase inhibition in relation to)
RN 2829-19-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB Unavailable
ACCESSION NUMBER: 1968:113175 HCAPLUS
DOCUMENT NUMBER: 68:113175
TITLE: Bioactivation of 5-oxo-N-(D-trans-2-phenylcyclopropyl)-L-2-pyrrolidinecarboxamide (EX 4883) into a potent inhibitor of monoamine oxidase
AUTHOR(S): McMonigle, John J.
CORPORATE SOURCE: Univ. of Washington, Seattle, WA, USA
SOURCE: (1968) 127 pp. Avail.: 67-14,192
From: Diss. Abstr. B 1968, 28(7), 2979
DOCUMENT TYPE: Dissertation
LANGUAGE: English
IT **2829-19-8**
RL: BIOL (Biological study)
(monoamine oxidase inhibition by)
RN 2829-19-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)

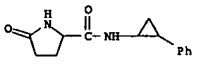


L10 ANSWER 38 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB (see Brit. 961, 313, CA 61, 6954f). Separation of D-trans-2-phenylcyclopropylamine (I), and L-trans-2-phenylcyclopropylamine (II), from the DL-mixture of these amines is carried out using L-5-pyrrolidinone-2-carboxylic acid (III). The title compds. possess monoamine oxidase-inhibitory properties. To a solution of 5.2 g. III in 80 ml. EtOH containing 5% MeOH at room temperature is added a solution of 5.3 g. DL-trans-2-phenylcyclopropylamine in 20 ml. EtOH containing 5% MeOH. The mixture is chilled in an ice bath until crystallization is complete, the salt removed by filtration, washed with Et2O and dried to yield 4.6 g. of A salt (IV), m. 152-4°. Crystallization from MeCN gives 3.8 g. of pure IV, m. 150-1°, [α]25D -59.67° (H2O). Liberation of II, [α]25D - 117.5° (dioxane), from IV is done with aqueous NaOH solution After removal of IV, the filtrate is diluted with Et2O and 4.2 g. B salt (V), m. 118-21° is obtained. Crystallization of V from MeCN gives 3.9 g. purified V, m. 119-20°, [α]25D 23.27° (H2O). Treatment of purified V with NaOH solution releases strongly enriched I, [α]25D 81.4° (dioxane). To a solution of 5.4 g. III, and 5.6 g. I in 35 ml. 19:1 EtOH-MeOH is added a solution of 9.1 g. dicyclohexylcarbodiimide (VI) in 15 ml. 19:1 EtOH-MeOH. The mixture is stirred overnight at ambient temperature, the dicyclohexylurea removed by filtration, the urea washed with MeCN and the filtrate concentrated to yield 12.9 g. residue which was dissolved in 15 ml. hot MeCN. The solid isolated after crystallization is dried to yield 7.8 g. of crude product, which is crystallized from hot H2O to give 3.6 g. D-N-(trans-2-phenylcyclopropyl)-L-5-pyrrolidone-2-carboxamide, m. 144-7°, [α]25D 104.28° (HCONMe2). In the same manner, 4 g. of L-N-(trans-2-phenylcyclopropyl)-L-5-pyrrolidinone-2-carboxamide, m. 136-7°, [α]25D -110.56° (HCONMe2), is obtained from the reaction of 7.0 g. II, 7.2 g. III, and 11.5 g. VI.
ACCESSION NUMBER: 1967:104804 HCAPLUS
DOCUMENT NUMBER: 66:104804
TITLE: Phenylcyclopropyl amides
INVENTOR(S): Biel, John H.
PATENT ASSIGNEE(S): Lakeside Laboratories, Inc.
SOURCE: Fr., 3 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

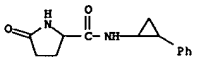
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 87352 | | 19660729 | FR | |
| PRIORITY APPLN. INFO.: | | | US | 19610426 |

IT **2829-19-8P 2829-20-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 2829-19-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 38 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 2829-20-1 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)-, stereoisomer (8CI) (CA INDEX NAME)

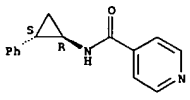


L10 ANSWER 39 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
AB Title compds. are prepared by treating a phenylcyclopropylamine with an organic halide or an amino acid (the intermediate in the latter case is dehydrated in situ using dicyclohexylcarbodiimide. E.g., 27 g. trans-phenylcyclopropylamine added at 0-5° to the reaction mixture of 25 g. isonicotinic acid, 20.3 g. Et3N, and 23.8 g. ClCO2Et in CH2Cl2 gave 4.2 g. N-isonicotinoyl-trans-clopropylamine m. 142°. Similarly prepared were the following (compound, % yield, and m.p. given): N-(trans-2-phenylcyclopropyl)-p-chlorophenoxyacetamide, 53, 83-5°; N-(trans-2-phenylcyclopropyl)-2-piperidinoacetamide, 100, -; N-(trans-2-phenylcyclopropyl)-2-chloroacetamide, 72, 73-4°; N-(trans-2phenylcyclopropyl)acrylamide, 83, 77°; trans-N-phenylcyclopropyl-2-( N-benzyl-N-propargylamino)acetamide, 42, -; N-(4-hydroxybutyryl)-trans-phenylcyclopropylamine, 56, 83-5°; N-(3,4,5-trimethoxybenzoyl)-trans-phenylcyclopropylamine, 68, 192-4°; N-trans-2-phenylcyclopropyl-4-(N-piperidyl)butyramide, 68.5,-(b0-06 190°, n20D 1.5447); N-trans-2-phenylcyclopropyl-4-chlorobutyramide, 71.5, 74°; N-(N-methyl)pipecoloyl-trans-phenylcyclopropylamine,-, -; L-phenylalanyl-d-trans-phenylcyclopropylamine, -, 91°, N-trans-2-phenylcyclopropyl-L-5-pyrrolidone-2-carboxamide, 82, -; D-N-(trans-2-phenylcyclopropyl)-L-5-pyrrolidone-2-carboxamide, -, 144-7°; L-N-(trans-2-phenylcyclopropyl)-L-5-pyrrolidone-2-carboxamide.
ACCESSION NUMBER: 1965:454588 HCAPLUS
DOCUMENT NUMBER: 63:54588
ORIGINAL REFERENCE NO.: 63:9922a-d
TITLE: Phenylcyclopropyl amides
INVENTOR(S): Beil, John H.
PATENT ASSIGNEE(S): Colgate-Palmolive Co.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3192229 | | 19650629 | US | 19610426 |
| PRIORITY APPLN. INFO.: | | | US | 19610426 |

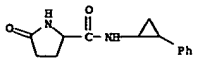
IT **2808-87-9**, Isonicotinamide, N-(2-phenylcyclopropyl)-, trans- **23887-48-1**, 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)-, L,L-trans-
(preparation of)
RN 2808-87-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



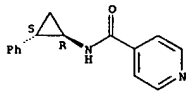
RN 23887-48-1 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 5-oxo-N-(2-phenylcyclopropyl)- (7CI, 8CI) (CA INDEX NAME)

L10 ANSWER 39 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



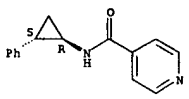
L10 ANSWER 40 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
AB The monoamine oxidase (MAO)-inhibitory activity of numerous analogs and ring-homologs of 2-phenylcyclopropylamine, and related compds., as measured in vivo by potentiation of tryptamine convulsions, has been determined The results indicated that the structural requirements for potent in vivo MAO-inhibitory activity in this class of compds. are: (1) a cyclopropane ring, (2) an amino group attached directly to the cyclopropane ring, and (3) a 2-substituent containing an aromatic moiety. On the basis of an examination of mol. models of cyclopropylamine derivs. and other types of MAO-inhibitors, possible modes of interaction of these compds. with MAO have been considered.
ACCESSION NUMBER: 1963:403209 HCAPLUS
DOCUMENT NUMBER: 59:3209
ORIGINAL REFERENCE NO.: 59:504h,505a
TITLE: 2-Substituted cyclopropylamines. II. Effect of structure upon monoamine oxidase-inhibitory activity as measured in vivo by potentiation of tryptamine convulsions
AUTHOR(S): Zirkle, Charles L.; Kaiser, Carl; Tedeschi, David H.; Tedeschi, Ralph E.; Burger, Alfred
CORPORATE SOURCE: Smith Kline & French Labs., Philadelphia, PA
SOURCE: Journal of Medicinal & Pharmaceutical Chemistry (1962), 5, 1265-84
CODEN: JMPCAS; ISSN: 0095-9065
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
IT 2808-87-9, Isonicotinamide, N-(2-phenylcyclopropyl)-, trans- (monoamine oxidase-inhibitory activity of)
RN 2808-87-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L10 ANSWER 41 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
GI For diagram(s), see printed CA Issue.
AB A series of derivs. (I, II) of 2-phenylcyclopropylamine and analogs, 2-arylcyclopropanecarboxhydrazides, -carboxamides, -methyl-amines, -carboxylic acids, esters, and chlorides has been prepared in order to study relationships between chemical structure and monoamine oxidase-inhibiting activity.
ACCESSION NUMBER: 1963:403208 HCAPLUS
DOCUMENT NUMBER: 59:3208
ORIGINAL REFERENCE NO.: 59:504f-h
TITLE: 2-Substituted cyclopropylamines. I. Derivatives and analogs of 2-phenylcyclopropylamine
AUTHOR(S): Kaiser, Carl; Lester, Bruce M.; Zirkle, Charles L.; Burger, Alfred; Davis, Charles S.; Delia, Thomas J.; Zirngibl, Ludwig
CORPORATE SOURCE: Smith Kline & French Labs., Philadelphia, PA
SOURCE: Journal of Medicinal & Pharmaceutical Chemistry (1962), 5, 1243-65
CODEN: JMPCAS; ISSN: 0095-9065
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 59:3208
IT 2808-87-9, Isonicotinamide, N-(2-phenylcyclopropyl)-, trans- (preparation of)
RN 2808-87-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 212.97 | 384.50 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -30.00 | -30.00 |

STN INTERNATIONAL LOGOFF AT 17:01:12 ON 01 MAR 2006

10636001RTR

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTANAG1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | | "Ask CAS" for self-help around the clock |
| NEWS 3 | DEC 05 | CASREACT(R) - Over 10 million reactions available |
| NEWS 4 | DEC 14 | 2006 MeSH terms loaded in MEDLINE/LMEDLINE |
| NEWS 5 | DEC 14 | 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER |
| NEWS 6 | DEC 14 | CA/CAplus to be enhanced with updated IPC codes |
| NEWS 7 | DEC 21 | IPC search and display fields enhanced in CA/CAplus with the IPC reform |
| NEWS 8 | DEC 23 | New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2 |
| NEWS 9 | JAN 13 | IPC 8 searching in IFIPAT, IFIUDB, and IFICDB |
| NEWS 10 | JAN 13 | New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC |
| NEWS 11 | JAN 17 | Pre-1988 INPI data added to MARPAT |
| NEWS 12 | JAN 17 | IPC 8 in the WPI family of databases including WPIFV |
| NEWS 13 | JAN 30 | Saved answer limit increased |
| NEWS 14 | JAN 31 | Monthly current-awareness alert (SDI) frequency added to TULSA |
| NEWS 15 | FEB 21 | STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results |
| NEWS 16 | FEB 22 | Status of current WO (PCT) information on STN |
| NEWS 17 | FEB 22 | The IPC thesaurus added to additional patent databases on STN |
| NEWS 18 | FEB 22 | Updates in EPFULL; IPC 8 enhancements added |
| NEWS 19 | FEB 27 | New STN AnaVist pricing effective March 1, 2006 |
| NEWS 20 | FEB 28 | MEDLINE/LMEDLINE reload improves functionality |
| NEWS 21 | FEB 28 | TOXCENTER reloaded with enhancements |
| NEWS 22 | FEB 28 | REGISTRY/ZREGISTRY enhanced with more experimental spectral property data |

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005. V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT http://download.cas.org/express/v8.0-Discover/

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
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Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:31:47 ON 01 MAR 2006

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 14:32:22 ON 01 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 FEB 2006 HIGHEST RN 875516-18-0
DICTIONARY FILE UPDATES: 28 FEB 2006 HIGHEST RN 875516-18-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

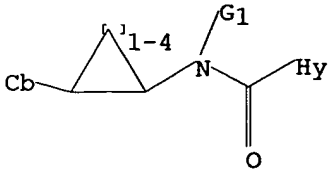
**********
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
**********

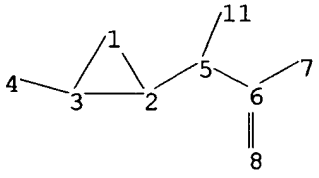
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10636001RTR.str



10636001RTR

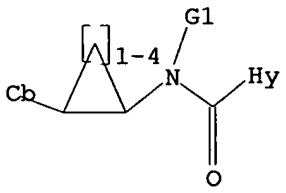
chain nodes :
4 5 6 7 8 11
ring nodes :
1 2 3
chain bonds :
2-5 3-4 5-6 5-11 6-7 6-8
ring bonds :
1-2 1-3 2-3
exact/norm bonds :
1-2 1-3 2-3 2-5 5-6 5-11 6-7 6-8
exact bonds :
3-4

G1:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:CLASS 6:CLASS 7:Atom 8:CLASS 11:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:32:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 140936 TO ITERATE

1.4% PROCESSED 2000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 2796571 TO 2840869
PROJECTED ANSWERS: 3356 TO 5100

L2 3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:32:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2818331 TO ITERATE

33.1% PROCESSED 933145 ITERATIONS 1387 ANSWERS

35.5% PROCESSED 1000000 ITERATIONS 1453 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.20

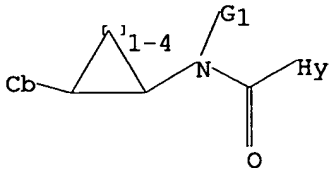
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 2818331 TO 2818331
PROJECTED ANSWERS: 3904 TO 4286

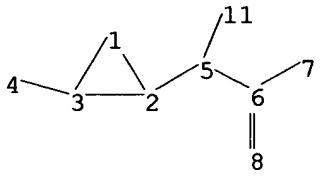
L3 1453 SEA SSS FUL L1

=> end

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:end

=>
Uploading C:\Program Files\Stnexp\Queries\10636001s2.str




chain nodes :
4 5 6 7 8 11
ring nodes :
1 2 3
chain bonds :
2-5 3-4 5-6 5-11 6-7 6-8
ring bonds :
1-2 1-3 2-3
exact/norm bonds :
1-2 1-3 2-3 2-5 5-6 5-11 6-7 6-8
exact bonds :
3-4

G1:H,CH3

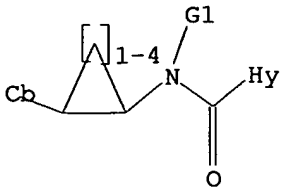
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:CLASS 6:CLASS 7:Atom 8:CLASS 11:CLASS
Generic attributes :
4:
Saturation : Unsaturated

Element Count :
Node 7: Limited
N,N1
C,C4

L4 STRUCTURE UPLOADED

10636001RTR

=> d l4
L4 HAS NO ANSWERS
L4 STR




G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

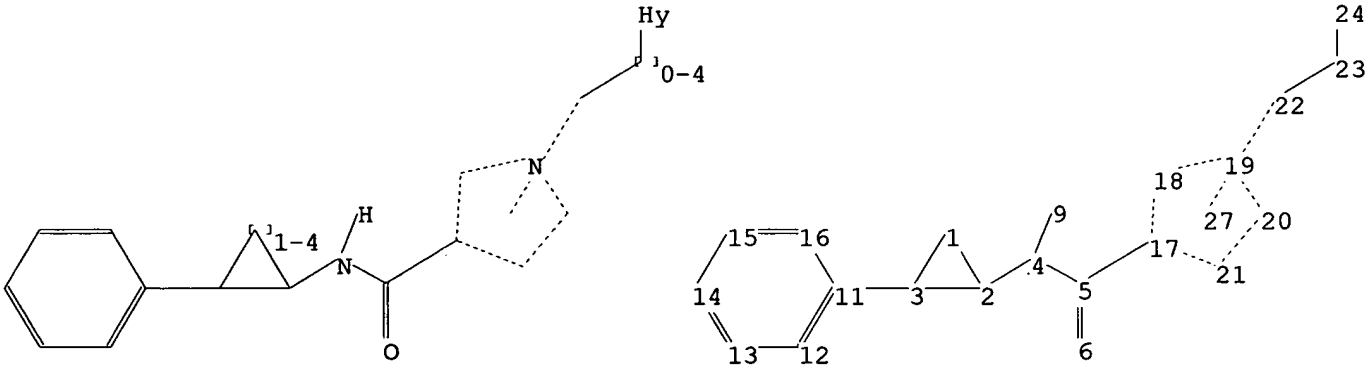
=> s l4
SAMPLE SEARCH INITIATED 14:35:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 140936 TO ITERATE

1.4% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 2796571 TO 2840869
PROJECTED ANSWERS: 2106 TO 3530

L5 2 SEA SSS SAM L4

=>
Uploading C:\Program Files\Stnexp\Queries\10636001s3.str




chain nodes :
4 5 6 9 22 23 24
ring nodes :
1 2 3 11 12 13 14 15 16 17 18 19 20 21
chain bonds :
2-4 3-11 4-5 4-9 5-6 5-17 22-23 23-24
ring bonds :
1-2 1-3 2-3 11-12 11-16 12-13 13-14 14-15 15-16 17-18 17-21 18-19 19-20
20-21
exact/norm bonds :
1-2 1-3 2-3 2-4 4-5 5-6 17-18 17-21 18-19 19-20 20-21 23-24
exact bonds :

10636001RTR

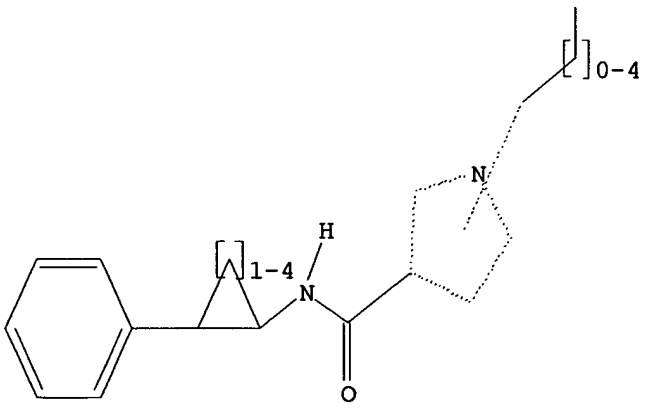
3-11 4-9 5-17 22-23
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

G1:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:CLASS 5:CLASS 6:CLASS 9:CLASS 11:CLASS 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS
23:CLASS 24:Atom 27:CLASS

L6 STRUCTURE UPLOADED

=> d 16
L6 HAS NO ANSWERS
L6 STR




G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l6
SAMPLE SEARCH INITIATED 14:39:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 25 TO ITERATE

100.0% PROCESSED 25 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 200 TO 800
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS SAM L6

=> s l6 full
FULL SEARCH INITIATED 14:40:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 526 TO ITERATE

100.0% PROCESSED 526 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L8 3 SEA SSS FUL L6

=> fil hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 338.72 338.93

FILE 'HCAPLUS' ENTERED AT 14:40:09 ON 01 MAR 2006


FILE COVERS 1907 - 1 Mar 2006 VOL 144 ISS 10
FILE LAST UPDATED: 28 Feb 2006 (20060228/ED)

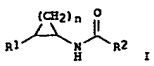
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8
L9 2 L8

=> d ed abs ibib hitstr 1-2

L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Feb 2004
GI

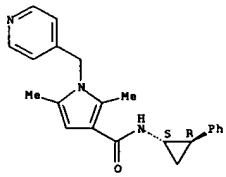


AB The present invention relates to acylated arylcycloalkylamines of the formula (I) including N-(trans-2-phenylcyclopropyl)carboxamides [wherein R1, R2 = each (un)substituted Ph, 1- or 2-naphthyl, or 5- to 10-membered, aromatic, monocyclic or bicyclic heterocycle containing one or more heteroatoms selected from the group consisting of N, O and S; n = an integer of 1-4]. These compds. upregulate the expression of the enzyme endothelial nitric oxide (NO) synthase and can be applied in conditions in which an increased expression of said enzyme or an increased NO level or the normalization of a decreased NO level is desired. They are useful in the treatment of various disease states including cardiovascular disorders such as atherosclerosis, thrombosis, coronary artery disease, hypertension and cardiac insufficiency. The diseases also include for the treatment of stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, peripheral artery occlusive disease, endothelial dysfunction, restenosis, endothelial damage after PTCA, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes, diabetes complications, nephropathy, retinopathy, angiogenesis, asthma bronchiale, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance or a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or of women taking contraceptives. For example, N-(trans-2-phenylcyclopropyl)-3-amino-5-methylpyrazine-2-carboxamide and N-(trans-2-phenylcyclopropyl)-2,5-dimethyl-1-(thiophen-2-ylmethyl)-1H-pyrrole-3-carboxamide inhibited the activation of transcription of human endothelial nitric oxide synthetase in primary human umbilical vein code cells (HUVEC) with EC50 of 0.060 and <0.01 μM, resp.

ACCESSION NUMBER: 2004:117248 HCAPLUS
DOCUMENT NUMBER: 140:181465
TITLE: Preparation of acylated arylcycloalkylamines and their use as pharmaceuticals for treatment of cardiovascular disorders
INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Below, Peter
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1388535 | A1 | 20040211 | EP 2002-17587 | 20020807 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |

L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | |
|---|---|---|---|---|
| CA 2494628 | AA | 20040219 | CA 2003-2494628 | 20030724 |
| WO 2004014842 | A1 | 20040219 | WO 2003-EP8104 | 20030724 |
| WO 2004014842 | C1 | 20050428 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| AU 2003250159 | A1 | 20040225 | AU 2003-250159 | 20030724 |
| EP 1529031 | A1 | 20050511 | EP 2003-784056 | 20030724 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003013271 | A | 20050621 | BR 2003-13271 | 20030724 |
| JP 2005534706 | T2 | 20051117 | JP 2004-526766 | 20030724 |
| US 2004082628 | A1 | 20040429 | US 2003-636001 | 20030807 |
| NO 2005001110 | A | 20050301 | NO 2005-1110 | 20050301 |

PRIORITY APPLN. INFO.:

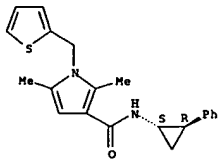
| | | |
|---|---|---|
| EP 2002-17587 | A | 20020807 |
| US 2002-432312P | P | 20021210 |
| WO 2003-EP8104 | W | 20030724 |

OTHER SOURCE(S): MARPAT 140:181465

IT **658683-80-8P 658683-85-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated arylcycloalkylamines as regulators of transcription of endothelial nitric oxide synthase gene and pharmaceuticals for treatment of cardiovascular disorders)

RN 658683-80-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2,5-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-1-(2-thienylmethyl)-, rel- (9CI) (CA INDEX NAME)

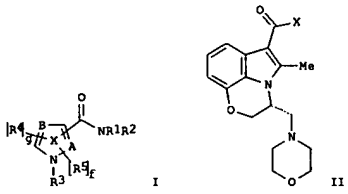
Relative stereochemistry.



RN 658683-85-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2,5-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-1-(4-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L9 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 17 Aug 2001
GI



AB The title compds. [I; A, B = C, N so that ring X = pyrrole, pyrazole or imidazole (wherein when A = N, the group CONR1R2 is attached to atom C-3 and R5 does not exist; and when A = C, one of CONR1R2 and R5 is attached to A and the other to atom C-3; and when B = C, two R4 groups attached to B and atom C-5, resp., form a fused 6-membered heteroaryl); f = 0-1; g = 1-2; R1, R2 = H, alkyl, heterocycloalkyl, etc.; R2 together with R1 or R5 forms a 5-6 membered heterocyclo; R3 = H, alkyl, aryl, etc.; R4 is attached to atom C-5 and optionally B and is H, alkyl, aryl, etc.; R5 is attached to A or atom C-3 and is H, alkyl, aryl, etc.; R5 together with R2 forms a heterocyclo], useful as cannabinoid receptor modulators (no data given) for treating respiratory and non-respiratory leukocyte-activation associated diseases, were prepared Thus, reacting the acid chloride II [X = Cl] (multi-step synthesis given) with 2,2,6,6-tetramethylcyclohexylamine afforded the pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamide II [X = 2,2,6,6-tetramethylcyclohexylamino].

ACCESSION NUMBER: 2001:597958 HCAPLUS
DOCUMENT NUMBER: 135:166827
TITLE: Preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases
INVENTOR(S): Leftheris, Katerina; Zhao, Rulin; Chen, Bang-Chi; Kiener, Peter; Wu, Hong; Pandit, Chennagiri R.; Wrobleski, Stephen; Chen, Ping; Hynes, John, Jr.; Longphre, Malinda; Norris, Derek J.; Spergel, Steven; Tokarski, John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; et al.
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001058869 | A2 | 20010816 | WO 2001-US4131 | 20010208 |
| WO 2001058869 | A3 | 20020124 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |

L9 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| CA 2399791 | AA | 20010816 | CA 2001-2399791 | | 20010208 |
| AU 2001034958 | A5 | 20010820 | AU 2001-34958 | | 20010208 |
| EP 1254115 | A2 | 20021106 | EP 2001-907144 | | 20010208 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| JP 2004502642 | T2 | 20040129 | JP 2001-558420 | | 20010208 |
| PRIORITY APPLN. INFO.: | | | US 2000-181818P | P | 20000211 |
| | | | WO 2001-US4131 | W | 20010208 |

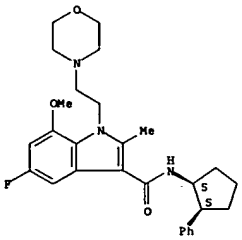
OTHER SOURCE(S): MARPAT 135:166827

IT 354569-58-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases)

RN 354569-58-7 HCAPLUS

CN 1H-Indole-3-carboxamide, 5-fluoro-7-methoxy-2-methyl-1-[2-(4-morpholinyl)ethyl]-N-[(1S,2S)-2-phenylcyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10636001RTR

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COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 15.28 | 354.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION
CA SUBSCRIBER PRICE | -1.50 | -1.50

FILE 'REGISTRY' ENTERED AT 14:41:26 ON 01 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 FEB 2006 HIGHEST RN 875516-18-0
DICTIONARY FILE UPDATES: 28 FEB 2006 HIGHEST RN 875516-18-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

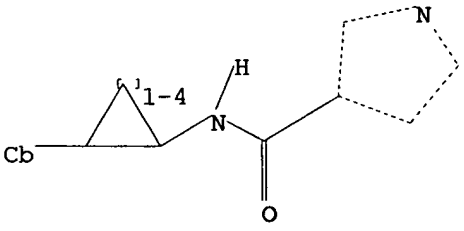
**********
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
**********

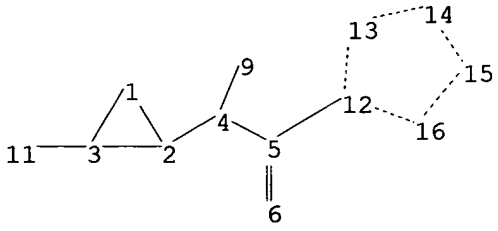
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10636001s4.str




chain nodes :
4 5 6 9 11
ring nodes :

10636001RTR

1 2 3 12 13 14 15 16
chain bonds :
2-4 3-11 4-5 4-9 5-6 5-12
ring bonds :
1-2 1-3 2-3 12-13 12-16 13-14 14-15 15-16
exact/norm bonds :
1-2 1-3 2-3 2-4 4-5 5-6 12-13 12-16 13-14 14-15 15-16
exact bonds :
3-11 4-9 5-12

G1:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:CLASS 5:CLASS 6:CLASS 9:CLASS 11:CLASS 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom

L10 STRUCTURE UPLOADED

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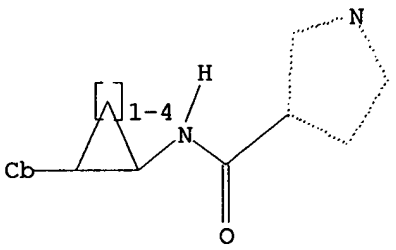
L10 HAS NO ANSWERS
L10 STR




G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 1065 TO ITERATE

100.0% PROCESSED 1065 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 19343 TO 23257
PROJECTED ANSWERS: 9 TO 360

L11 9 SEA SSS SAM L10

=> s l10 full
FULL SEARCH INITIATED 14:43:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22181 TO ITERATE

100.0% PROCESSED 22181 ITERATIONS 198 ANSWERS
SEARCH TIME: 00.00.01

L12 198 SEA SSS FUL L10

=> fil hcaplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 167.82 | 522.03 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | 0.00 | -1.50 |

FILE 'HCAPLUS' ENTERED AT 14:43:22 ON 01 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 1 Mar 2006 VOL 144 ISS 10
FILE LAST UPDATED: 28 Feb 2006 (20060228/ED)

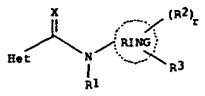
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l12
L13 14 L12

=> d ed abs ibib hitstr 1-14

L13 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Apr 2005
GI



I

AB Preparation of fungicidal compds. I (X = O, S; RING = Ph, thienyl; Het = 5- or 6-membered heterocyclic ring containing one to three heteroatoms, each independently selected from O, N, S, the ring being substituted by one to four groups R4; R1 = H, optionally substituted (C1-4)alkyl, formyl, optionally substituted (C1-4)alkylC(:O), optionally substituted (C1-4)alkylC(:O)O, optionally substituted (C1-4)alkoxy(C1-4)alkyl, optionally substituted allyl, optionally substituted propargyl or optionally substituted allenyl; R2 = independently, halo, optionally substituted (C1-4)alkyl, optionally substituted (C1-4)alkoxy or optionally substituted (C1-4)alkoxy(C1-4)alkyl; R3 = (CRaRb)m-Cy-(CRcRd )n-Y; R4 = independently, selected from halo, C1-3 alkyl, C1-3 haloalkyl, C1-3 alkoxy(C1-3)alkyl and cyano; Ra, Rb, Rc, Rd = independently, H, optionally substituted (C1-4)alkyl; Cy is an optionally substituted carbocyclic or heterocyclic 3-7 membered ring which may be saturated, unsatd. or aromatic and which optionally contains a silicon atom as a ring member; (CRaRb)m and (CRcRd)n may be bound either to the same carbon or silicon atom of Cy or to different atoms separated by 1, 2 or 3 ring members; Y = silyloxy etc.), useful as fungicides in agriculture (activity given), is described. Thus, reaction of N-methyl-3-difluoromethyl-4-chlorocarbonylpyrazole with 1,1-dimethyl-3-(2'-amino)phenylsilacyclohexane (preparation given) gave title compound which was used as fungicides (activity given).

ACCESSION NUMBER: 2005:283496 HCAPLUS
DOCUMENT NUMBER: 142:336464
TITLE: Preparation of heterocyclic substituted silicon compounds with microbiocidal activity
INVENTOR(S): Ehrenfreund, Josef; Lamberth, Clemens; Tobler, Hans; Walter, Harald
PATENT ASSIGNEE(S): Syngenta Participations Ag, Switz.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

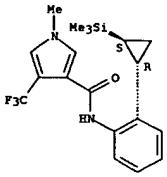
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005028485 | A1 | 20050331 | WO 2004-EP10009 | 20040908 |
| WO 2005028485 | C1 | 20050609 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

L13 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

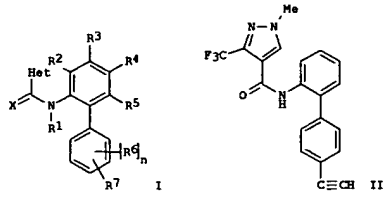
PRIORITY APPLN. INFO.: GB 2003-22012 A 20030919
OTHER SOURCE(S): MARPAT 142:336464
IT 848785-60-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic substituted silicon compds. with microbiocidal activity)
RN 848785-60-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-4-(trifluoromethyl)-N-[2-[(1R,2S)-2-(trimethylsilyl)cyclopropyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 15 Jul 2004
GI



I II

AB The title compds. [I; Het = (un)substituted 5-6 membered heterocyclic ring; R1 = H, CHO, CO(alkyl), CO2(alkyl), alkoxyalkylene, CO(alkylenoxy)alkyl, propargyl, allenyl; R2-R5 = H, halo, Me, CF3; R6 = halo, Me, CF3; R7 = (Z)mC.tplbond.CY1, (Z)mCY1:CY2Y3, trialkylsilyl; X = O, S; Y1-Y3 = H, halo, (un)substituted alkyl alkenyl, alkynyl, cycloalkyl, trialkylsilyl; Z = (un)substituted alkylene; m = 0-1; n = 0-2], useful in agriculture or horticulture for controlling or preventing infestation of plants by phytopathogenic microorganisms, preferably fungi, were prepared Thus, reacting 2-amino-4'-ethynylbiphenyl with 1-methyl-3-trifluoromethyl-4-chlorocarbonylpyrazole in the presence of pyridine in THF afforded 70% II which showed excellent fungicidal activity (biol. data given).

ACCESSION NUMBER: 2004:565219 HCAPLUS
DOCUMENT NUMBER: 141:123619
TITLE: Preparation of biphenyl derivatives and their use as fungicides
INVENTOR(S): Ehrenfreund, Josef; Lamberth, Clemens; Tobler, Hans; Walter, Harald
PATENT ASSIGNEE(S): Syngenta Participations Ag, Switz.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004058723 | A1 | 20040715 | WO 2003-EP14248 | 20031215 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| CA 2510528 | AA | 20040715 | CA 2003-2510528 | 20031215 |

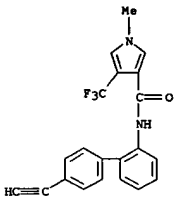
L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | |
|---|---|---|---|---|
| EP 1575922 | A1 | 20050921 | EP 2003-813891 | 20031215 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MX, CY, AL, TR, BG, CZ, EE, HU, SK

| | | | | |
|---|---|---|---|---|
| BR 2003016879 | A | 20051025 | BR 2003-16879 | 20031215 |
| NO 2005003558 | A | 20050725 | NO 2005-3558 | 20050720 |

PRIORITY APPLN. INFO.: GB 2002-30155 A 20021224
WO 2003-EP14248 W 20031215
OTHER SOURCE(S): MARPAT 141:123619
IT 723747-89-5P 723747-91-9P 723747-93-1P
723747-94-2P 723747-96-4P 723747-98-6P
723748-00-3P 723748-02-5P 723748-04-7P
723748-06-9P 723748-08-1P 723748-10-5P
723748-12-7P 723748-14-9P 723748-16-1P
723748-18-3P 723748-20-7P 723748-22-9P
723748-24-1P 723748-26-3P 723748-28-5P
723748-30-9P 723748-32-1P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl derivs. and their use as fungicides)
RN 723747-89-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-ethynyl[1,1'-biphenyl]-2-yl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



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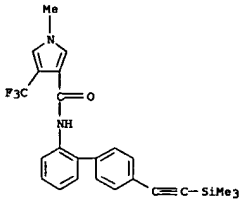

L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
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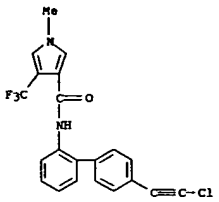

RN 723747-94-2 HCAPLUS
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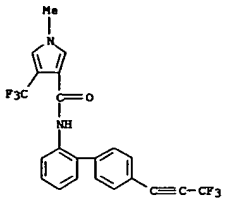

RN 723747-96-4 HCAPLUS
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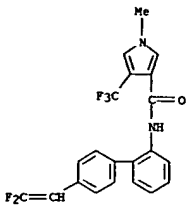
L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 723747-98-6 HCAPLUS
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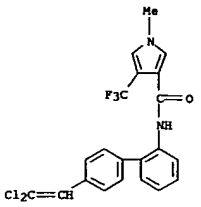

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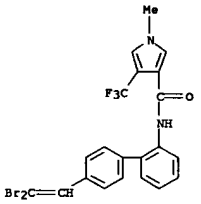

L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 723748-02-5 HCAPLUS
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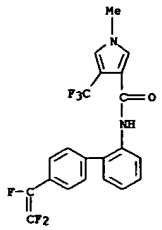

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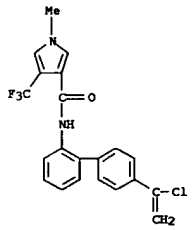
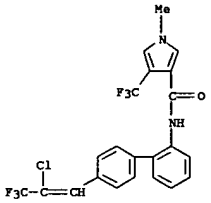
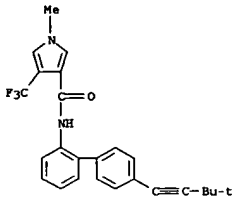


RN 723748-06-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-(2-chloro-3,3,3-trifluoro-1-propenyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

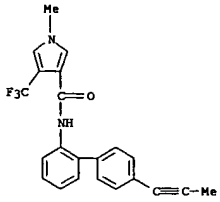
L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 723748-08-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-(3,3-dimethyl-1-butynyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

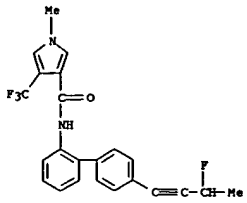


RN 723748-10-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[4'-(1-propynyl)[1,1'-biphenyl]-2-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

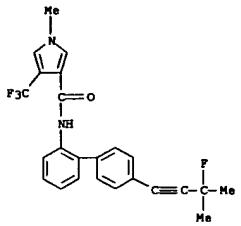


RN 723748-12-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-(3-fluoro-1-butynyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

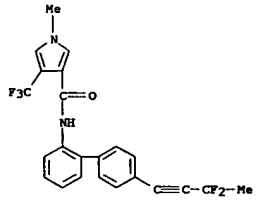


RN 723748-14-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-(3-fluoro-3-methyl-1-butynyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

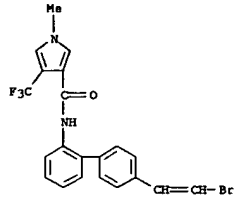


RN 723748-16-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[4'-(4-methyl-1-pentynyl)[1,1'-biphenyl]-2-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

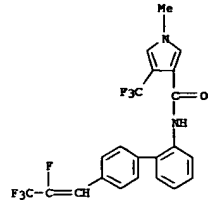
L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-3-carboxamide, N-[4'-(3,3-difluoro-1-butynyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



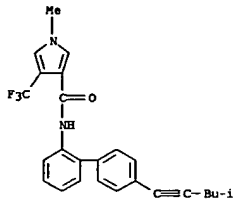
RN 723748-24-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-(2-bromoethenyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



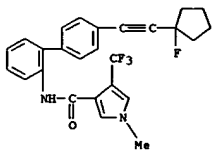
RN 723748-26-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[4'-(2,3,3,3-tetrafluoro-1-propenyl)[1,1'-biphenyl]-2-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



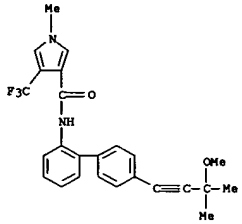
L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 723748-18-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[(1-fluorocyclopentyl)ethynyl][1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

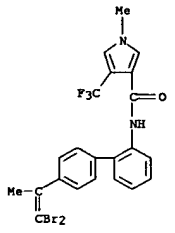


RN 723748-20-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-(3-methoxy-3-methyl-1-butynyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

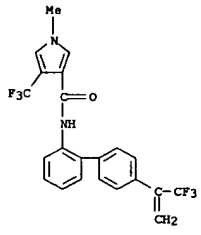


RN 723748-22-9 HCAPLUS

L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 723748-28-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-(2,2-dibromo-1-methylethenyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

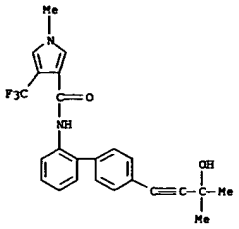


RN 723748-30-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-4-(trifluoromethyl)-N-[4'-[1-(trifluoromethyl)ethenyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)

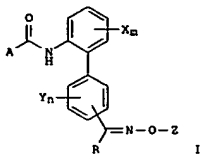


RN 723748-32-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-(3-hydroxy-3-methyl-1-butynyl)[1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L13 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Jun 2004
GI



AB Title compds. [I; R = H, alkyl, haloalkyl; Z = alkenyl, alkynyl, haloalkenyl, haloalkynyl; X, Y = halo, cyano, NO2, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, haloalkylthio; m, n = 0-4; A = 5-6 membered substituted heterocyclyl], were prepared Thus, 2'-amino-1,1'-biphenyl-4-carbaldehyde O-allyloxime (preparation given) and Et3N was treated with 4-difluoromethyl-2-methylthiazole-5-carbonyl chloride in PhMe at room temperature followed by stirring for 3 h at 50° to give 49.6% N-(4'-[(E)-[(allyloxy)imino]methyl]-1,1'-biphenyl-2-yl)-4-(difluoromethyl)-2-methyl-1,3-thiazole-5-carboxamide. The latter at 100 ppm gave 100% control of Venturia inaequalis.

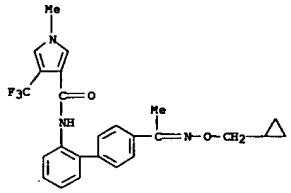
ACCESSION NUMBER: 2004:509994 HCAPLUS
DOCUMENT NUMBER: 141:54333
TITLE: Preparation of biphenylcarboxamides as agricultural fungicides and insecticides
INVENTOR(S): Dunkel, Ralf; Elbe, Hans-Ludwig; Rieck, Heiko; Greul, Joerg Nico; Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid; Dahmen, Peter; Kuck, Karl-Heinz; Loesel, Peter
PATENT ASSIGNEE(S): Bayer Cropscience AG, Germany
SOURCE: Ger. Offen., 70 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 10258314 | A1 | 20040624 | DE 2002-10258314 | 20021213 |
| WO 2004054982 | A1 | 20040701 | WO 2003-EP13498 | 20031201 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, | | | |

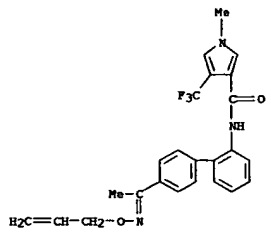
L13 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| EP 1572663 | A1 | 20050914 | EP 2003-795860 | 20031201 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003017290 | A | 20051108 | BR 2003-17290 | 20031201 |
| PRIORITY APPLN. INFO.: | | | DE 2002-10258314 A | 20021213 |
| | | | WO 2003-EP13498 W | 20031201 |

OTHER SOURCE(S): MARPAT 141:54333
IT **705944-72-5P 705944-74-7P 705945-01-3P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenylcarboxamides as agricultural fungicides and insecticides)
RN 705944-72-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[1-[(cyclopropylmethoxy)imino]ethyl][1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

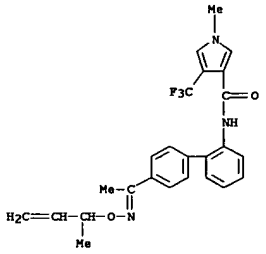


RN 705944-74-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[4'-[1-[(2-propenyloxy)imino]ethyl][1,1'-biphenyl]-2-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

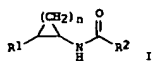


RN 705945-01-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[4'-[1-[[(1-methyl-2-

L13 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
propenyl)oxy]imino]ethyl][1,1'-biphenyl]-2-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Feb 2004
GI



AB The present invention relates to acylated arylcycloalkylamines of the formula (I) including N-(trans-2-phenylcyclopropyl)carboxamides [wherein R1, R2 = each (un)substituted Ph, 1- or 2-naphthyl, or 5- to 10-membered, aromatic, monocyclic or bicyclic heterocycle containing one or more heteroatoms selected from the group consisting of N, O and S; n = an integer of 1-4]. These compds. upregulate the expression of the enzyme endothelial nitric oxide (NO) synthase and can be applied in conditions in which an increased expression of said enzyme or an increased NO level or the normalization of a decreased NO level is desired. They are useful in the treatment of various disease states including cardiovascular disorders such as atherosclerosis, thrombosis, coronary artery disease, hypertension and cardiac insufficiency. The diseases also include for the treatment of stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, peripheral artery occlusive disease, endothelial dysfunction, restenosis, endothelial damage after PTCA, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes, diabetes complications, nephropathy, retinopathy, angiogenesis, asthma bronchiale, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance or a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or of women taking contraceptives. For example, N-(trans-2-phenylcyclopropyl)-3-amino-5-methylpyrazine-2-carboxamide and N-(trans-2-phenylcyclopropyl)-2,5-dimethyl-1-(thiophen-2-ylmethyl)-1H-pyrrole-3-carboxamide inhibited the activation of transcription of human endothelial nitric oxide synthetase in primary human umbilical vein code cells (HUVEC) with EC50 of 0.060 and <0.01 μM, resp.

ACCESSION NUMBER: 2004:117248 HCAPLUS
DOCUMENT NUMBER: 140:181465
TITLE: Preparation of acylated arylcycloalkylamines and their use as pharmaceuticals for treatment of cardiovascular disorders
INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Below, Peter
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1388535 | A1 | 20040211 | EP 2002-17587 | 20020807 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |

L13 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | |
|---|---|---|---|---|
| CA 2494628 | AA | 20040219 | CA 2003-2494628 | 20030724 |
| WO 2004014842 | A1 | 20040219 | WO 2003-EP8104 | 20030724 |
| WO 2004014842 | C1 | 20050428 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| AU 2003250159 | A1 | 20040225 | AU 2003-250159 | 20030724 |
| EP 1529031 | A1 | 20050511 | EP 2003-784056 | 20030724 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

| | | | | |
|---|---|---|---|---|
| BR 2003013271 | A | 20050621 | BR 2003-13271 | 20030724 |
| JP 2005534706 | T2 | 20051117 | JP 2004-526766 | 20030724 |
| US 2004082628 | A1 | 20040429 | US 2003-636001 | 20030807 |
| NO 2005001110 | A | 20050301 | NO 2005-1110 | 20050301 |

PRIORITY APPLN. INFO.:

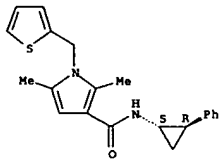
| | | |
|---|---|---|
| EP 2002-17587 | A | 20020807 |
| US 2002-432312P | P | 20021210 |
| WO 2003-EP8104 | W | 20030724 |

OTHER SOURCE(S): MARPAT 140:181465

IT 658683-80-8P 658683-85-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated arylcycloalkylamines as regulators of transcription of endothelial nitric oxide synthase gene and pharmaceuticals for treatment of cardiovascular disorders)

RN 658683-80-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2,5-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-1-(2-thienylmethyl)-, rel- (9CI) (CA INDEX NAME)

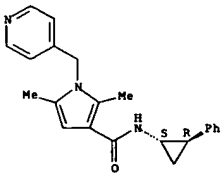
Relative stereochemistry.



RN 658683-85-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2,5-dimethyl-N-[(1R,2S)-2-phenylcyclopropyl]-1-(4-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

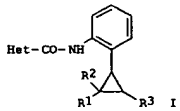
Relative stereochemistry.

L13 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Sep 2003
GI



AB Heterocyclic o-cyclopropyl-carboxanilides (shown as I; e.g. N-[2-(2-isopropylcyclopropyl)phenyl]-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboxamide; Het is a 5- or 6-membered heterocyclic ring containing 1-3 heteroatoms, = O, N and S, the ring being substituted by groups R4, R5 and R6; R1 is H or halo; R2 is H or halo; R3 is (un)substituted C2-12 alkyl, (un)substituted C2-12 alkenyl, (un)substituted C2-12 alkynyl, (un)substituted C3-12 cycloalkyl, (un)substituted Ph or (un)substituted heterocyclyl; and R4, R5 and R6 = H, halo, cyano, nitro, C1-4 haloalkyl, C1-4 alkoxyl (C1-4) alkyl and C1-4 haloalkoxy (C1-4) alkyl, provided that at least one of R4, R5 and R6 is not H) are claimed. I have plant-protective properties and are suitable for protecting plants against infestations by phytopathogenic microorganisms. Three example prepns. are included. To prepare N-[2-(2-isobutylcyclopropyl)phenyl]-1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxamide, (2-isobutylcyclopropyl)benzene (17.4 g) in Ac2O was nitrated to give a mixture of regioisomers that was hydrogenated over 5 % Pt/C to give a cis/trans mixture of 2-(2-isobutylcyclopropyl)phenylamine (6.38 g) after workup; the anilines (0.35 g) were condensed with 1-methyl-4-trifluoromethylpyrrole-3-carboxylic acid after the latter was reacted with oxalyl chloride in CH2Cl2 for 3 h at room temperature to give 0.52 g of the final product. More than 300 examples of I are tabulated, most without characterization data, and general statements are made as to the activity of some or all of them against Puccinia recondita/wheat (Brownrust on wheat), Podosphaera leucotricha/apple (Powdery mildew on apple), Venturia inaequalis/apple (Scab on apple), Erysiphe graminis/barley (Powdery mildew on barley), Botrytis cinerea/apple (Botrytis on apple fruits), Botrytis cinerea/grape (Botrytis on grapes), Botrytis cinerea/tomato (Botrytis on tomatoes), Pyrenophora teres/barley (Net blotch on barley), and Septoria nodorum/wheat (Septoria leaf spot on wheat). For example, infestation of wheat by brownrust is prevented virtually completely (0-5 % infestation) by N-[2-(2-isopropylcyclopropyl)phenyl]-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboxamide.

ACCESSION NUMBER: 2003:719453 HCAPLUS
DOCUMENT NUMBER: 139:246007
TITLE: Preparation of heterocyclic ortho-cyclopropyl-carboxanilides and their use as fungicides
INVENTOR(S): Ehrenfreund, Josef; Tobler, Hans; Walter, Harald
PATENT ASSIGNEE(S): Syngenta Participations Ag, Switz.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003074491 | A1 | 20030912 | WO 2003-IB687 | 20030221 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2477931 | AA | 20030912 | CA 2003-2477931 | 20030221 |
| AU 2003208490 | A1 | 20030916 | AU 2003-208490 | 20030221 |
| EP 1480955 | A1 | 20041201 | EP 2003-706779 | 20030221 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003008230 | A | 20041228 | BR 2003-8230 | 20030221 |
| JP 2005532271 | T2 | 20051027 | JP 2003-572960 | 20030221 |
| EG 23424 | A | 20050712 | EG 2003-204 | 20030301 |
| US 2005221989 | A1 | 20051006 | US 2005-506918 | 20050428 |
| PRIORITY APPLN. INFO.: | | | GB 2002-5127 A | 20020305 |
| | | | GB 2003-705 A | 20030113 |
| | | | WO 2003-IB687 W | 20030221 |

OTHER SOURCE(S): MARPAT 139:246007

IT **599194-97-5**, N-[2-(2-Ethylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599194-98-6**, N-[2-(2-Ethylcyclopropyl)phenyl]-1-(methoxymethyl)-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599194-99-7**, N-[2-(2-Propylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-00-3**, N-[2-(2-Propylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-02-5**, N-[2-[2-(1-Methylethyl)cyclopropyl]phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-03-6**, N-[2-[2-(1-Methylethyl)cyclopropyl]phenyl]-1-methyl-4-(fluoromethyl)-1H-pyrrole-3-carboxamide **599195-04-7**, N-[2-[2-(1-Methylethyl)cyclopropyl]phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-05-8**, N-[2-[2-(1-Methylethyl)cyclopropyl]phenyl]-1-ethyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-06-9**, N-[2-[2-(1-Methylethyl)cyclopropyl]phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-07-0**, N-[2-[2-(1-Methylethyl)cyclopropyl]phenyl]-1-ethyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-08-1**, N-[2-[2-(1-Methylethyl)cyclopropyl]phenyl]-1-methyl-4-[(chloro)difluoromethyl]-2-fluoro-1H-pyrrole-3-carboxamide **599195-09-2**, N-[2-(2-Butylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-10-5**, N-[2-(2-Butylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-11-6**, N-[2-(2-Butylcyclopropyl)phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-12-7**, N-[2-(2-Butylcyclopropyl)phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-14-9**, N-[2-[2-(2-Methylpropyl)cyclopropyl]phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-15-0**, N-[2-[2-(2-Methylpropyl)cyclopropyl]phenyl]-1-methyl-4-(fluoromethyl)-1H-pyrrole-3-carboxamide **599195-16-1**, N-[2-[2-(2-Methylpropyl)cyclopropyl]phenyl]-1-(methoxymethyl)-4-(trifluoromethyl)-1H-

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

pyrrole-3-carboxamide **599195-17-2**, N-[2-[2-(2-Methylpropyl)cyclopropyl]phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-18-3**, N-[2-[2-(2-Methylpropyl)cyclopropyl]phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-20-7**, N-[2-[2-[1,1-Bis(methyl)ethyl]cyclopropyl]phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-21-8**, N-[2-[2-[1,1-Bis(methyl)ethyl]cyclopropyl]phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-22-9**, N-[2-[2-[1,1-Bis(methyl)ethyl]cyclopropyl]phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-23-0**, N-[2-[2-[1,1-Bis(methyl)ethyl]cyclopropyl]phenyl]-1-methyl-4-[(chloro)difluoromethyl]-1H-pyrrole-3-carboxamide **599195-24-1**, N-[2-(2-Pentylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-25-2**, N-[2-[2-(3-Methylbutyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-26-3**, N-[2-[2-(3-Methylbutyl)cyclopropyl]phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-27-4**, N-[2-(2-Hexylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-29-6**, N-[2-(2-Cyclopropylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-30-9**, N-[2-(2-Cyclopropylcyclopropyl)phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-31-0**, N-[2-(2-Cyclopropylcyclopropyl)phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-32-1**, N-[2-(2-Cyclobutylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-33-2**, N-[2-(2-Cyclobutylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-34-3**, N-[2-(2-Cyclopentylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-35-4**, N-[2-(2-Cyclopentylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-36-5**, N-[2-(2-Cyclopentylcyclopropyl)phenyl]-1-methyl-4-[(chloro)difluoromethyl]-1H-pyrrole-3-carboxamide **599195-37-6**, N-[2-(2-Cyclopentylcyclopropyl)phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-38-7**, N-[2-(2-Cyclopentylcyclopropyl)phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-39-8**, N-[2-(2-Cyclopentylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-42-3**, N-[2-(2-Cyclohexylcyclopropyl)phenyl]-1-methyl-4-(fluoromethyl)-1H-pyrrole-3-carboxamide **599195-43-4**, N-[2-(2-Cyclohexylcyclopropyl)phenyl]-1-methyl-4-[(chloro)difluoromethyl]-1H-pyrrole-3-carboxamide **599195-44-5**, N-[2-(2,2-Difluoro-3-cyclohexylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-45-6**, N-[2-(2-Cyclohexylcyclopropyl)phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-46-7**, N-[2-(2-Cyclohexylcyclopropyl)phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-47-8**, N-[2-(2-Cycloheptylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-48-9**, N-[2-(2-Cycloheptylcyclopropyl)phenyl]-1-ethyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-49-0**, N-[2-(2-Cycloheptylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-50-3**, N-[2-(2-Cycloheptylcyclopropyl)phenyl]-1-methyl-4-(fluoromethyl)-1H-pyrrole-3-carboxamide **599195-51-4**, N-[2-(2-Cycloheptylcyclopropyl)phenyl]-1-methyl-4-[(chloro)difluoromethyl]-2-fluoro-1H-pyrrole-3-carboxamide **599195-52-5**, N-[2-(2-Cycloheptylcyclopropyl)phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-53-6**, N-[2-(2-

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

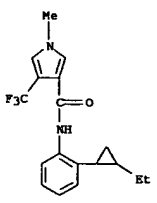
Cycloheptylcyclopropyl)phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-54-7**, N-[2-(2-Cyclooctylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-55-8**, N-[2-(2-Cyclooctylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-56-9**, N-[2-(2-Phenylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-57-0**, N-[2-(2-Phenylcyclopropyl)phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-58-1**, N-[2-(2-Phenylcyclopropyl)phenyl]-1-methyl-4-(fluoromethyl)-1H-pyrrole-3-carboxamide **599195-59-2**, N-[2-(2-Phenylcyclopropyl)phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-60-5**, N-[2-(2-Phenylcyclopropyl)phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide **599195-62-7**, N-[2-[2-(4-Fluorophenyl)cyclopropyl]phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-64-9**, N-[2-[2-(4-Chlorophenyl)cyclopropyl]phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-65-0**, N-[2-[2-(4-Bromophenyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-66-1**, N-[2-[2-(4-Bromophenyl)cyclopropyl]phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-67-2**, N-[2-[2-(2-Thienyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-68-3**, N-[2-[2-(3-Thienyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-69-4**, N-[2-[2-(2-Furyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide **599195-71-8**, N-[2-[2-(1-Methylcyclopropyl)cyclopropyl]phenyl]-1-methyl-4-(difluoromethyl)-1H-pyrrole-3-carboxamide **599195-72-9**, N-[2-[2-(1-Methylcyclopropyl)cyclopropyl]phenyl]-1-methyl-4-methyl-2-fluoro-1H-pyrrole-3-carboxamide **599195-73-0**, N-[2-[2-(1-Methylcyclopropyl)cyclopropyl]phenyl]-1-methyl-4-methyl-2-chloro-1H-pyrrole-3-carboxamide

RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(prepn. of heterocyclic ortho-cyclopropyl-carboxanilides and their use as fungicides)

RN 599194-97-5 HCAPLUS

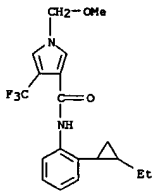
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-ethylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)




RN 599194-98-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(2-ethylcyclopropyl)phenyl]-1-(methoxymethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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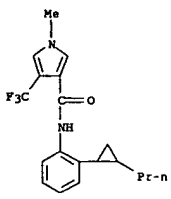


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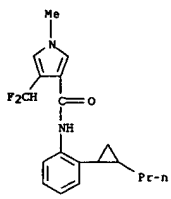
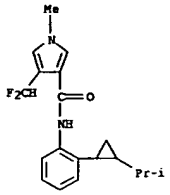



RN 599195-02-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 4-(difluoromethyl)-1-methyl-N-[2-[2-(1-methylethyl)cyclopropyl]phenyl]- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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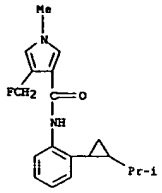

RN 599195-04-7 HCAPLUS
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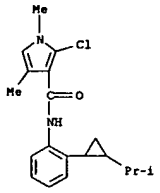

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 599195-05-8 HCAPLUS
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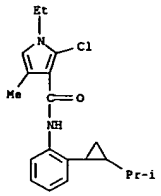

RN 599195-06-9 HCAPLUS
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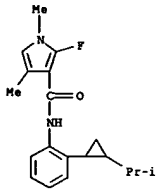
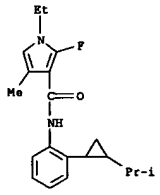


RN 599195-07-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-ethyl-2-fluoro-4-methyl-N-[2-[2-(1-methylethyl)cyclopropyl]phenyl]- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-08-1 HCAPLUS
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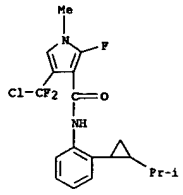
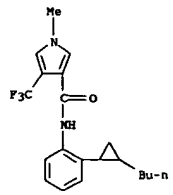
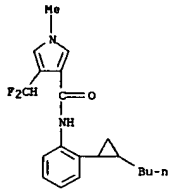


RN 599195-09-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-butylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 599195-10-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-butylcyclopropyl)phenyl]-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-11-6 HCAPLUS
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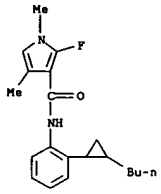

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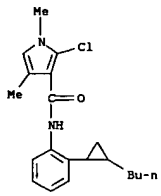
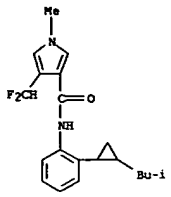
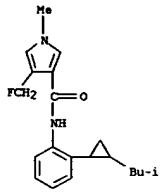


RN 599195-14-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(difluoromethyl)-1-methyl-N-[2-[2-(2-

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
methylpropyl)cyclopropyl]phenyl]- (9CI) (CA INDEX NAME)

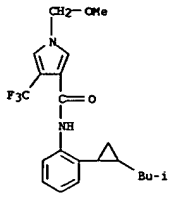


RN 599195-15-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(fluoromethyl)-1-methyl-N-[2-[2-(2-methylpropyl)cyclopropyl]phenyl]- (9CI) (CA INDEX NAME)

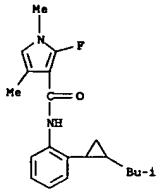


RN 599195-16-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(methoxymethyl)-N-[2-[2-(2-methylpropyl)cyclopropyl]phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

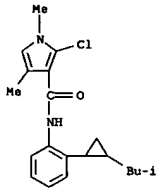
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-17-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-fluoro-1,4-dimethyl-N-[2-[2-(2-methylpropyl)cyclopropyl]phenyl]- (9CI) (CA INDEX NAME)

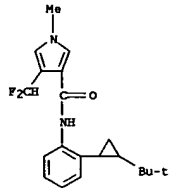


RN 599195-18-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-1,4-dimethyl-N-[2-[2-(2-methylpropyl)cyclopropyl]phenyl]- (9CI) (CA INDEX NAME)

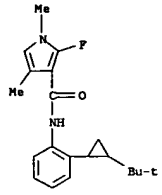


L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 599195-20-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(difluoromethyl)-N-[2-[2-(1,1-dimethylethyl)cyclopropyl]phenyl]-1-methyl- (9CI) (CA INDEX NAME)

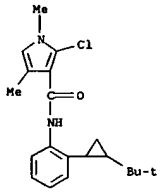


RN 599195-21-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[2-(1,1-dimethylethyl)cyclopropyl]phenyl]-2-fluoro-1,4-dimethyl- (9CI) (CA INDEX NAME)

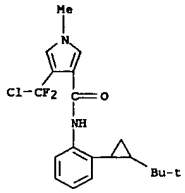


RN 599195-22-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-N-[2-[2-(1,1-dimethylethyl)cyclopropyl]phenyl]-1,4-dimethyl- (9CI) (CA INDEX NAME)

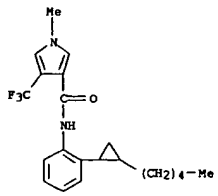
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-23-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(chlorodifluoromethyl)-N-[2-[2-(1,1-dimethylethyl)cyclopropyl]phenyl]-1-methyl- (9CI) (CA INDEX NAME)

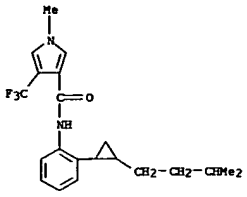


RN 599195-24-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-(2-pentylcyclopropyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

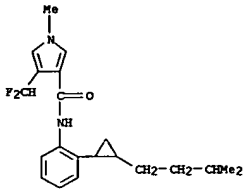


RN 599195-25-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-[2-(3-methylbutyl)cyclopropyl]phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NAME)

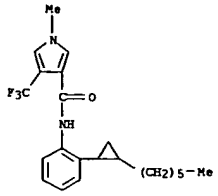


RN 599195-26-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(difluoromethyl)-1-methyl-N-[2-[2-(3-methylbutyl)cyclopropyl]phenyl]- (9CI) (CA INDEX NAME)

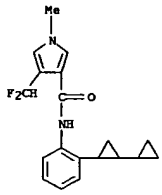


RN 599195-27-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-hexylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

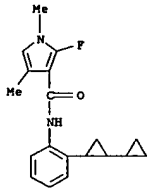
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-29-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-[1,1'-bicyclopropyl]-2-ylphenyl)-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

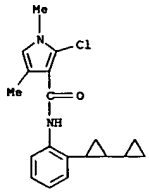


RN 599195-30-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-[1,1'-bicyclopropyl]-2-ylphenyl)-2-fluoro-1,4-dimethyl- (9CI) (CA INDEX NAME)

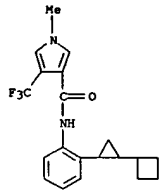


L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 599195-31-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-[1,1'-bicyclopropyl]-2-ylphenyl)-2-chloro-1,4-dimethyl- (9CI) (CA INDEX NAME)

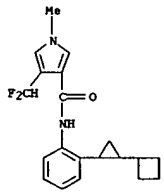


RN 599195-32-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclobutylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

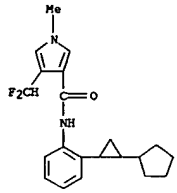


RN 599195-33-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclobutylcyclopropyl)phenyl]-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

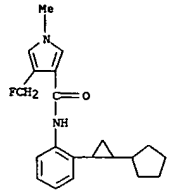
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-35-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclopentylcyclopropyl)phenyl]-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

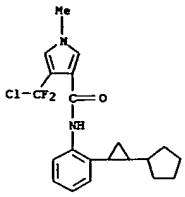


RN 599195-36-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclopentylcyclopropyl)phenyl]-4-(fluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

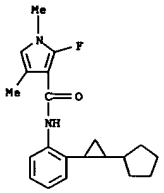


RN 599195-37-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(chlorodifluoromethyl)-N-[2-(2-cyclopentylcyclopropyl)phenyl]-1-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

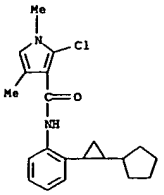


RN 599195-38-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclopentylcyclopropyl)phenyl]-2-fluoro-1,4-dimethyl- (9CI) (CA INDEX NAME)

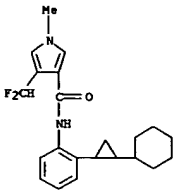


RN 599195-39-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-N-[2-(2-cyclopentylcyclopropyl)phenyl]-1,4-dimethyl- (9CI) (CA INDEX NAME)

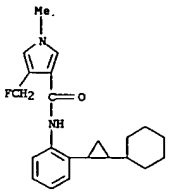
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-41-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclohexylcyclopropyl)phenyl]-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

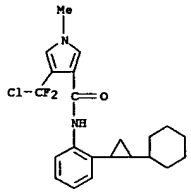


RN 599195-42-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclohexylcyclopropyl)phenyl]-4-(fluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

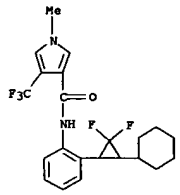


L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 599195-43-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(chlorodifluoromethyl)-N-[2-(2-cyclohexylcyclopropyl)phenyl]-1-methyl- (9CI) (CA INDEX NAME)

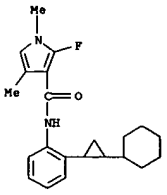


RN 599195-44-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(3-cyclohexyl-2,2-difluorocyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

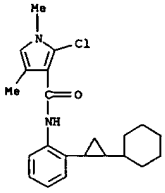


RN 599195-45-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclohexylcyclopropyl)phenyl]-2-fluoro-1,4-dimethyl- (9CI) (CA INDEX NAME)

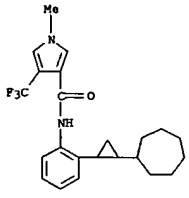
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-46-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-N-[2-(2-cyclohexylcyclopropyl)phenyl]-1,4-dimethyl- (9CI) (CA INDEX NAME)

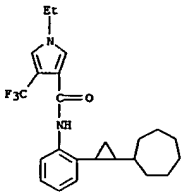


RN 599195-47-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cycloheptylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

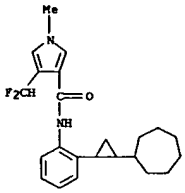


RN 599195-48-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cycloheptylcyclopropyl)phenyl]-1-ethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

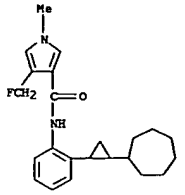


RN 599195-49-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cycloheptylcyclopropyl)phenyl]-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

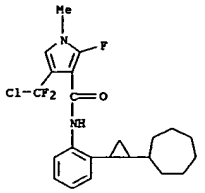


RN 599195-50-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cycloheptylcyclopropyl)phenyl]-4-(fluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

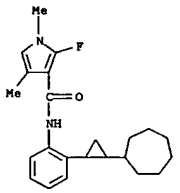
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-51-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(chlorodifluoromethyl)-N-[2-(2-cycloheptylcyclopropyl)phenyl]-2-fluoro-1-methyl- (9CI) (CA INDEX NAME)

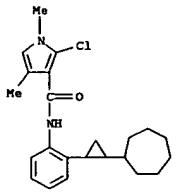


RN 599195-52-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cycloheptylcyclopropyl)phenyl]-2-fluoro-1,4-dimethyl- (9CI) (CA INDEX NAME)

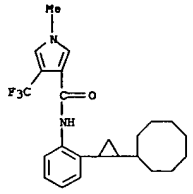


L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 599195-53-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-N-[2-(2-cycloheptylcyclopropyl)phenyl]-1,4-dimethyl- (9CI) (CA INDEX NAME)

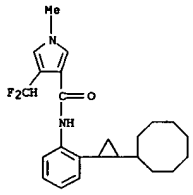


RN 599195-54-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclooctylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

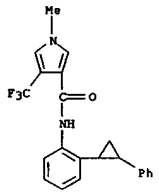


RN 599195-55-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclooctylcyclopropyl)phenyl]-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

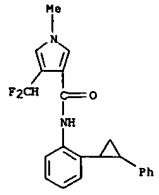
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-56-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-(2-phenylcyclopropyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

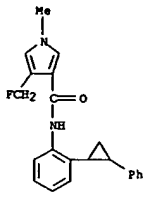


RN 599195-57-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(difluoromethyl)-1-methyl-N-[2-(2-phenylcyclopropyl)phenyl]- (9CI) (CA INDEX NAME)

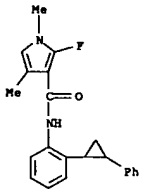


RN 599195-58-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(fluoromethyl)-1-methyl-N-[2-(2-phenylcyclopropyl)phenyl]- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

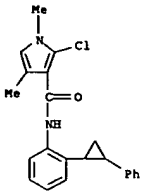


RN 599195-59-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-fluoro-1,4-dimethyl-N-[2-(2-phenylcyclopropyl)phenyl]- (9CI) (CA INDEX NAME)

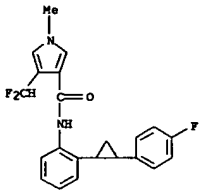


RN 599195-60-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-1,4-dimethyl-N-[2-(2-phenylcyclopropyl)phenyl]- (9CI) (CA INDEX NAME)

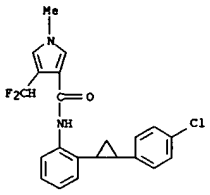
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-62-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(difluoromethyl)-N-[2-[2-(4-fluorophenyl)cyclopropyl]phenyl]-1-methyl- (9CI) (CA INDEX NAME)

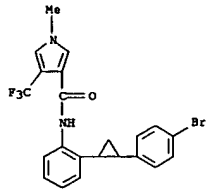


RN 599195-64-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[2-(4-chlorophenyl)cyclopropyl]phenyl]-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

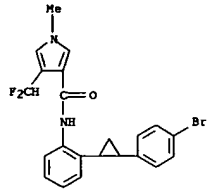


L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 599195-65-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[2-(4-bromophenyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

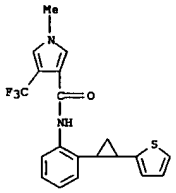


RN 599195-66-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[2-(4-bromophenyl)cyclopropyl]phenyl]-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

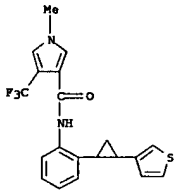


RN 599195-67-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-[2-(2-thienyl)cyclopropyl]phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

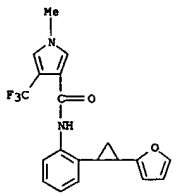
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-68-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-[2-(3-thienyl)cyclopropyl]phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

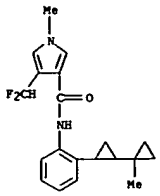


RN 599195-69-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[2-(2-furanyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

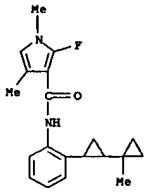


RN 599195-71-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-(difluoromethyl)-1-methyl-N-[2-(1'-methyl[1,1'-bicyclopropyl]-2-yl)phenyl]- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

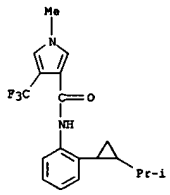


RN 599195-72-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-fluoro-1,4-dimethyl-N-[2-(1'-methyl[1,1'-bicyclopropyl]-2-yl)phenyl]- (9CI) (CA INDEX NAME)

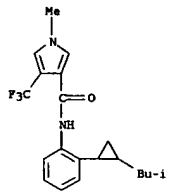


RN 599195-73-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-1,4-dimethyl-N-[2-(1'-methyl[1,1'-bicyclopropyl]-2-yl)phenyl]- (9CI) (CA INDEX NAME)

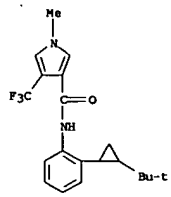
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 599195-13-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-[2-(2-methylpropyl)cyclopropyl]phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



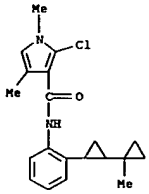
RN 599195-19-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[2-(1,1-dimethylethyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 599195-28-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-[1,1'-bicyclopropyl]-2-ylphenyl)-1-methyl-4-



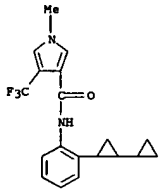
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



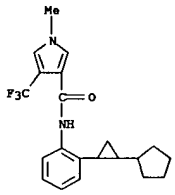
IT 599195-01-4P, N-[2-[2-(1-Methylethyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-13-8P, N-[2-[2-(2-Methylpropyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-19-4P, N-[2-[2-[1,1-Bis(methyl)ethyl]cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-28-5P, N-[2-(2-Cyclopropylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-34-3P, N-[2-(2-Cyclopentylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-40-1P, N-[2-(2-Cyclohexylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-61-6P, N-[2-[cis-2-(4-Fluorophenyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-63-8P, N-[2-[cis-2-(4-Chlorophenyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-70-7P, N-[2-[2-(1-Methylcyclopropyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599195-74-1P, N-[2-[cis-2-(1-Methylcyclopropyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-2-chloro-1H-pyrrole-3-carboxamide 599197-47-4P, N-[2-[trans-2-(4-Fluorophenyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599197-48-5P, N-[2-[trans-2-(4-Chlorophenyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxamide 599197-49-6P, N-[2-[trans-2-(1-Methylcyclopropyl)cyclopropyl]phenyl]-1-methyl-4-(trifluoromethyl)-2-chloro-1H-pyrrole-3-carboxamide
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic ortho-cyclopropyl-carboxanilides and their use as fungicides)

RN 599195-01-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-[2-(1-methylethyl)cyclopropyl]phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

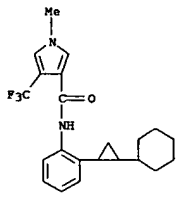
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 599195-34-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclopentylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



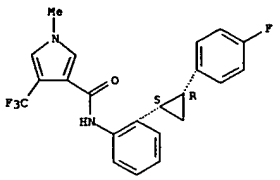
RN 599195-40-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(2-cyclohexylcyclopropyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 599195-61-6 HCAPLUS

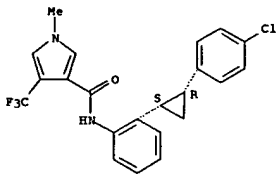
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-3-carboxamide, N-[2-[(1R,2S)-2-(4-fluorophenyl)cyclopropyl]phen yl]-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 599195-63-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[(1R,2S)-2-(4-chlorophenyl)cyclopropyl]phen yl]-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

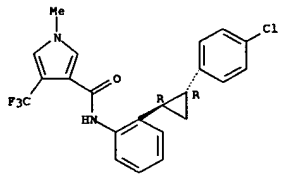
Relative stereochemistry.



RN 599195-70-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-(1'-methyl[1,1'-bicyclopropyl]-2-yl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

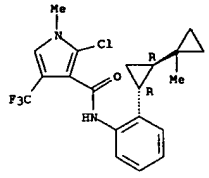
L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 599197-48-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[(1R,2R)-2-(4-chlorophenyl)cyclopropyl]phen yl]-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



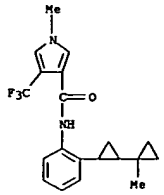
RN 599197-49-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-1-methyl-N-[2-[(1R,2R)-1'-methyl[1,1'-bicyclopropyl]-2-yl]phenyl]-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



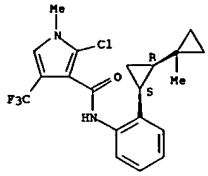
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



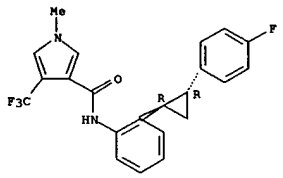
RN 599195-74-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-chloro-1-methyl-N-[2-[(1R,2S)-1'-methyl[1,1'-bicyclopropyl]-2-yl]phenyl]-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

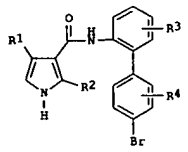


RN 599197-47-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[(1R,2R)-2-(4-fluorophenyl)cyclopropyl]phen yl]-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L13 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 23 Aug 2002
GI



I

AB Title compds. I [R1 = CF3, CF2H, CFH2; R2-3 = H, F; R4 = H, F, Cl, Br, Me, CF3, OCF3, SCF3] were prepared For instance, 1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (preparation given) was converted to the corresponding acid chloride (CH2Cl2, ClCOCOCl, DMF) and subsequently reacted with 2-(4'-bromophenyl)aniline to afford I [R1 = CF3; R2-4 = H; II]. Administration of a formulation of II (0.02%) to a one week old wheat plant (Arina) followed by innoculation with Puccinia recondita (brownrust) and incubation resulted in <5% infestation after 8 days at 20° and 60% relative humidity. I are suitable for protecting plants against infestations by phytopathogenic microorganisms.

ACCESSION NUMBER: 2002:637651 HCAPLUS
DOCUMENT NUMBER: 137:169413
TITLE: Preparation of pyrrolecarboxamides for use as fungicides
INVENTOR(S): Walter, Harald
PATENT ASSIGNEE(S): Syngenta Participations Ag, Switz.
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

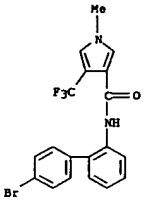
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002064562 | A1 | 20020822 | WO 2002-EP1344 | 20020208 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM; AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EG 23036 | A | 20040131 | EG 2002-149 | 20020205 |
| CA 2436271 | AA | 20020822 | CA 2002-2436271 | 20020208 |
| EP 1360176 | A1 | 20031112 | EP 2002-719787 | 20020208 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002007128 | A | 20040330 | BR 2002-7128 | 20020208 |
| CN 1491212 | A | 20040421 | CN 2002-804755 | 20020208 |
| JP 2004528297 | T2 | 20040916 | JP 2002-564495 | 20020208 |

L13 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

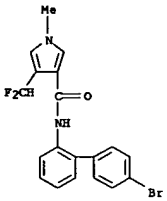
ZA 2003005934 A 20040830 ZA 2003-5934 20030731
US 2004082477 A1 20040429 US 2003-467643 20031126
PRIORITY APPLN. INFO.: GB 2001-3258 A 20010209
WO 2002-EP1344 W 20020208
OTHER SOURCE(S): CASREACT 137:169413; MARPAT 137:169413

IT 448235-93-6P 448235-94-7P 448235-95-8P
448235-96-9P 448235-97-0P 448235-98-1P
448235-99-2P 448236-00-8P 448236-01-9P
448236-02-0P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fungicide; preparation of pyrrolecarboxamides for use as fungicides)

RN 448235-93-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo[1,1'-biphenyl]-2-yl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

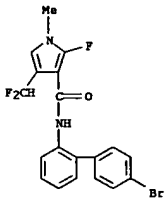


RN 448235-94-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo[1,1'-biphenyl]-2-yl)-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

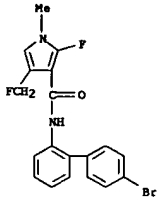


RN 448235-95-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo[1,1'-biphenyl]-2-yl)-4-(fluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

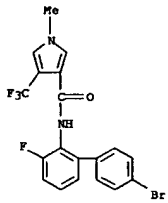
L13 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 448235-98-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo[1,1'-biphenyl]-2-yl)-2-fluoro-4-(fluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

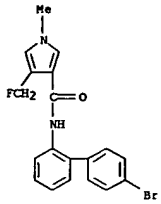


RN 448235-99-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo-3-fluoro[1,1'-biphenyl]-2-yl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

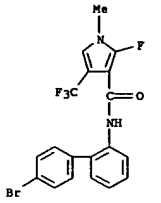


RN 448236-00-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo-3-fluoro[1,1'-biphenyl]-2-yl)-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

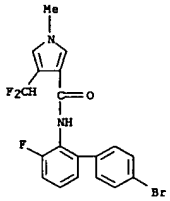


RN 448235-96-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo[1,1'-biphenyl]-2-yl)-2-fluoro-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

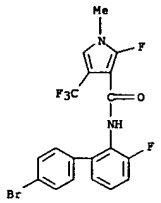


RN 448235-97-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo[1,1'-biphenyl]-2-yl)-4-(difluoromethyl)-2-fluoro-1-methyl- (9CI) (CA INDEX NAME)

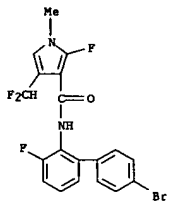
L13 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 448236-01-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo-3-fluoro[1,1'-biphenyl]-2-yl)-2-fluoro-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



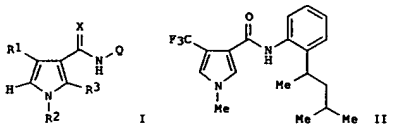
RN 448236-02-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-bromo-3-fluoro[1,1'-biphenyl]-2-yl)-4-(difluoromethyl)-2-fluoro-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 May 2002
GI



AB The title compds. [I; X = O, S; R1 = CF3, CF2H, CFH2; R2 = alkyl, haloalkyl, alkoxyalkyl, haloalkoxyalkyl; R3 = H, Me, CF3, F; Q = substituted Ph, 2-thienyl, 3-thienyl] which have plant-protecting properties and are suitable for protecting plants against infestation by phytopathogenic microorganisms, were prepared Thus, treating 1-methyl-4-trifluoromethylpyrrole-3-carboxylic acid with oxalyl chloride in the presence of a catalytic amount of DMF in CH2Cl2 followed by addition of the resulting acid chloride to a solution of 2-(1,3-dimethylbutyl)phenylamine and Et3N in CH2Cl2 afforded II. Compds. I showed good activity (< 20% infestation) against Puccinia recondita (brown rust) on wheat.

ACCESSION NUMBER: 2002:368451 HCAPLUS
DOCUMENT NUMBER: 136:369602
TITLE: Preparation of pyrrolecarboxamides and pyrrolecarbothioamides as agrochemical fungicides
INVENTOR(S): Walter, Harald
PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002038542 | A1 | 20020516 | WO 2001-EP12830 | 20011106 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2426033 | AA | 20020516 | CA 2001-2426033 | 20011106 |
| AU 2002023668 | A5 | 20020521 | AU 2002-23668 | 20011106 |
| EP 1341757 | A1 | 20030910 | EP 2001-993599 | 20011106 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | |
|---|---|---|---|---|
| BR 2001015200 | A | 20040217 | BR 2001-15200 | 20011106 |
| EG 23122 | A | 20040428 | EG 2001-1173 | 20011106 |
| JP 2004513163 | T2 | 20040430 | JP 2002-541078 | 20011106 |
| US 2005119130 | A1 | 20050602 | US 2003-416219 | 20011106 |
| ZA 2003003012 | A | 20040520 | ZA 2003-3012 | 20030416 |

PRIORITY APPLN. INFO.:

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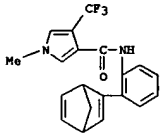
|---|---|---|
| GB 2000-27284 | A | 20001108 |
| GB 2000-30268 | A | 20001212 |
| WO 2001-EP12830 | W | 20011106 |

OTHER SOURCE(S): MARPAT 136:369602

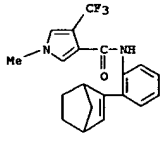
IT **424832-15-5P 424832-16-6P 424832-17-7P**
**424832-18-8P 424832-19-9P 424832-20-2P**
**424832-21-3P 424832-22-4P 424832-23-5P**
**424832-24-6P 424832-25-7P 424832-26-8P**
**424832-27-9P 424832-28-0P 424832-29-1P**
**424832-30-4P 424832-31-5P 424832-32-6P**
**424832-33-7P 424832-34-8P 424832-35-9P**
**424832-36-0P 424832-37-1P 424832-38-2P**
**424832-39-3P**

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolecarboxamides and pyrrolecarbothioamides as agrochem. fungicides)

RN 424832-15-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.1]hepta-2,5-dien-2-ylphenyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



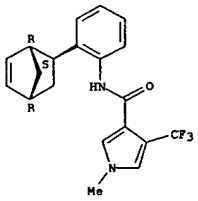
RN 424832-16-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.1]hept-2-en-2-ylphenyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 424832-17-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2S,4R)-bicyclo[2.2.1]hept-5-en-2-ylphenyl]-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

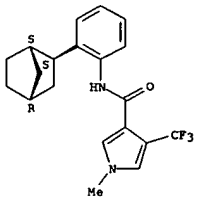
Relative stereochemistry.

L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



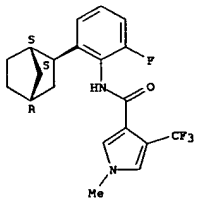
RN 424832-18-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylphenyl]-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 424832-19-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-6-fluorophenyl]-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

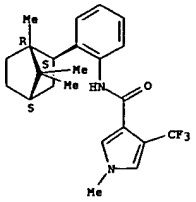
Relative stereochemistry.



RN 424832-20-2 HCAPLUS

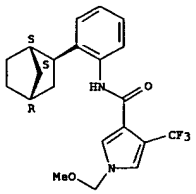
L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-3-carboxamide, 1-methyl-4-(trifluoromethyl)-N-[2-[(1R,2S,4S)-1,7,7-trimethylbicyclo[2.2.1]hept-2-yl]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 424832-21-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylphenyl]-1-(methoxymethyl)-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

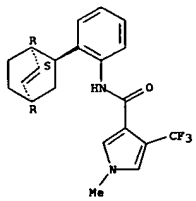
Relative stereochemistry.



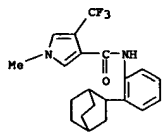
RN 424832-22-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylphenyl]-4-(difluoromethyl)-1-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 424832-26-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]oct-2-ylphenyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 424832-27-9 HCAPLUS
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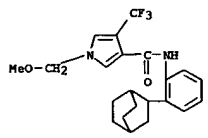
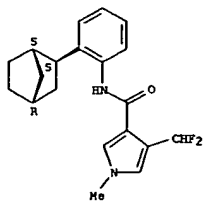
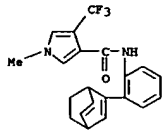


RN 424832-28-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]oct-2-yl-4-fluorophenyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

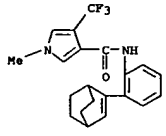
L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 424832-23-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]octa-2,5-dien-2-ylphenyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



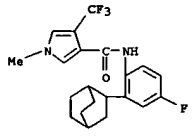
RN 424832-24-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]oct-2-en-2-ylphenyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



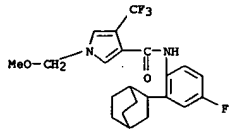
RN 424832-25-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2S,4R)-bicyclo[2.2.2]oct-5-en-2-ylphenyl]-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

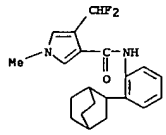
L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 424832-29-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]oct-2-yl-4-fluorophenyl)-1-(methoxymethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



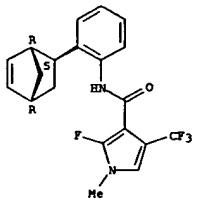
RN 424832-30-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]oct-2-ylphenyl)-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)



RN 424832-31-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2S,4R)-bicyclo[2.2.1]hept-5-en-2-ylphenyl]-2-fluoro-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

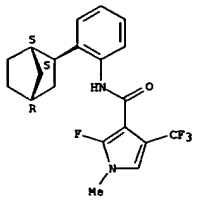
Relative stereochemistry.

L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 424832-32-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylphenyl]-2-fluoro-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

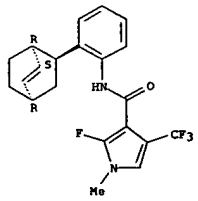
Relative stereochemistry.



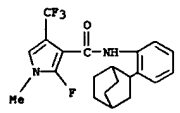
RN 424832-33-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2S,4R)-bicyclo[2.2.1]hept-5-en-2-ylphenyl]-2-fluoro-1-(methoxymethyl)-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

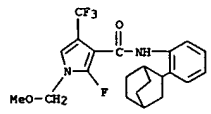
L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 424832-36-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]oct-2-ylphenyl)-2-fluoro-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



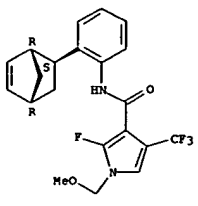
RN 424832-37-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]oct-2-ylphenyl)-2-fluoro-1-(methoxymethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 424832-38-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylphenyl]-1,2-dimethyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

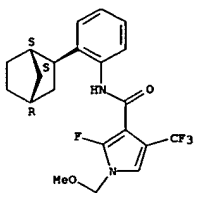
Relative stereochemistry.

L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 424832-34-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylphenyl]-2-fluoro-1-(methoxymethyl)-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

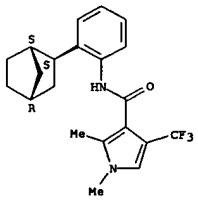
Relative stereochemistry.



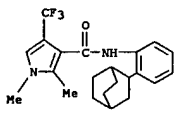
RN 424832-35-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1R,2S,4R)-bicyclo[2.2.2]oct-5-en-2-ylphenyl]-2-fluoro-1-methyl-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L13 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

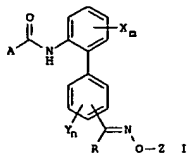


RN 424832-39-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-bicyclo[2.2.2]oct-2-ylphenyl)-1,2-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Feb 2002
GI

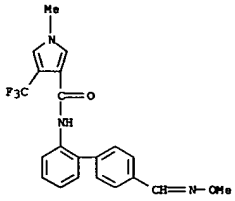


AB Title compds. [I; R = H, (halo)alkyl, cycloalkyl; Z = H, (halo)alkyl; X, Y = halo, NO2, cyano, OH, CO2H, cycloalkyl, alkoxycarbonyl, alkoxyimidoalkyl, (halo-substituted) alkyl, alkoxy, alkylthio, alkenyloxy, alkynyloxy, alkylsulfonyl, alkylsulfinyl; m = 0-3; n = 0-4; A = (substituted) 1H-pyrazol-4-yl, 2- or 3-thienyl, Ph, 3-pyridinyl, 3-pyranyl, 1,4-oxathiin-3-yl, 2- or 3-thiopyranyl, 3-pyrrolyl, 3- or 2-furanyl, 5- or 4-thiazolyl, 4-isothiazolyl, 5-isoxazolyl, 2-pyrazinyl], were prepared Thus, a mixture of 2-(4-methoxyiminomethylphenyl)benzenamine (preparation given) and Et3N in PhMe was stirred with 2-methyl-4-trifluoromethylthiazole-5-carbonyl chloride at room temperature followed by stirring for 2 h at 50° to give 74% N-[2-(4-methoxyimidomethylphenyl)phenyl]-2-methyl-4-trifluoromethylthiazole-5-carboxamide. Several I at 100 ppm gave 77-100% control of Podosphaera leucotricha on apple.

ACCESSION NUMBER: 2002:90017 HCAPLUS
DOCUMENT NUMBER: 136:151158
TITLE: Preparation of N-biphenylcarboxamides as bactericides
INVENTOR(S): Elbe, Hans-Ludwig; Rieck, Heiko; Dunkel, Ralf; Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid; Kuck, Karl-Heinz; Kugler, Martin; Jaetsch, Thomas
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

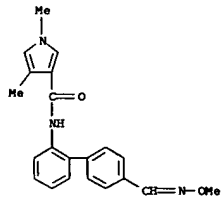
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002008197 | A1 | 20020131 | WO 2001-EP7981 | 20010711 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10122447 | A1 | 20020418 | DE 2001-10122447 | 20010509 |
| EP 1305292 | A1 | 20030502 | EP 2001-956525 | 20010711 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001012676 | A | 20030624 | BR 2001-12676 | 20010711 |
| JP 2004504383 | T2 | 20040212 | JP 2002-514103 | 20010711 |
| ZA 2003000633 | A | 20040212 | ZA 2003-633 | 20030123 |
| US 2004039043 | A1 | 20040226 | US 2003-333598 | 20030506 |
| PRIORITY APPLN. INFO.: | | | DE 2000-10035857 A | 20000724 |
| | | | DE 2001-10122447 A | 20010509 |
| | | | WO 2001-EP7981 W | 20010711 |

OTHER SOURCE(S): MARPAT 136:151158
IT **393820-64-9P 393820-67-2P 393821-62-0P 393821-83-5P 393821-85-7P 393821-86-8P 393821-87-9P 393821-90-4P 393822-21-4P 393822-42-9P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-biphenylcarboxamides as bactericides)
RN 393820-64-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[(methoxyimino)methyl][1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

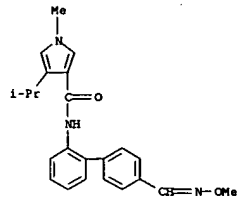


RN 393820-67-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[(methoxyimino)methyl][1,1'-biphenyl]-2-yl]-1,4-dimethyl- (9CI) (CA INDEX NAME)

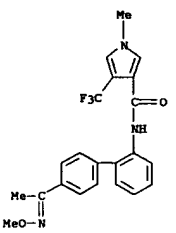
L13 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 393821-62-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[(methoxyimino)methyl][1,1'-biphenyl]-2-yl]-1-methyl-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

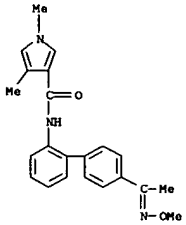


RN 393821-83-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[1-(methoxyimino)ethyl][1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

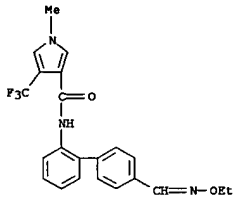


RN 393821-85-7 HCAPLUS

L13 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-3-carboxamide, N-[4'-[1-(methoxyimino)ethyl][1,1'-biphenyl]-2-yl]-1,4-dimethyl- (9CI) (CA INDEX NAME)

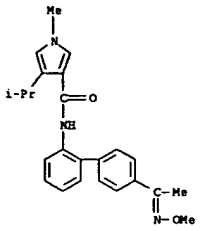


RN 393821-86-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[(ethoxyimino)methyl][1,1'-biphenyl]-2-yl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

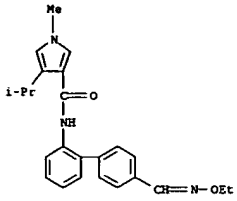


RN 393821-87-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[1-(methoxyimino)ethyl][1,1'-biphenyl]-2-yl]-1-methyl-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

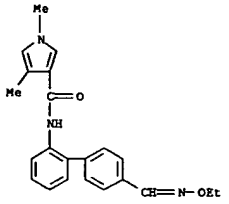


RN 393821-90-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[(ethoxyimino)methyl][1,1'-biphenyl]-2-yl]-1-methyl-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

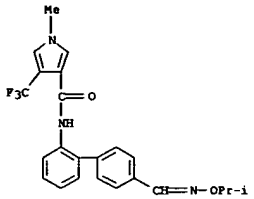


RN 393822-21-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[4'-[(ethoxyimino)methyl][1,1'-biphenyl]-2-yl]-1,4-dimethyl- (9CI) (CA INDEX NAME)

L13 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

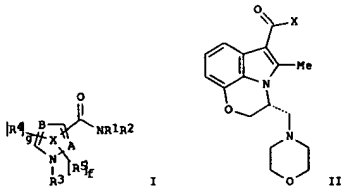


RN 393822-42-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[4'-[[(1-methylethoxy)imino]methyl][1,1'-biphenyl]-2-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 17 Aug 2001
GI



AB The title compds. [I; A, B = C, N so that ring X = pyrrole, pyrazole or imidazole (wherein when A = N, the group CONR1R2 is attached to atom C-3 and R5 does not exist; and when A = C, one of CONR1R2 and R5 is attached to A and the other to atom C-3; and when B = C, two R4 groups attached to B and atom C-5, resp., form a fused 6-membered heteroaryl); f = 0-1; g = 1-2; R1, R2 = H, alkyl, heterocycloalkyl, etc.; R2 together with R1 or R5 forms a 5-6 membered heterocyclo; R3 = H, alkyl, aryl, etc.; R4 is attached to atom C-5 and optionally B and is H, alkyl, aryl, etc.; R5 is attached to A or atom C-3 and is H, alkyl, aryl, etc.; R5 together with R2 forms a heterocyclo], useful as cannabinoid receptor modulators (no data given) for treating respiratory and non-respiratory leukocyte-activation associated diseases, were prepared Thus, reacting the acid chloride II [X = Cl] (multi-step synthesis given) with 2,2,6,6-tetramethylcyclohexylamine afforded the pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamide II [X = 2,2,6,6-tetramethylcyclohexylamino].

ACCESSION NUMBER: 2001:597958 HCAPLUS
DOCUMENT NUMBER: 135:166827
TITLE: Preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases
INVENTOR(S): Leftheris, Katerina; Zhao, Rulin; Chen, Bang-Chi; Kiener, Peter; Wu, Hong; Pandit, Chennagiri R.; Wrobleski, Stephen; Chen, Ping; Hynes, John, Jr.; Longphre, Malinda; Norris, Derek J.; Spergel, Steven; Tokarski, John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; et al.
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001058869 | A2 | 20010816 | WO 2001-US4131 | 20010208 |
| WO 2001058869 | A3 | 20020124 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

L13 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

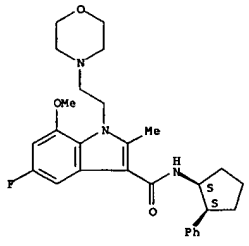
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

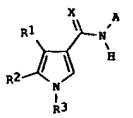
| | | | | |
|---|---|---|---|---|
| CA 2399791 | AA | 20010816 | CA 2001-2399791 | 20010208 |
| AU 2001034958 | A5 | 20010820 | AU 2001-34958 | 20010208 |
| EP 1254115 | A2 | 20021106 | EP 2001-907144 | 20010208 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004502642 | T2 | 20040129 | JP 2001-558420 | 20010208 |
| PRIORITY APPLN. INFO.: | | | US 2000-181818P | P 20000211 |
| | | | WO 2001-US4131 | W 20010208 |

OTHER SOURCE(S): MARPAT 135:166827
IT 354569-58-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases)
RN 354569-58-7 HCAPLUS
CN 1H-Indole-3-carboxamide, 5-fluoro-7-methoxy-2-methyl-1-[2-(4-morpholinyl)ethyl]-N-[(1S,2S)-2-phenylcyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 27 Jul 2001
GI



I

AB The title compds. [I; X = O, S; R1 = alkyl, cycloalkyl, halo; R2 = H, alkyl, alkoxy, etc.; R3 = alkyl; A = (un)substituted ortho-substituted (hetero)aryl, bicyclo(hetero)aryl] which have plant-protective properties and are suitable for protecting plants against infestations by phytopathogenic microorganisms, were prepared Thus, methylation of Me 4-methylpyrrole-3-carboxylate followed by hydrolysis of the resulting ester, and reaction of 1,4-dimethylpyrrole-3-carboxylic acid with 2-(4'-fluorophenyl)aniline afforded I [X = O; R1, R3 = Me; R2 = H; A = 4'-fluorobiphenyl-2-yl] which showed strong efficacy against Puccinia recondita on wheat (< 20% infestation).

ACCESSION NUMBER: 2001:545661 HCAPLUS
DOCUMENT NUMBER: 135:137397
TITLE: Preparation of pyrrolecarboxamides and pyrrolethioamides as fungicides
INVENTOR(S): Walter, Harald; Schneider, Hermann
PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001053259 | A1 | 20010726 | WO 2001-EP592 | 20010119 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2397008 | AA | 20010726 | CA 2001-2397008 | 20010119 |
| BR 2001007738 | A | 20021022 | BR 2001-7738 | 20010119 |
| EP 1252140 | A1 | 20021030 | EP 2001-907468 | 20010119 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003520269 | T2 | 20030702 | JP 2001-553263 | 20010119 |
| AU 772635 | B2 | 20040506 | AU 2001-35433 | 20010119 |
| ZA 2002005641 | A | 20031103 | ZA 2002-5641 | 20020715 |

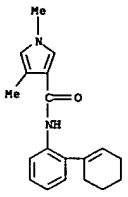
L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | |
|---|---|---|---|---|
| US 2004049035 | A1 | 20040311 | US 2002-181702 | 20021008 |
| US 6806286 | B2 | 20041019 | | |
| US 2004106521 | A1 | 20040603 | US 2003-680346 | 20031007 |

PRIORITY APPLN. INFO.:
GB 2000-1447 A 20000121
WO 2001-EP592 W 20010119
US 2002-181702 A3 20021008

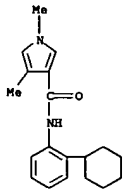
OTHER SOURCE(S): MARPAT 135:137397
IT 351416-52-9P 351416-53-0P 351416-54-1P 351416-55-2P 351416-57-4P 351416-59-6P 351416-60-9P 351416-61-0P 351416-62-1P 351416-63-2P 351416-64-3P 351416-66-5P 351416-67-6P 351416-68-7P 351416-69-8P 351416-70-1P 351416-71-2P 351416-72-3P 351416-73-4P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolecarboxamides and pyrrolethioamides as fungicides)

RN 351416-52-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1-cyclohexen-1-yl)phenyl]-1,4-dimethyl- (9CI) (CA INDEX NAME)

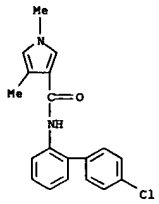


RN 351416-53-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-cyclohexylphenyl)-1,4-dimethyl- (9CI) (CA INDEX NAME)

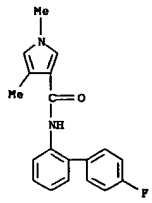
L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 351416-54-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-1,4-dimethyl- (9CI) (CA INDEX NAME)

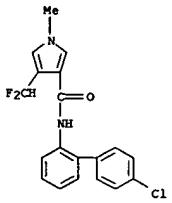


RN 351416-55-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-fluoro[1,1'-biphenyl]-2-yl)-1,4-dimethyl- (9CI) (CA INDEX NAME)

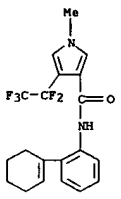


RN 351416-57-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-4-(difluoromethyl)-1-methyl- (9CI) (CA INDEX NAME)

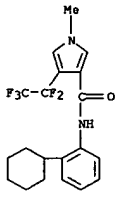
L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 351416-59-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(1-cyclohexen-1-yl)phenyl]-1-methyl-4-(pentafluoroethyl)- (9CI) (CA INDEX NAME)

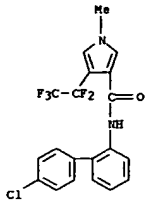


RN 351416-60-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-cyclohexylphenyl)-1-methyl-4-(pentafluoroethyl)- (9CI) (CA INDEX NAME)

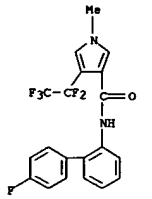


RN 351416-61-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-1-methyl-4-

L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(pentafluoroethyl)- (9CI) (CA INDEX NAME)

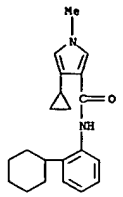


RN 351416-62-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-fluoro[1,1'-biphenyl]-2-yl)-1-methyl-4-(pentafluoroethyl)- (9CI) (CA INDEX NAME)

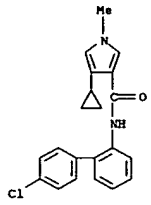


RN 351416-63-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-cyclohexylphenyl)-4-cyclopropyl-1-methyl-(9CI) (CA INDEX NAME)

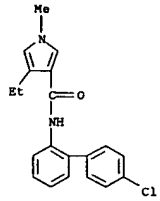
L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 351416-64-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-4-cyclopropyl-1-methyl- (9CI) (CA INDEX NAME)

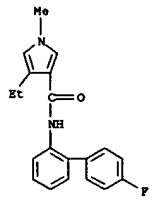


RN 351416-66-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-4-ethyl-1-methyl- (9CI) (CA INDEX NAME)

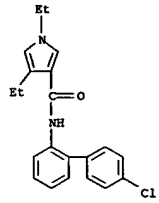


L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 351416-67-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 4-ethyl-N-(4'-fluoro[1,1'-biphenyl]-2-yl)-1-methyl- (9CI) (CA INDEX NAME)

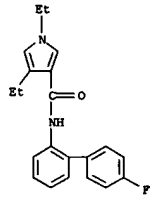


RN 351416-68-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-1,4-diethyl-(9CI) (CA INDEX NAME)

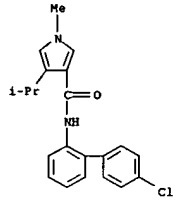


RN 351416-69-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1,4-diethyl-N-(4'-fluoro[1,1'-biphenyl]-2-yl)-(9CI) (CA INDEX NAME)

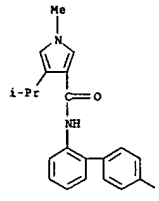
L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 351416-70-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-1-methyl-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

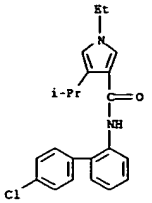


RN 351416-71-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-fluoro[1,1'-biphenyl]-2-yl)-1-methyl-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

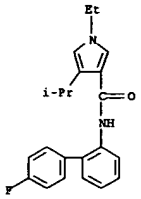


RN 351416-72-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-1-ethyl-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

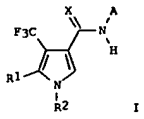


RN 351416-73-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-ethyl-N-(4'-fluoro[1,1'-biphenyl]-2-yl)-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Jul 2001
GI



I

AB The title compds. [I; X = O, S; R1 = H, alkyl, halo; R2 = alkyl; A = ortho-substituted aryl, ortho-substituted heteroaryl, bicycloaryl, bicycloheteroaryl] which have plant-protective properties and are suitable for protecting plants against infestations by phytopathogenic microorganisms, were prepared E.g., a multi-step synthesis of I [R1 = H; R2 = Me; X = O; A = 4-(4-chlorophenyl)pyridin-3-yl] which showed strong efficacy against Erysiphe graminis on barley, was given.

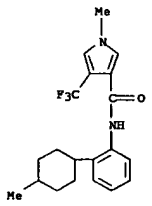
ACCESSION NUMBER: 2001:507677 HCAPLUS
DOCUMENT NUMBER: 135:92539
TITLE: Preparation of trifluoromethylpyrrole carboxamides and trifluoromethylpyrrolethioamides as fungicides
INVENTOR(S): Walter, Harald; Trah, Stephan; Schneider, Hermann
PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001049664 | A1 | 20010712 | WO 2000-EP11196 | 20001111 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2395267 | AA | 20010712 | CA 2000-2395267 | 20001111 |
| BR 2000016871 | A | 20021008 | BR 2000-16871 | 20001111 |
| EP 1252139 | A1 | 20021030 | EP 2000-985016 | 20001111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003519212 | T2 | 20030617 | JP 2001-550204 | 20001111 |
| EG 22599 | A | 20030430 | EG 2000-1588 | 20001224 |
| ZA 2002004874 | A | 20030918 | ZA 2002-4874 | 20020618 |
| US 6699818 | B1 | 20040302 | US 2002-169281 | 20021008 |

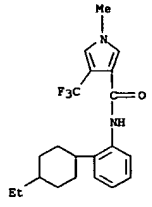
L13 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | |
|---|---|---|---|---|
| US 2004171490 | A1 | 20040902 | US 2004-785836 | 20040224 |
| PRIORITY APPLN. INFO.: | | | GB 1999-30750 | A 19991229 |
| | | | WO 2000-EP11196 | W 20001111 |
| | | | US 2002-169281 | A3 20021008 |

OTHER SOURCE(S): MARPAT 135:92539
IT **349483-58-5P 349483-59-6P 349483-73-4P**
**349483-74-5P 349483-75-6P 349483-76-7P**
**349483-91-6P 349483-92-7P 349483-93-8P**
**349483-94-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of trifluoromethylpyrrole carboxamides and trifluoromethylpyrrolethioamides as fungicides)
RN 349483-58-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-(4-methylcyclohexyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

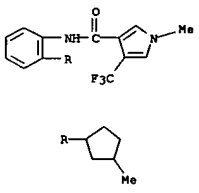


RN 349483-59-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(4-ethylcyclohexyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

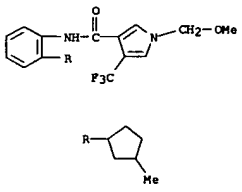


RN 349483-73-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-(3-methylcyclopentyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

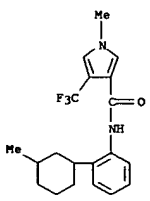
L13 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 349483-74-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(methoxymethyl)-N-[2-(3-methylcyclopentyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

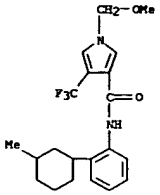


RN 349483-75-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[2-(3-methylcyclohexyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

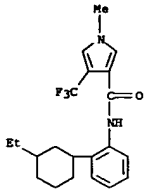


RN 349483-76-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(methoxymethyl)-N-[2-(3-methylcyclohexyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

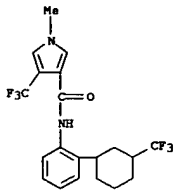
L13 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



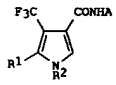
RN 349483-91-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(3-ethylcyclohexyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 349483-92-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-4-(trifluoromethyl)-N-[2-[3-(trifluoromethyl)cyclohexyl]phenyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 25 Feb 2000
GI

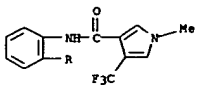


AB Title compds. I (R1 = H, halo, alkyl, haloalkyl; R2 = alkyl, haloalkyl, alkoxyalkyl, cyano, alkylsulfonyl, arylsulfonyl, etc.; A = substituted Ph, substituted 3-thienyl, substituted 4-indanyl) were prepared as plant protectants. Thus, 1.9 g 1-methyl-4-(trifluoromethyl)pyrrole-3-carboxylic acid, obtained from Et 4,4,4-trifluorocrotonate, tosylmethyl isocyanide, and MeI, and 0.9 mL oxalyl chloride in 20 mL CH2Cl2 was stirred at room temperature in the presence of a catalytic amount of DMF, the solvent was evaporated under reduced pressure to give a crystalline solid, and the solid was added to a solution of 1.7 g of 2-biphenylamine and 4.2 mL Et3N in 20 mL CH2Cl2 at 0°, and the reaction mixture was stirred for 2 h at room temperature to give I (R1 = H, R2 = Me, A = 2-biphenylyl). Application of this compound on apples, grapes, and tomatoes resulted in <10% infestation by Botrytis cinerea.

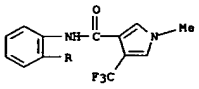
ACCESSION NUMBER: 2000:133660 HCAPLUS
DOCUMENT NUMBER: 132:166122
TITLE: (Trifluoromethyl)pyrrolecarboxamides
INVENTOR(S): Eberle, Martin; Walter, Harald
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000009482 | A1 | 20000224 | WO 1999-EP5837 | 19990810 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| TW 576831 | B | 20040221 | TW 1999-88107745 | 19990513 |
| AU 9955138 | A1 | 20000306 | AU 1999-55138 | 19990810 |
| AU 756140 | B2 | 20030102 | | |
| BR 9912962 | A | 20010508 | BR 1999-12962 | 19990810 |
| EP 1105375 | A1 | 20010613 | EP 1999-941573 | 19990810 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TR 200100478 | T2 | 20010621 | TR 2001-200100478 | 19990810 |
| JP 2002522526 | T2 | 20020723 | JP 2000-564936 | 19990810 |

L13 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 349483-93-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-(3-ethylcyclopentyl)phenyl]-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 349483-94-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-4-(trifluoromethyl)-N-[2-[3-(trifluoromethyl)cyclopentyl]phenyl]- (9CI) (CA INDEX NAME)

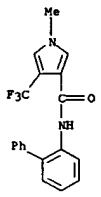


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | | |
|---|---|---|---|---|
| RU 2264388 | C2 | 20051120 | RU 2001-105955 | 19990810 |
| US 2002019541 | A1 | 20020214 | US 2001-780897 | 20010209 |
| US 6365620 | B2 | 20020402 | | |

PRIORITY APPLN. INFO.: GB 1998-17548 A 19980812
WO 1999-EP5837 W 19990810
OTHER SOURCE(S): MARPAT 132:166122
IT 258510-84-8P 258510-85-9P 258510-86-0P 258510-87-1P 258510-92-8P 258510-93-9P 258510-95-1P 258510-98-4P 258510-99-5P 258511-00-1P 258511-01-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
((trifluoromethyl)pyrrolecarboxamides as plant protectants)
RN 258510-84-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[1,1'-biphenyl]-2-yl-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 258510-85-9 HCAPLUS
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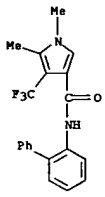
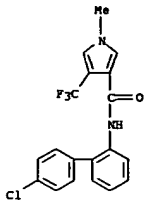


RN 258510-86-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 258510-87-1 HCAPLUS
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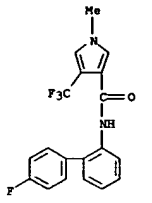
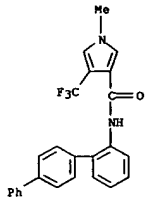
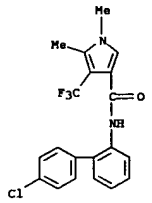


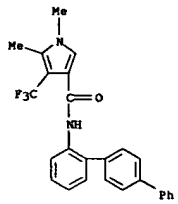
RN 258510-92-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-N-[1,1':4',1''-terphenyl]-2-yl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



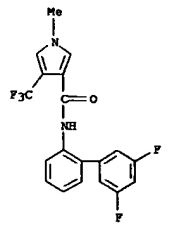
L13 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 258510-99-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1,5-dimethyl-N-[1,1':4',1''-terphenyl]-2-yl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



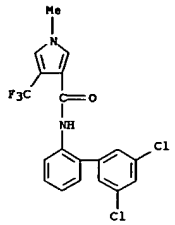
RN 258511-00-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(3',5'-difluoro[1,1'-biphenyl]-2-yl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



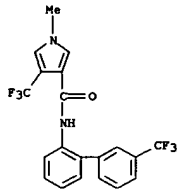
RN 258511-01-2 HCAPLUS

L13 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 258510-93-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(3',5'-dichloro[1,1'-biphenyl]-2-yl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

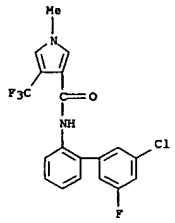


RN 258510-95-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-methyl-4-(trifluoromethyl)-N-[3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



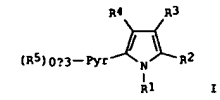
RN 258510-98-4 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(4'-chloro[1,1'-biphenyl]-2-yl)-1,5-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Pyrrole-3-carboxamide, N-(3'-chloro-5'-fluoro[1,1'-biphenyl]-2-yl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

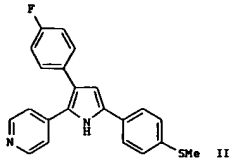


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Aug 1998
GI



I



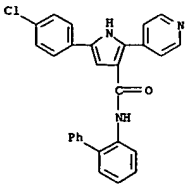
II

AB The invention provides substituted pyridylpyrroles I [Pyr = pyridine nucleus; R1 = H, (un)substituted alkyl, heterocyclyl, aryl, etc.; R2 = (un)substituted alkyl, (hetero)aryl, heterocyclyl, etc.; R3 = H, halo, alkyl, aryl, etc.; R4 = acyl, aryl, heterocyclyl, alkoxycarbonyl, etc.; R5 = halo, (un)substituted (hetero)aryl, etc.], as well as comps. containing such compds. and methods of treatment. I are glucagon antagonists and inhibitors of the biosynthesis and action of TNF-α, IL-1, IL-8, and other cytokines. The compds. block the action of glucagon at its receptors, and thereby decrease the levels of plasma glucose, making the compds. useful as antidiabetic agents. For instance, 4-FC6H4CONMe(OMe) was condensed with 4-[[(tert-butyldimethylsilyl)oxy]methyl]pyridine, and the product ketone was cyclized with 4-(MeS)C6H4COMe using KCN and then NH4OAc in refluxing aqueous EtOH, to give title compound II. In a glucagon receptor binding assay, I typically showed IC50 < 2.0 μM.

ACCESSION NUMBER: 1998:487827 HCAPLUS
DOCUMENT NUMBER: 129:122578
TITLE: Preparation of pyridylpyrroles and analogs as cytokine inhibitors and glucagon antagonists
INVENTOR(S): De Laszlo, Stephen E.; Chang, Linda L.; Kim, Dooseop; Mantlo, Nathan B.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 59 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

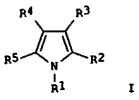
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5776954 | A | 19980707 | US 1996-742428 | 19961030 |
| PRIORITY APPLN. INFO.: | | | US 1996-742428 | 19961030 |

OTHER SOURCE(S): MARPAT 129:122578
IT 191030-88-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylpyrroles and analogs as cytokine inhibitors and glucagon antagonists)
RN 191030-88-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[1,1'-biphenyl]-2-yl-5-(4-chlorophenyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Jul 1997
GI



I

AB Title compds. [I; R1 = H, alkyl, heterocyclyl, aryl, etc.; R2 = alkyl, (hetero)aryl, heterocyclyl, etc.; R3 = H, halo, alkyl, aryl, etc.; R4 = aryl, heterocyclyl, alkoxycarbonyl, etc.; R5 = (un)substituted heteroaryl] were prepared Thus, 4-FC6H4CH:CHCOC6H4Cl-4 was condensed with 2-pyridinecarboxaldehyde and the product cyclocondensed with NH4OAc to give I (R1 = R3 = H, R2 = C6H4Cl-4, R4 = C6H4F-4, R5 = 2-pyridyl). Data for biol. activity of I were given.

ACCESSION NUMBER: 1997:433593 HCAPLUS
DOCUMENT NUMBER: 127:50543
TITLE: Preparation of pyridylpyrroles and analogs as cytokine inhibitors and glucagon antagonists
INVENTOR(S): De Laszlo, Stephen E.; Chang, Linda L.; Kim, Dooseop; Mantlo, Nathan B.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9716442 | A1 | 19970509 | WO 1996-US18539 | 19961030 |
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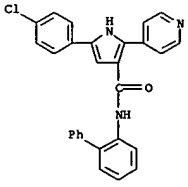
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2234701 | AA | 19970509 | CA 1996-2234701 | 19961030 |
| AU 9711208 | A1 | 19970522 | AU 1997-11208 | 19961030 |
| AU 702887 | B2 | 19990311 | | |
| EP 859771 | A1 | 19980826 | EP 1996-942022 | 19961030 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 11514651 | T2 | 19991214 | JP 1996-517642 | 19961030 |
| PRIORITY APPLN. INFO.: | | | US 1995-7100P | P 19951031 |
| | | | GB 1996-5158 | A 19960312 |
| | | | US 1996-15565P | P 19960418 |
| | | | GB 1996-12062 | A 19960610 |
| | | | WO 1996-US18539 | W 19961030 |

OTHER SOURCE(S): MARPAT 127:50543
IT 191030-88-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L13 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridylpyrroles and analogs as cytokine inhibitors and glucagon antagonists)
RN 191030-88-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[1,1'-biphenyl]-2-yl-5-(4-chlorophenyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 74.07 | 596.10 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -10.50 | -12.00 |

STN INTERNATIONAL LOGOFF AT 14:43:54 ON 01 MAR 2006